EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
S1	791	(546/114).CCLS.	US-PGPUB; USPAT; EPO; DERWENT	OR	OFF	2006/03/08 13:24
S2	600	(514/301).CCLS.	US-PGPUB; USPAT; EPO; DERWENT	OR	OFF	2006/03/08 13:24
S10	2	("200128993").PN.	US-PGPUB; USPAT; EPO; DERWENT	OR	OFF	2006/03/08 13:25

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    7 DEC 21
                IPC search and display fields enhanced in CA/CAplus with the
                 IPC reform
        DEC 23
                New IPC8 SEARCH, DISPLAY, and SELECT fields in USPATFULL/
NEWS
     8
                 USPAT2
NEWS 9
         JAN 13
                 IPC 8 searching in IFIPAT, IFIUDB, and IFICDB
NEWS 10
        JAN 13
                New IPC 8 SEARCH, DISPLAY, and SELECT enhancements added to
                 INPADOC
NEWS 11
       JAN 17
                Pre-1988 INPI data added to MARPAT
                IPC 8 in the WPI family of databases including WPIFV
NEWS 12 JAN 17
NEWS 13 JAN 30
                Saved answer limit increased
NEWS 14 JAN 31 Monthly current-awareness alert (SDI) frequency
                added to TULSA
NEWS 15 FEB 21
                STN AnaVist, Version 1.1, lets you share your STN AnaVist
                visualization results
                Status of current WO (PCT) information on STN
NEWS 16 FEB 22
NEWS 17 FEB 22
                The IPC thesaurus added to additional patent databases on STN
NEWS 18 FEB 22 Updates in EPFULL; IPC 8 enhancements added
NEWS 19 FEB 27 New STN AnaVist pricing effective March 1, 2006
NEWS 20 FEB 28 MEDLINE/LMEDLINE reload improves functionality
NEWS 21 FEB 28 TOXCENTER reloaded with enhancements
NEWS 22 FEB 28 REGISTRY/ZREGISTRY enhanced with more experimental spectral
                property data
                INSPEC reloaded and enhanced
NEWS 23 MAR 01
                Updates in PATDPA; addition of IPC 8 data without attributes
NEWS 24 MAR 03
NEWS EXPRESS
             FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a,
             CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0jc(jp),
             AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
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FULL ESTIMATED COST

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STRUCTURE FILE UPDATES: 6 MAR 2006 HIGHEST RN 876011-49-3 DICTIONARY FILE UPDATES: 6 MAR 2006 HIGHEST RN 876011-49-3

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=>
Uploading C:\Program Files\Stnexp\Queries\QUERIES\10666857.str

chain nodes :

10

ring nodes :

1 2 3 4 5 6 7 8 9

ring bonds :

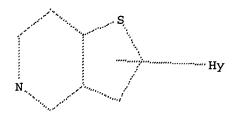
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9

exact/norm bonds : 1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9

Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS

L1 STRUCTURE UPLOADED

=> d L1 HAS NO ANSWERS L1 STR



Structure attributes must be viewed using STN Express query preparation.

5 ANSWERS

=> s l1

SAMPLE SEARCH INITIATED 06:33:32 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 7211 TO ITERATE

27.7% PROCESSED 2000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 139130 TO 149310

L2 5 SEA SSS SAM L1

PROJECTED ANSWERS:

=> s l1 full FULL SEARCH INITIATED 06:33:38 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 143448 TO ITERATE

100.0% PROCESSED 143448 ITERATIONS 339 ANSWERS SEARCH TIME: 00.00.03

106 TO

614

L3 339 SEA SSS FUL L1

=> s 13 and caplus/lc 49956922 CAPLUS/LC L4 332 L3 AND CAPLUS/LC

=> s 13 not 14 L5 7 L3 NOT L4

=> d 15 1-7

LS RN ED CN

ANSWER 1 OF 7 REGISTRY COPYRIGHT 2006 ACS on STN
775275-39-3 REGISTRY
Entered STN: 05 Nov 2004
3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo-7(4,5,6,7-tetrahydro-7-hydroxythieno{3,2-c}pyridin-2-yl}- (9CI) (CA INDEX NAME)
C21 H20 N2 O5 S
COM

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 ANSWER 2 OF 7 REGISTRY COPYRIGHT 2006 ACS on STN
RN 601523-63-7 REGISTRY
ED Entered STN: 09 Oct 2003
CN 4H-Quinolizine-3-carboxylic acid,
1-cyclopropyl-3-methyl-4-oxo-8-[4,5,6,7tetrahydro-7-(methoxymethoxy)thieno[3,2-c]pyridin-2-yl]-, ethyl ester
(9C1) (CA INDEX NAME)
HF C25 H28 N2 O5 S
CC COM
SR CA

**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT **

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 ANSWER 4 OF 7 REGISTRY COPYRIGHT 2006 ACS on STN
RN 405142-97-4 REGISTRY
ED Entered STN: 12 Apr 2002
CN 4H-Quinolizine-3-carboxylic acid,
1-cyclopropyl-9-methyl-4-oxo-8-14,5,6,7tetrahydro-6-[(methoxymethoxy)methyl]thieno[3,2-c]pyridin-2-yl]-, ethyl
ester (9CI)
FF C26 H30 N2 O5 3
CI COM
SR CA

**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT **

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L5 ANSWER 5 OF 7 REGISTRY COPYRIGHT 2006 ACS on STN
RN 405142-90-7 REGISTRY
ED Entered STN: 12 Apr 2002
CN 4H-Quinolizine-3-carboxylic acid,
1-cyclopropyl-9-(difluoromethoxy)-4-oxo-
6-(4,5,6,7-cetrahydrothieno(3,2-c)pyridin-2-yl)-, ethyl ester (9CI) (CA INDEX NAME)
MF C23 H22 F2 N2 04 S
CI COM
SR CA
```

**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT **

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L5 ANSWER 7 OF 7 REGISTRY COPYRIGHT 2006 ACS on STN
RN 405142-72-5 REGISTRY
ED Entered STN: 12 Apr 2002
CN 4H-Quinolizine-3-carboxylic acid,
1-cyclopropyl-7-fluoro-9-methyl-4-oxo-8-
(4,5,6,7-tetrahydro-4-methylthleno[3,2-c]pyridin-2-yl)-, ethyl ester
(GSI)
(CA INDEX NAME)
HF C24 H25 F N2 O3 S
CI COM
SR CA
```

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

```
L5 ANSWER 6 OF 7 REGISTRY COPYRIGHT 2006 ACS on STN
RN 405142-78-1 REGISTRY
ED Entered STN: 12 Apr 2002
Aft-Culinolizine-3-carboxylic acid,
1-cyclopropyl-7-fluoro-9-methyl-4-oxo-8-
(4,5,6,7-tetrahydro-6-methylthieno(3,2-c)pyridin-2-yl)-, ethyl ester
(9CI)
(CA INDEX NAME)
MF C24 H25 F N2 O3 S
CI COM
SR CA
```

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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COST IN U.S. DOLLARS

FULL ESTIMATED COST

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=> d his

ANSWER 1 OF 22 CAPLUS COPYRIGHT 2006 ACS ON STN SSION NUMBER: 2005:160840 CAPLUS MENT NUMBER: 142:261527 L6 ANSWER 1 OF ACCESSION NUMBER:

DOCUMENT NUMBER:

TITLE: INVENTOR (S):

142:261527
Preparation of thiemopyridines and furopyridines as protein kinase inhibitors
Betschmann, Patrick; Burchat, Andrew F.; Calderwood, David J.; Curtin, Michael L.; Davidsen, Steven K.; Davis, Heather M.; Frey, Robin R.; Heyman, Howard R.; Hirst, Gavin C.; Hrnclar, Peter; Michaelides, Michael R.; Muckey, Melanie A.; Rafferty, Paul; Wada, Carol

PATENT ASSIGNEE(S):

SOURCE:

USA U.S. Pat. Appl. Publ., 181 pp. CODEN: USXXCO Patent English

DOCUMENT TYPE: LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. PATENT NO. KIND DATE DATE US 2005043347 PRIORITY APPLN. INFO.: A1 20050224 US 2004-899168 20040726

US 2004-567703P P 20040503

OTHER SOURCE(S): MARPAT 142:261527

Title compds. I [wherein X = 0, S; 2 = C or N; R1 = H, alkenyl, alkoxyalkynyl, aryl, etc.; R2 = absence, H or alkyl; R3 = halo, (un)aubstituted (hetero)aryl or heterocyclyl, and therapeutically acceptable salts thereof] were prepared as protein kinase inhibitors.

example, urea II was synthesized via Pd-catalyzed coupling reaction of

corresponding 7-iodo-thienopyridine with [3-(dimethylamino)phenyl]boronic acid. Representative compds. I inhibited KDR and Lck at IC50 values of 0.002 µM to 50 µM and 0.03 µM to 50 µM, resp. Therefore, I and their pharmaceutical compns. are useful for the treatment of such as cancer, ocular and cardiovascular diseases. 832694-23-49 832694-31-29 832697-832697-73-1P 832697-75-39 832697-75-39 832697-75-39 832697-75-9

ANSWER 1 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) 2-Propenamide, 3-[4-amino-3-(1H-indol-5-yl)thieno[3,2-c]pyridin-7-yl]-N-methyl-, (2E)- [9C1] (CA INDEX NAME)

Double bond geometry as shown.

832696-16-9 CAPLUS

Thieno[3,2-c]pyridin-4-amine, 3-(1H-indol-6-yl)- (9CI) (CA INDEX NAME)

832697-53-7 CAPLUS
Thieno[3,2-c]pyridin-4-amine, 3-(1H-indol-5-yl)- (9CI) (CA INDEX NAME)

832697-72-0 CAPLUS

2-Propenamide, 3-[4-amino-3-(1H-indol-6-yl)thieno[3,2-c]pyridin-7-yl}-N-methyl-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Double bond geometry as shown.

832694-31-2 CAPLUS

ANSWER 1 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

Double bond geometry as shown.

832697-73-1 CAPLUS 2-Propenamide, |-amino-3-1(-methyl-1H-indol-6-yl)thieno[3,2-c]pyridin-7-|yl]-N-methyl-, (2E)- (9CI) (CA INDEX NAME)

832697-74-2 CAPLUS 2-Propenamide, -amino-3-(2-methyl-1H-indol-5-yl)thieno(3,2-c]pyridin-7-yl]-N-methyl-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

832697-75-3 CAPLUS
Piperazinone, 4-[(4-amino-3-(lH-indol-5-yl)thieno(3,2-c)pyridin-7yl]methyl]- (9CI) (CA INDEX NAME)

RN 832697-77-5 CAPLUS CN 2-Propenamide, 3-[4-amino-3-(1H-indol-5-yl)thieno[3,2-c]pyridin-7-yl]-N-(4-pyridinylmethyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L6 ANSWER 1 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 845872-14-2 CAPLUS CN Benzonitrile, 4-[4-amino-3-(2-methyl-1H-indol-5-yl)thieno[3,2-c]pyridin-7-yl]- (9CI) (CA INDEX NAME)

845872-15-3 CAPLUS
Thieno[3,2-c]pyridin-4-amine, 7-{4-aminophenyl}-3-{2-methyl-5-benzothiacolyl}-{9CI} (CA INDEX NAME)

845872-16-4 CAPLUS Acetamide, N-14-(4-amino-3-(2-benzofursnyl)thieno[3,2-c]pyridin-7-yl]phenyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 1 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 832697-79-7 CAPLUS
CN 2-Propenamide,
3-[4-amino-3-(1H-indol-5-yl)thieno{3,2-c]pyridin-7-yl]-N-[3-(1H-imidazol-1-yl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

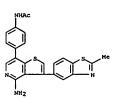
RN 832697-80-0 CAPLUS
CN 2-Propenamide,
3-[4-amino-3-(1H-indol-5-yl)thieno[3,2-c]pyridin-7-yl]-N-[2(diethylamino)ethyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L6 ANSWER 1 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 845872-18-6 CAPLUS
CN Acetamide,
N-[4-[4-amino-3-(2,3-dihydro-1H-indol-5-yl)thieno[3,2-c]pyridin-7-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 845872-19-7 CAPLUS CN Acetamide, N-[4-[4-amino-3-(2-methyl-5-benzothiazolyl)thieno[3,2-c]pyridin-7-yl]phenyl]- (9CI) (CA INDEX NAME)



845872-20-0 CAPLUS
Thieno[3,2-c]pyridin-4-amine, 3-(2-methyl-1H-indol-5-yl)-7-{4-(methylaulfonyl)phenyl}- (9CI) (CA INDEX NAME)

ANSWER 1 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

845872-21-1 CAPLUS
Thieno[3,2-c]pyridin-4-amine, 7-(4-(ethylsulfonyl)phenyl]-3-(2-methyl-lH-indol-5-yl)- (9CI) (CA INDEX NAME) RN CN

RN 845872-22-2 CAPLUS
CN Methanesulfonamide,
N-[4-[4-amino-3-(12-benzofuranyl]thieno[3,2-c]pyridin-7yl]phenyl]- (9CI) (CA INDEX NAME)

845872-24-4 CAPLUS

ANSWER 1 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 845872-28-8 CAPLUS
CN Methanesulfonamide,
N-[4-[4-amino-3-[2, 3-dihydro-1H-indol-5-y1]thieno[3,2-c]pyridin-7-y1]phenyl]- (9CI) (CA INDEX NAME)

845872-29-9 CAPLUS Methanesulfonamide, N-[4-[4-amino-3-(2-methyl-5-benzoxazolyl)thieno[3,2-c]pyridin-7-yl]phenyl]- (9CI) (CA INDEX NAME)

$$\begin{picture}(20,0) \put(0,0){\line(1,0){100}} \put(0,0){\line(1,0){100$$

ANSWER 1 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) Methanesulfonamide, N-[4-[4-smino-3-{7-fluoro-lH-indol-5-yl}thieno[3,2-c)pyridin-7-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 845872-26-6 CAPLUS
CN Methenesulfonamide,
N-[4-[4-amino-3-(2-methyl-5-benzothiazolyl]thieno[3,2-c]pyridin-7-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 845872-27-7 CAPLUS
CN Hethanesulfonamide,
N-[4-[4-anino-3-(1H-indol-5-y1)thieno[3,2-c]pyridin-7y1]phenyl]- (9CI) (CA INDEX NAME)

ANSWER 1 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) 845872-32-4 CAPLUS Methaneaulfonamide, N-{4-{4-amino-3-{2-methyl-1H-indol-5-yl}thieno{3,2-c}pyridin-7-yl}phenyl]- (9CI) (CA INDEX NAME)

845872-33-5 CAPLUS Thieno[3,2-c]pyridin-4-amine, 3-(2-methyl-1H-indol-5-yl)-7-[3-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

845872-63-1 CAPLUS Thieno[3,2-e]pyridin-4-amine, 3-(2-methyl-1H-indol-5-yl)-7-phenyl- (9CI) (CA INDEX NAME)

845872-67-5 CAPLUS
Thieno[3,2-c]pyridin-4-amine, 7-(4-aminophenyl)-3-(1H-indol-5-yl)- (9CI)
(CA INDEX NAME)

ANSWER 1 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) L6

845872-68-6 CAPLUS
Methanesulfonamide, N-{3-{4-amino-3-{2-methyl-lH-indol-5-yl}}thieno{3,2-c}pyridin-7-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 845872-69-7 CAPLUS CN Acetamide, N-[4-[4-amino-3-(2-methyl-1H-indol-5-yl]thieno[3,2-c]pyridin-7-yl]phenyl]- (9CI) (CA INDEX NAME)

837391-40-9P 837391-41-0P 837391-56-7P 837391-58-9P 837391-62-5P 837391-63-6P 837391-67-0P 837391-68-1P 837391-69-3 837391-72-7P 837391-3-8P 837391-75-0P 837391-76-1P 837391-77-2P 837391-78-3P

L6 ANSWER 1 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (CN 2-Propenanide, 3-[4-amino-3-(7-fluoro-1H-indol-5-yl)thieno[3,2-c]pyridin-7-yl]-N-methyl- (9CI) (CA INDEX NAME) (Continued)

837391-62-5 CAPLUS
2-Propenamide, 3-[4-amino-3-(7-fluoro-2-methyl-1H-indol-5-yl)thieno[3,2-c]pyridin-7-yl]-N-methyl- (9CI) (CA INDEX NAME)

837391-63-6 CAPLUS 2-Propenamide, -amino-3-(2-methyl-1H-indol-5-yl)thieno[3,2-c]pyridin-7-yl]-N-[3-(1H-imidazol-1-yl)propyl]- (9CI) (CA INDEX NAME)

ANSWER 1 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) 837391-79-49 837391-80-79 837391-81-89 837391-82-99 837391-83-99 837391-85-49 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of thienopyridines and furopyridines as protein kinase inhibitors)
837391-40-9 CAPLUS
Thieno[3,2-c]pyridine-7-propanamide, 4-amino-3-{1H-indo1-6-y1}-N-methyl-(9CI) (CA INDEX NAME)

менн-с-сн₂-сн₂

837391-41-0 CAPLUS Thieno[3,7-e]pyridine-7-propanamide, 4-amino-3-(1H-indol-5-yl)-N-methyl-(9CI) (CA INDEX NAME)

RN 837391-56-7 CAPLUS CN 2-Propenamide, 3-[4-amino-3-(2-methyl-1H-indol-5-yl)thieno[3,2-c]pyridin-7-yl]-N-[2-(diethylamino)ethyl)- (9CI) (CA INDEX NAME)

RN 837391-58-9 CAPLUS

L6 ANSWER 1 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 837391-67-0 CAPLUS CN 2-Propenamide, 3-(4-amino-3-benzo[b]thien-5-ylthieno[3,2-c]pyridin-7-yl}-N-methyl- |9CI) (CA INDEX NAME)

RN 837391-68-1 CAPLUS
CN 2-Propenamide,
3-[4-amino-3-[2-(trifluoromethyl)-1H-indol-5-yl]thieno[3,2-clpyridin-7-yl]-N-methyl- [9CI] (CA INDEX NAME)

(Continued)

L6 ANSMER 1 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (
RN 837391-69-2 CAPLUS
CN 2-Propenamide,
3-{4-amino-3-{2-methyl-1H-indol-5-yl}thieno{3,2-c}pyridin-7yl}-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

837391-72-7 CAPLUS
2-Propenamide, 3-{4-amino-3-(2-methyl-5-benzothiazolyl)thieno[3,2-c]pyridin-7-yl}-N-methyl- (9CI) (CA INDEX NAME)

837391-73-8 CAPLUS 2-Propenamide, 3-{4-amino-3-(2,3-dihydro-2-oxo-1H-indol-5-yl)thieno{3,2-clpyridin-7-yl]-N-methyl- (9CI) (CA INDEX NAME)

L6 ANSWER 1 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 837391-78-3 CAPLUS
CN 2-Propenamide,
3-[4-amino-3-(1,2-benzisoxazol-5-y1)thieno[3,2-c]pyridin-7y1)-N-methyl- (9CI) (CA INDEX NAME)

837391-79-4 CAPLUS
2-Propenamide, 3-(4-amino-3-(2,3-dihydro-1H-indol-5-yl)thieno(3,2-c)pyridin-7-yl)-N-methyl- (9CI) (CA INDEX NAME)

837391-80-7 CAPIUS 2-Propenamide, 3-(4-amino-3-(2-methyl-6-benzothiazolyl)thieno(3,2-c)pyridin-7-yl}-N-methyl- (9CI) (CA INDEX NAME)

L6 ANSWER 1 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

837391-75-0 CAPLUS
2-Propenanide, 3-[4-amino-3-[2-benzofuranyl]thieno[3,2-c]pyridin-7-yl]-N-methyl- (9CI) (CA INDEX NAME)

837391-76-1 CAPLUS
2-Propenamide, 3-(4-amino-3-(5-benzofuranyl)thieno[3,2-c]pyridin-7-yl]-N-methyl- (9CI) (CA INDEX NAME)

837391-77-2 CAPLUS 2-Propenamide, 3-(4-amino-3-(6-quinoliny1)thieno[3,2-c]pyridin-7-y1]-N-methyl- (9C1) (CA INDEX NAME)

L6 ANSWER 1 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

837391-81-8 CAPLUS Thieno(3,2-c)pyridin-4-amine, 3-(2-methyl-1H-indol-5-yl)-7-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 837391-82-9 CAPLUS CN Thieno[3,2-c]pyridin-4-amine, 7-(4-aminophenyl)-3-(2-methyl-1H-indol-5-yl)-[9CI] (CA INDEX NAME)

RN 837391-83-0 CAPLUS CN Acetamide, N-[3-[4-amino-3-(2-methyl-1H-indol-5-yl)thieno[3,2-c]pyridin-7-yl]phenyl]- (9CI) (CA INDEX NAME)

837391-95-4 CAPLUS
Thieno[3,2-c]pyridin-4-amine, 3,7-di-lH-indol-6-yl- (9CI) (CA INDEX

837392-68-4P

837392-68-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
 (preparation of thienopyridines and furopyridines as protein kinase inhibitors)
837392-68-4 CAPLUS
1H-Indole-1-carboxylic acid, 5-[4-amino-7-[3-(methylamino)-3-oxo-1-propenyl]thieno[3,2-c]pyridin-3-yl]-2,3-dihydro-, 1,1-dimethylethyl ester
(9CI) (CA INDEX NAME)

L6 ANSWER 2 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:99165 CAPLUS

142:199046 Preparation of thienopyridines as protein kinase inhibitors

INVENTOR(S): Betechmann, Patrick; Burchat, Andrew F.; Calderwood, David J.; Curtin, Michael L.; Davidsen, Steven K.; Davis, Heather M.; Frey, Robin R.; Heyman, Howard R.; Hirst, Gavin C.; Hrnclar, Peter; Michaelides, Michael R.; Muckey, Melanie A.; Rafferty, Paul; Wada, Carol

K.

PATENT ASSIGNEE(S): SOURCE:

U.S. Pat. Appl. Publ., 106 pp., Cont.~in-part of U.S. Ser. No. 626,092. CODEN: USXXCO Patent

DOCUMENT TYPE: FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PAT	PATENT NO.					KIND DATE			APPLICATION NO.						DATE			
						-									_			
US	2005	0269	44		A1		2005	0203		US 2	004-	8381	32		2	0040	503	
US	2005	0206	19		A1		2005	0127		US 2	003-	6260	92		2	0030	724	
WO	2005	0100	09		A1		2005	0203	-	WO 2	004-	US24	003		2			
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ.	CA,	CH,	
		CN,	co,	CR,	CU,	cz,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
		NO,	ΝZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
		TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	YU,	ZA,	ZM,	ZW	
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
		ΑZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	
		SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	
		SN,	TD,	TG														
PRIORITY	APP	LN.	NFO	. :					- 1	US 2	003-	6260	92	1	A2 20	0030	724	

US 2004-838132

A 20040503

OTHER SOURCE(S): MARPAT 142:198046

ANSWER 2 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) etc.; R2 = H or alkyl; R3 = halo, (un)substituted (hetero)aryl or heterocyclyl, or therapeutically acceptable salts thereof) were prepd. as protein kinase inhibitors. For example, urea II was synthesized via reaction of the corresponding amine (prepn. given) with 1-isocyanato-3-methylbenzene. Representative compds. I inhibited KDR and Lck at IC50 values of 0.002 μM to 50 μM and 0.06 μM to 50 μM, resp. Therefore, I and their pharmaceutical compns. are useful for the treatment of such as cancer, ocular and cardiovascular diseases. 322694-25-49 932694-31-2P 932699-16-9P 832697-33-1P 832697-72-0P 832697-73-1P 832697-75-59 832697-79-79 832697-80-0P wasey-/y-/w wasey-eU-UP RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uaes) (Uses)
 (kinase inhibitor; preparation of thienopyridines as protein kinase
 inhibitors)
832694-25-4 CAPLUS
2-Propenamide, 3-[4-amino-3-[1,3-benzodioxol-5-yl]thieno[3,2-c]pyridin-7-yl]-N-methyl-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

832694-31-2 CAPLUS 2-Propenanide, 3-(4-amino-3-(1H-indol-5-yl)thieno[3,2-c]pyridin-7-yl]-N-methyl-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

832696-16-9 CAPLUS Thieno[3,2-c]pyridin-4-amine, 3-(1H-indol-6-yl)- (9CI) (CA INDEX NAME)

832697-53-7 CAPLUS
Thieno{3,2-c}pyridin-4-amine, 3-(1H-indol-5-yl)- (9CI) (CA INDEX NAME)

832697-72-0 CAPLUS
2-Propenamide, 3-(4-amino-3-(1H-indol-6-y1)thieno(3,2-c)pyridin-7-y1}-N-methyl-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

ANSWER 2 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

832697-75-3 CAPLUS
Piperazinone, 4-[(4-amino-3-(1H-indol-5-yi)thieno[3,2-c]pyridin-7yl]methyl]- (9CI) (CA INDEX NAME)

RN 832697-77-5 CAPLUS CN 2-Propenamide, 3-[4-amino-3-(1H-indol-5-yl)thieno[3,2-c]pyridin-7-yl]-N-(4-pyridinylmethyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L6 ANSWER 2 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

RN 832697-73-1 CAPLUS
CN 2-Propenamide,
3-[4-amino-3-[1-methyl-lH-indol-6-yl]thieno[3,2-c]pyridin-7-yl]-N-methyl-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 832697-74-2 CAPLUS CN 2-Propenamide, 3-{4-amino-3-(2-methy)-1H-indol-5-yl)thieno[3,2-c]pyridin-7-yl]-N-methyl-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

ANSWER 2 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 832697-79-7 CAPLUS CN 2-Propenamide, 3-(4-amino-3-(1H-indo1-5-y1)thieno[3,2-c]pyridin-7-y1]-N-[3-(1H-imidazo1-1-y1)propy1]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

(CH2)3

RN 832697-80-0 CAPLUS CN 2-Propenamide, 3-[4-amino-3-(1H-indol-5-yl)thieno[3,2-c]pyridin-7-yl]-N-[2-(diethylamino)ethyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

837391-40-0P 837391-41-0P 837391-56-7P 837391-58-9P 837391-42-5P 837391-63-5P 837391-67-0P 837391-68-1P 837391-69-2P 837391-72-7P 837391-73-0P 837391-75-0P 837391-74-1P 837391-77-2P 837391-75-0P 837391-79-4P 837391-80-7P 837391-18-3P 837391-92-9P 837391-80-0P 837391-81-8P RL: PAC (Pharmacological activity): SPN (Synthetic preparation): THU (Therapeutic use): BIOL (Biological study): PREP (Preparation): USES (Uses)

(peparation of thienopyridines as protein kinase inhibitors) 837391-40-9 CAPLUS
Thieno[3,2-c]pyridine-7-propanamide, 4-amino-3-(1H-indol-6-yl)-N-methyl-(9CI) (CA INDEX NAME)

837391-41-0 CAPLUS Thieno(3,2-c)pyridine-7-propanamide, 4-amino-3-(1H-indol-5-yl)-N-methyl-(9CI) (CA INDEX NAME)

ANSWER 2 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 837391-63-6 CAPLUS CN 2-Propenamide, 3-[4-amino-3-(2-methyl-1H-indol-5-yl)thieno[3,2-c]pyridin-7-yl]-N-[3-(1H-imidazol-1-yl)propyl]- (9CI) (CA INDEX NAME)

RN 837391-67-0 CAPLUS
CN 2-Propenamide,
3-(4-amino-3-benzo[b]thien-5-ylthieno[3,2-c]pyridin-7-yl}-Nmethyl- [9CI) (CA INDEX NAME)

L6 ANSWER 2 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 837391-58-9 CAPLUS CN 2-Propenamide, 3-{4-amino-3-(7-fluoro-1H-indol-5-yl)thieno[3,2-c]pyridin-7-yl]-N-methyl- (9CI) (CA INDEX NAME)

837391-62-5 CAPLUS 2-Propenamide, 3-(4-amino-3-(7-fluoro-2-methyl-1H-indol-5-yl)thieno(3,2-c)pyridin-7-yl]-N-methyl- (9CI) (CA INDEX NAME)

L6 ANSWER 2 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN RN 837391-68-1 CAPLUS CPYRIGHT 2006 ACS on STN RN 2-Propenamide, 3-{4-mino-3-{2-(trifluoromethyl)-1H-indol-5-yl}thieno{3,2-c|pyridin-7-yl}-N-methyl- {9CI} (CA INDEX NAME) (Continued)

RN 837391-69-2 CAPLUS
CN 2-Propenanide,
3-[4-amino-3-(2-methyl-1H-indol-5-yl)thieno[3,2-c]pyridin-7-yl]-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

837391-72-7 CAPLUS 2-Propenamide, 3-14-

2-Propenamide, 3-(4-amino-3-(2-methyl-5-benzothiazolyl)thieno(3,2-c)pyridin-7-yl]-N-methyl- (9Cl) (CA INDEX NAME)

837391-73-8 CAPLUS
2-Propenamide, 3-{4-amino-3-{2,3-dihydro-2-oxo-1H-indol-5-y1}thieno{3,2-c}pyridin-7-y1}-N-methyl- (9CI) (CA INDEX NAME)

837391-75-0 CAPLUS
2-Propenamide, 3-[4-amino-3-(2-benzofuranyl)thieno[3,2-c]pyridin-7-yl]-N-methyl- (9CI) (CA INDEX NAME)

837391-76-1 CAPLUS
2-Propenamide, 3-[4-amino-3-[5-benzofuranyl]thieno[3,2-c]pyridin-7-yl]-N-methyl- (9C1) (CA INDEX NAME)

L6 ANSWER 2 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

837391-90-7 CAPLUS 2-Propenamide, 3-[4-amino-3-[2-methyl-6-benzothiazolyl]thieno(3,2-c|pyridin-7-yl)-N-methyl- (9CI) (CA INDEX NAME)

837391-81-8 CAPLUS Thieno[3,2-c]pyridin-4-amine, 3-(2-methyl-1H-indol-5-yl)-7-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 837391-82-9 CAPLUS
CN Thiene(3,2-c)pyridin-4-amine,
7-(4-aminophenyl)-3-(2-methyl-1H-indol-5-yl)(9CI) (CA INDEX NAME)

L6 ANSWER 2 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

837391-77-2 CAPLUS
2-Propenamide, 3-[4-emino-3-(6-quinolinyl)thieno[3,2-c]pyridin-7-yl]-N-methyl- (9C1) (CA INDEX NAME)

RN 837391-78-3 CAPLUS
CN 2-Propenamide,
3-[4-amino-3-(1,2-benzisoxazol-5-yl)thieno{3,2-c}pyridin-7yl]-N-methyl- (9CI) (CA INDEX NAME)

837391-79-4 CAPLUS 2-Propenamide, 3-[4-amino-3-{2,3-dihydro-lH-indol-5-yl})thieno{3,2-c}pyridin-7-yl}-N-methyl- {9Cl} (CA INDEX NAME}

L6 ANSWER 2 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 837391-83-0 CAPLUS CN Acetamide, N-[3-[4-amino-3-(2-methyl-1H-indol-5-yl)thieno[3,2-c]pyridin-7-yl]phenyl]- (9CI) (CA INDEX NAME)

837391-95-4 CAPLUS Thieno[3,2-c]pyridin-4-amine, 3,7-di-1H-indol-6-yl- (9CI) (CA INDEX

837392-68-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of thienopyridines as protein kinase inhibitors)
837392-68-4 CAPLUS
1H-Indole-1-Carboxylic acid, 5-[4-amino-7-[3-(methylamino)-3-oxo-1-

L6 ANSWER 2 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) propenyllthieno(3,2-c)pyridin-3-yl)-2,3-dihydro-, 1,1-dimethylethyl ester (9C1) (CA INDEX NAME)

ANSWER 3 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) amino; R2 = H or alkyl; R3 = halo, (un)substituted (hetero)aryl or heterocyclyl, or therapeutically acceptable salts thereof) were prepd. as protein kinase inhibitors. For example, urea II was synthesized via

addn

Lck

reaction of the corresponding amine (prepn. given) with 1-isocyanato-3-methylbenzene. Exemplified compds. I inhibited KDR and

IT

with ICSD values of from 0.004 PM to 50 µM and from 0.06 µM to 50 µM, resp. Therefore, I and their pharmaceutical compns. are useful for the treatment of such as cancer, ocular and cardiovascular diseases. 832684-25-48 832694-31-29 832697-73-19 832697-73-19 832697-73-29 832697-73-19 832697-73-29 832697-73-29 832697-73-29 832697-73-29 832697-73-29 832697-73-29 832697-73-29 832697-73-29 832697-73-29 832697-73-29 832697-73-29 832697-73-30-00 pm RL: PAC (Pharmacological activity); SPM (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Uaes)
(kinase inhibitor; preparation of thienopyridines as protein kinase
inhibitors)
832694-25-4 CAPLUS
2-Propenamide, 3-[4-amino-3-{1,3-benzodioxol-5-yl}thieno{3,2-c}pyridin-7yl}-N-methyl-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

832694-31-2 CAPLUS 2-Propenanide, 3-{4-amino-3-(1H-indol-5-yl)thieno(3,2-c)pyridin-7-yl}-N-methyl-, (2E)- (9CI) (CA INDEX NAME)

L6 ANSWER 3 OF 22 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 2005:78240 CAPLUS DOCUMENT NUMBER: 142:176820

DOCUMENT NUMBER: TITLE:

142:176820
Preparation of thienopyridines as protein kinase inhibitors
Betschmann, Patrick; Burchat, Andrew; Calderwood, David; Curtin, Michael L.; Davidsen, Steven K.; INVENTOR(S):

Davis. Heather M.; Frey, Robin R.; Heyman, Howard R.; Hirst, Gavin; Hrnciar, Peter; Michaelides, Michael;

Rafferty,

PATENT ASSIGNEE(S): SOURCE:

Paul USA U.S. Pat. Appl. Publ., 76 pp. CODEN: USXXCO Patent

DOCUMENT TYPE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PAT	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		D	ATE	
						-									-		
US	200	50206	19		A1		2005	0127		US 2	003-	6260	92		2	0030	724
US	200	50269	44		A1		2005	0203		US 2	004~	8381	32		2	0040	503
WO	200	50100	09		A1		2005	0203		WO 2	004-	US24	003		2	0040	726
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	co.	CR,	CU,	CZ.	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE.	GH.	GH.	HR.	HU.	ID,	IL.	IN.	IS.	JP.	KE.	KG.	KP.	KR.	KZ.	LC.
							LV.										
		NO,	NZ,	OM,	PG,	PH,	PL,	PT.	RO,	RU,	sc,	SD,	SE,	SG,	SK,	SL,	SY,
		TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	vc,	VN,	YU,	ZA,	ZM,	ZW
	RW:	BW,	GH,	GΝ,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
		ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	TJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
		EE,	ES,	FI,	FR,	GB,	GR,	ΗU,	ΙE,	IT,	ĻU,	MC,	NL,	PL,	PT,	RO,	SE,
		SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CH,	GΑ,	GN,	GQ,	G₩,	ML,	MR,	NE,
		SN.	TD.	TG													

PRIORITY APPLN. INFO.: US 2003-626092 A2 20030724

US 2004-838132

A 20040503

OTHER SOURCE(S): MARPAT 142:176820

AB Title compds. I (wherein R1 = H, nitro, (un) substituted alk(en/yn) yl or

L6 ANSWER 3 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

832696-16-9 CAPLUS
Thieno[3,2-c]pyridin-4-amine, 3-(1H-indol-6-yl)- (9CI) (CA INDEX NAME)

832697-53-7 CAPLUS
Thieno[3,2-c]pyridin-4-amine, 3-(1H-indol-5-yl)- (9CI) (CA INDEX NAME)

832697-72-0 CAPLUS 2-Propenamide, 3-[4-amino-3-[1H-indol-6-y1]thieno[3,2-c]pyridin-7-y1]-N-methyl-, (2E)- [9CI] (CA INDEX NAME)

Double bond geometry as shown.

RN 832697-73-1 CAPLUS
CN 2-Propenamide,
3-[4-amino-3-[1-methyl-1H-indol-6-yl]thieno[3,2-c]pyridin-7-yl]-N-methyl-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 832697-74-2 CAPLUS CN 2-Propenamide, 3-[4-amino-3-(2-methyl-1H-indol-5-yl)thieno[3,2-c)pyridin-7-yl]-N-methyl-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

ANSWER 3 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 832697-79-7 CAPLUS
CN 2-Propenamide,
3-[4-amino-3-(1H-indol-5-y1)thieno[3,2-c]pyridin-7-y1]-N-[3-(1H-inidazol-1-y1)propy1]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 832697-80-0 CAPLUS
CN 2-Propenamide,
3-{4-amino-3-{1+-indol-5-yl}thieno{3,2-c}pyridin-7-yl}-N-{2-(diethylamino)ethyl}-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L6 ANSWER 3 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

832697-75-3 CAPLUS
Piperazinone, 4-[[4-amino-3-(1H-indol-5-y1)thieno[3,2-c]pyridin-7-y1]methyl]- (9CI) (CA INDEX NAME)

RN 032697-77-5 CAPLUS CN 2-Propenamide, 3-[4-mino-3-(1H-indol-5-yl)thieno[3,2-c]pyridin-7-yl]-N-(4-pyridinylmethyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L6 ANSWER 3 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L6 ANSWER 4 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:1015877 CAPLUS
TITLE: 142:6506 Preparation of furopyridines and thienopyridines for inhibiting tyrosine kinases
HMyazaki, Yasushi
PATENT ASSIGNEE(8): Saithkline Beecham Corporation, USA
SOURCE: CODEN: PIXXD2

DOCUMENT TYPE: PATENT ACC. NUM. COUNT: 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PA	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		D.	ATE	
						-									-		
WO	2004	1009	47		A2		2004	1125		WO 2	004-	US13	668		2	0040	429
WO	2004	1009	47		A3		2005	0324									
	W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	ΜA,	MD,	MG,	MK,	MN,	MW,	ΜX,	HZ,	NΑ,	NI,
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SĒ,	SG,	SK,	SL,	SY,
		TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	υs,	υz,	VC,	VN,	YU,	ZA,	ZM,	ZW
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
		AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BΕ,	BG,	CH,	CY,	CZ,	DE,	DK,
		EE,	ES,	FI,	FR,	GB,	GR,	ΗU,	IE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,
		SI,	SK,	TR,	BF,	BJ,	CF,	CG,	CI,	CH,	GΑ,	GN,	GQ,	G₩,	ML,	MR,	ΝE,
		SN,	TD,	TG													
EP	1620	094			A2		2006	0201		EP 2	004-	7608	64		2	0040	429
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,

IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR
PRIORITY APPLN. INFO.: US 2003-468175P P 20030506 W 20040429

WO 2004-US13668

OTHER SOURCE(S):

MARPAT 142:6506

ANSWER 4 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 799293-69-9 CAPLUS
CN Thieno[3,2-c]pyridin-4-amine,
3-(1H-indol-5-y1)-7-(3,4,5-trimethoxyphenyl)(9CI) (CA INDEX NAME)

799293-71-3 CAPLUS
Thieno[3,2-c]pyridin-4-amine, 3-(2-methyl-5-benzothiazolyl)-7-(3,4,5-trimethoxyphenyl)- [9CI) (CA INDEX NAME)

L6 ANSWER 4 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

The title compds. I (Y = S, O; R1 = (un)substituted Ph, methylenedioxyphenyl, naphthyl, etc.; R2 = H, halo, pyridyl, (un)substituted Ph), useful for treating and preventing tumors and cancers, and methods for treating proliferative diseases associated with

cancers, and methods for treating proliferative diseases associated with
the
imbalance or inappropriate activity of tyrosine kinases implicated in
proliferative diseases, are disclosed. E.g., a multi-step synthesis of
II, starting from 2-furfural, was given. The compds. I were tested
against EphB4, Tie-2, VEGFR-2 and Src kinases (biol. data given for
representative compds. Il. The pharmaceutical composition comprising the
compound I is claimed.
I 79929-64-4P 99293-69-9P 79929-71-3F
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(preparation of furopyridines and thienopyridines for inhibiting
tyrosine
kinases)
RN 799293-64-4 CAPLUS
CN Thieno[3,2-c]pyridin-4-amine, 3-[1,3-benzodioxol-5-yl]-7-{3,4,5trimethoxyphenyl)- (SCI) (CA INDEX NAME)

L6 ANSWER 5 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:878380 CAPLUS
DOCUMENT NUMBER: 141:37931
INVENTOR(S): Boilbuck, Birgitz Denholm, Alestair; Eder, Joerg;
Hersperger, Rener Janser, Philipp; Revesz, Lasilo;
Schlapbach, Achim, Waelchli, Rudolf
PATENT ASSIGNEE(S): Novartis Ag, Switz.; Novartis Pharma G.m.b.H.
SOURCE: CODEN: PIXXD2
DOCUMENT TYPE: PAHLLY ACC. NUM. COUNT: 1

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PA	TENT	NO.			KIN	D	DATE			APPL	I CAT	ION .	NO.		D.	ATE	
						-									-		
wo	2004	0899	13		A1		2004	1021		WO 2	004-	EP38	19		2	0040	408
	W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	82,	CA,	CH,
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MΧ,	MZ,	NΑ,	NI,
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SÉ,	SG,	SK,	SL,	SY,
		TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	Yυ,	ZA,	ZM,	ZW
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	zw,	AM,	AZ,
		BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	ВG,	CH,	CY,	CZ,	DE,	DK,	EE,
		ES,	FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,
		SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	G₩,	ML,	MR,	ΝE,	SN,
		TD,	TG														
CA	2521	340			AA		2004	1021		CA 2	004-	2521	340		2	0040	408
EΡ	1615	898			A1		2006	0118		EP 2	004~	7264	85		2	0040	408
				~	-	D1/	-	mn.	c n		**			A17	0.0	140	-

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK,

HR PRIORITY APPLN. INFO.: GB 2003-8466 A 20030411 WO 2004-EP3819 W 20040408

OTHER SOURCE(S): MARPAT 141:379931

Title compds. I [wherein Rl = H, (un)substituted lower alkyl, aryl, heterocycloalkyl, etc.; R2 = (un)substituted aryl, wherein aryl is not 4-(4-flucrophenyl)-1(1-methylpiperdin-4-yl)imidazole; each R3, R4 = independently H, CN, halo, OH, lower alkoxy, (un)substituted lower alkyl; X = CR6R7; Y = CR8R9; Z = CR10R11; W = CR12R13; each R6 to R13 = independently H, (un)substituted lower alkyl, lower alkoxy, CH2O-NH2, etc.; wherein at least one of R6 to R13 is not equal to H; any pair of R6 to R13 are joined together to form an (un)substituted C1 to C4 bridge in which one or more of the bridge atoms is optionally replaced by O, S, NH and derivs; their pharmaceutically acceptable salts, esters or prodrugs were prepared as inhibitors of IKK protein kinase (IKK) and production

Mere prepared as animarcon.

of tumor

necrosis factor-α (TNF-α). For e.g., a 3-step synthesis of II

was given. I showed IC50 values range of 20 to 1,000 nM in the IkB

kinase activity assay. I, at 30 mg/kg p.o., i.v. or s.c., inhibited

TNF-α production to the extent of up to about 50% or more in LPS

stimulated mice. I are useful as immunosuppressants and antiminflammatory

agents.
778644-75-0P, (2,2,6,6-Tetramethylpiperidin-4-yl)[4-(thieno[3,2-c]pyridin-2-yl)pyrimidin-2-yl]amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(IKK inhibitor; preparation of aminopyrimidines as inhibitors of

production for treating autoimmune diseases and inflammations)
778644-75-0 CAPIUS
2-Pyrimidinamine, N-(2,2,6,6-tetramethyl-4-piperidinyl)-4-thieno{3,2-clpyridin-2-yl- |9CI) (CA INDEX NAME)

L6 ANSWER 6 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:414631 CAPLUS
DOCUMENT NUMBER: 140:423660
TITLE: Preparation of thiemo[3, 2-c]pyridines and related compounds as antiinflammatory agents
BURKHTOR(5): Burkitt, Simon A.; Cardozo, Mario G.; Cushing,

INVENTOR(S): Timothy

D.; DeGraffenreid, Michael R.; Farthing, Christopher N.; Hao, Xiaolin; Jaen, Juan C.; Jiao, Xian Yun; Kopecky, David J.; Labelle, Marc: Lively, Sarah E.; McMinn, Dustin L.; Rasmussen, Sven P.; Shin, Youngaook; Smith, Andrew; Smith, Marie-Louise Tularik Inc., USA, Pat Appl. Publ., 70 pp. CODEN: USXXCO

WO 2003-US29143

W 20030919

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	TENT				KIN	D					ICAT				D.	ATE	
						-					-				-		
	2004						2004	0520		US 2	003~	6668	57		2	0030	919
CA	2502	429			AA		2004	0521		CA 2	003-	2502	429		2	0030	919
WO	2004	0412	B5		A1		2004	0521		WO 2	003-	U\$29	143		2	0030	919
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,
		PG,	PH,	PL,	PT,	RO,	RU,	sc,	SD,	SE,	SG,	SK,	SL,	SY,	TJ,	TM,	TN,
		TR,	TT,	TZ,	UA,	UG,	υs,	UZ,	vc,	VN,	YU,	ZA,	ZM,	ZW			
	RW:	GH,	GM,	KE,	LS,	MW,	ΜZ,	SD,	SL,	sz,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
		KG,	ΚZ,	MD,	RU,	ΤJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
		FI,	FR,	GB,	GR,	ΗU,	IE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,
		BF,	ΒJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
	2003																
EP	1556	053			A1		2005	0727		EP 2	003-	7524	10		2	0030	919
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	ĢΒ,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	cz,	EE,	HU,	SK	
PRIORITY	APP	LN.	INFO	.:					1	US 2	002-	4225	31P	1	P 21	0021	D31

OTHER SOURCE(S): MARPAT 140:423660

L6 ANSWER 5 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

ANSWER 6 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
The invention relates to title fused heterobicyclic compds. QLWRIR2 [1]
[wherein W = 5-6, 6-6, or 5-5 fused bicyclic ring system wherein one or
both rings are aromatic, containing N and 0-3 addnl. N, O, or S; R1 = The invention relates to title fused heterobicyclic compds. QLWRIN2 (I) [wherein W = 5-6, 6-6, 6, 6-5 fused bicyclic ring system wherein one or both rings are aromatic, containing N and 0-3 addnl. N, 0, or S; R1 = bamoyl, acyl hydroxyiminomethyl, acylamino, sulfamoyl, heteroaryl, etc.; R2 = (un)substituted amino, heterocyclyl, OH; L = bond, alkylene, CO, CONR3, SOZNR3, CR3=CR4, O, S, NR3; R3 and R4 = independently H, (cyclo)alkenyl, (heterolaryl (alkyl), heterocyclyl; Q = cycloalkyl, (cyclo)alkenyl, alkynyl, alkoxy, halo, (heterolaryl, heterocyclyl; with provisos; and pharmaceutically acceptable salts, hydrates, solvates, or prodrugs thereof), which were prepared as inhibitors of IKRa and IKKB enzymes, mediators of TNF-q and IL-1 induced IRB phosphorylation and degradation for example, reaction of romo-7-cyano-4-(p-methoxybenzylamino)thieno[3,2-c]pyridine with concentrated H2SO4 gave 2-bromo-7-carboxamido-4-aminothieno[3,2-c]pyridine=H2SO4, which was coupled with 3,4,5-trimethoxybenzeneboronic acid in the presence of KZCO3 and Pdcl2(dppf):DCM complex in DMF and H2O to afford II. All exemplified compds. inhibited recombinant, full-length IRRA-1 and IRRK-4 enzymes. Thus, I and their pharmaceutical compns. are useful in the treatment of inflammatory, immunoregulatory, metabolic, infectious, and cell proliferative diseases or conditions (no data). \$90535-47-99, 4-Amino-2-(4-mino-2-(4-mino-2-(4-mino-2-(4-mino-2-(2-pyrrolidn-1-y))thieno[3,2-c]pyridine-7-carboxylic acid amide \$90635-50-09. 4-Amino-2-(4-(2-cyanoethy)1-5-methylthieno-2-y-2)thieno(3,2-c)pyridine-7-carboxylic acid amide \$90635-61-59, 4-Amino-2-(2-14-cz-cyanoethyl)-5-doxylic acid amide \$90635-10-4-y-1-4-4-mino-2-14-(2-cyanoethyl)-5-doxylic acid amide \$90635-99, 4-Amino-2-(4-(2-cyanoethyl)-5-doxylic acid amide \$90635-99, 4-Amino-2-(4-(2-cyanoethyl)-5-doxylic acid amide \$90635-99, 4-Amino-2-(4-(2-cyanoethyl)-5-doxylic acid amide \$90635-99, 4-Amino-2-(4-(2-cyanoethyl)-5-doxylic acid amide \$90635-99, 4-Amino-2-(2-(1-acboxylic acid amide \$90635-99, 4-Amino-2-(2 SNOSD-43-49 RE: PAC (Pharmacological activity): SFN (Synthetic preparation); TRU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (IKK inhibitor; preparation of thieno[3,2-c]pyridines and related

heterobicyclic compds. as antiinflammatory agents)
690635-47-3 CAPUUS
Thieno{3,2-c|pyridine-7-carboxamide, 4-amino-2-(4-methyl-2-thienyl)-RN CN (9CI)

(CA INDEX NAME)

RN 690635-60-0 CAPLUS
CN Thieno[3,2-c]pyridine-7-carboxamide, 4-amino-2-(1H-imidazol-1-yl)- (9CI)
(CA INDEX NAME)

RN 690635-62-2 CAPLUS
CN Thieno(3,2-c)pyridine-7-carboxamide, 4-amino-2-(1-pyrrolidinyl)- (9CI)
(CA INDEX NAME)

RN 690635-75-7 CAPLUS
CN Thieno(3,2-c)pyridine-7-carboxamide, 4-amino-2-(1H-pyrazol-4-yl)- (9CI)
(CA INDEX NAME)

L6 ANSWER 6 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 690635-87-1 CAPLUS
CN Thieno[3,2-c]pyridine-7-carboxamide, 4-amino-2-(5-(2-cyanoethyl)-3-thienyl]- (9C1) (CA INDEX NAME)

RN 690635-89-3 CAPLUS
CN Thieno[3,2-c]pyridine-7-carboxamide, 4-amino-2-[4-{2-cyanoethyl}-5-{3-hydroxypropyl}-2-thienyl}- (9CI) (CA INDEX NAME)

RN 690635-96-2 CAPLUS
CN Thieno[3,2-c]pyridine-7-carboxamide, 4-amino-2-[5-(3-cyanotetrahydro-2-furany1)-3-thieny1]- (9CI) (CA INDEX NAME)

RN 690635-77-9 CAPLUS
CN Thieno[3,2-c]pyridine-7-carboxamide,
4-amino-2-[4-{2-cyanoethyl}-5-methyl2-thienyl]- (9CI) (CA INDEX NAME)

RN 690635-81-5 CAPLUS CN Thieno[3,2-c]pyridine-7-carboxamide, 4-amino-2-[5-(4-morpholinylmethyl)-3thienyl]- (9CI) (CA INDEX NAME)

RN 690635-82-6 CAPLUS
CN Thieno(3,2-c)pyridine-7-carboxamide, 4-amino-2-(1,3,3a,7a-tetrahydro-2,2-dioxidobenzo(c)thien-5-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 6 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 690636-00-1 CAPLUS
CN Thieno[3,2-c]pyridine-7-carboxamide, 4-amino-2-(2-methoxy-3-thienyl)(9C1) (CA INDEX NAME)

RN 690636-01-2 CAPLUS
CN Thieno[3,2-c]pyridine-7-carboxamide, 4-amino-2-(1,3-dihydro-1-methyl-2,2-dioxido-2,1-benzisothiazol-5-yl)- (9CI) (CA INDEX NAME)

RN 690636-43-2 CAPLUS CN Thieno[3,2-c]pyridine-7-carboxamide, 4-amino-2-(4-thiazolyl)- (9CI) (CA INDEX NAME)

(Continued)

690635-61-1P, 2-(Imidazol-1-yl)-4-(4-methoxybenzylamino)thieno[3,2-c]pyridine-7-carbonitrile 690635-76-8P RL: RCT (Reactant): SPN (Synthetic preparation); PREP (Preparation); RACT

RE: NCT (Reactant), orn tojunted property (Reactant or reagent) (intermediate; preparation of thieno[3,2-c]pyridines and related fused heterobicyclic compds. as antiinflammatory agents) 690635-61-1 CAPLUS

ONUMOJUTE: AFRING THEORY THEORY OF THE CONTROL OF T

690635-76-8 CAPLUS Thieno[3,2-c]pyridine-7-carboxamide, 4-amino-2-{1-(triphenylmethyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

L6 ANSWER 7 OF 22 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 2003:734778 CAPLUS DOCUMENT NUMBER: 139:261168
TITLE: PREPARATION OF 2

INVENTOR (S):

139:261168

Preparation of 4-oxo-4H-quinolizine-3-carboxylic acid derivatives as antibacterial agents
Oya, Satoshir Masuda, Nobuhisar, Kuroki, Yoshiaki;
Inoue, Teruhiko: Okudo, Makoto: Iwata, Toshihide;
Kokubo, Kojir, Miruno, Hajime: Hagiwara, Masahiko
Sankyo Co., Ltd., Japan: Ube Industries, Ltd.
Jpn. Kokai Tokkyo Koho, 146 pp.
CODEN: JKOXAF
Patent
Japanese

PATENT ASSIGNEE (S):

DOCUMENT TYPE:

Japanese

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE JP 2003261566 PRIORITY APPLN. INFO.: 20030919 A2 JP 2002-65126 JP 2002-65126 20020311

OTHER SOURCE(S):

MARPAT 139:261168

Claimed are drugs containing 4-oxo-4H-quinolizine-3-carboxylic acid

AB Claimed are drugs containing 4-oxo-4H-quinolization derivs.

[I: R1 = H, C1-6 alkyl optionally substituted by 1 or ≥2 halo, C3-7 cycloalkyl optionally substituted by 1 or ≥2 C1-6 alkyl or halo, aryl or heteroaryl each optionally substituted by 1 or ≥2 halo or NH2: R2 = H, halo, cyano, H0, C1-6 alkyl or C1-6 alkoxy optionally substituted by 1 or ≥2 halo or NH2: R3 = H, halo, cyano, H0, C1-6 alkyl or C1-6 alkoxy optionally substituted by 1 or ≥2 halo; R3 = H, halo; R4 = H, halo, ND2, NH2, C1-6 alkyl; R5 = Q, Q1; wherein the ring A = heteroaryl ring; the ring G = 1 the ring Carbon atom

C5-8 cycloalkene or cycloalkane optionally having the ring carbon atom

L6 ANSWER 7 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) arbitrarily replaced by N, O, or S atom; R6a, R6c = H, halo, HO, NO2,

arbitrarily replaced by N, O, or S atom; R6a, R6c = H, halo, HO, NO2, UNB2, Cyano, C1-4 alkyl or C1-4 alkoxy optionally substituted by 1 or ≥2 halo; R7a, R7d = H, halo, HO, NO2, cyano, C1-4 alkyl or C1-4 alkoxy optionally substituted by 1 or ≥2 halo; R7a, R7d = H, halo, HO, NO2, cyano, C1-4 alkyl or C1-4 alkyl optionally substituted by ame or different 1 or ≥2 of C1-4 alkyl and C3-7 cycloalkyl, amino-C1-4 alkyl and C3-7 cycloalkyl, waino-C1-4 alkyl and C3-7 cycloalkyl, oxo; or R7a and R7d represents a group which form a C3-5 cycloalkyl, oxo; or R7a and R7d represents a group which form a C3-5 cycloalkyl, oxo; or R7a and R7d represents a group which form a C3-5 cycloalkyl, oxo; or R7a and R7d represents a group which form a C3-5 cycloalkyl, oxo; or R7a and R7d represents a group which form a C3-5 cycloalkyl, oxo; or R7a and R7d represents a group which form a C3-5 cycloalkyl, oxo; or R7a and R7d represents a cycloalkyl, oxo; or R7a and R7d are statistic expension of care group which form a C3-5 cycloalkyl, oxo; or R7a and R7d are group harmacol. acceptable salts thereof. Thus, a THF soln. of

S-trityl-4,5,6,7-tetrahydrothineo[3,2-c]pyridine which was coupled with Et 8-chloro-1-cyclopropyl-9-methyl-4-oxo-4H-quinolizinen-3-carboxylate in the presence of bis(triphenylphosphine)palladium(II) dichloride in toluene at 100° for 2 h to give 708 Et 1-cyclopropyl-9-methyl-4-oxo-8-(5-trityl-4,5,6,7-tetrahydrothineo[3,2-c]pyridin-2-yl)-4H-quinolizine-3-carboxylate (II). IT was diasolved in THF and stirred with p-Mc66H4SO3H at room temp. for 7 h and at 40° for 4 h to give 918 Et 1-cyclopropyl-9-methyl-4-oxo-8-(4,5,6,7-tetrahydrothineo[3,2-c]pyridin-2-yll-4H-quinolizine-3-carboxylate p-toluenesulfonate which was stirred with a mixt. of satd. aq. NaHCO3 soln. and CHC13 to give Et

a mixt. of satd. aq. NaHCO3 soln. and CHCl3 to give Et 1-cyclopropyl-9-methyl-4-oxo-8-(4,5,6,7-tetrahydrothieno[3,2-c]pyridin-2-yl)-4H-quinolizine-3-carboxylate (III). III was sapond. by a mixt. of 1

aq. NaOH soln., THF, and ethanol at room temp. for 6 h and neutralized with 1 N aq. hCl soln. to give 96%
1-cyclopropyl-9-methyl-4-oxo-8-(4,5,6,7-tetrahydrothenol,3/2-c]pyridin-2-yl)-4H-quinolizine-3-carboxylic acid (IV). IV and 8-(4-amino-4,5,6,7-tetrahydrothenol)blthiophen-2-yl]-1-cyclopropyl-9-methyl-4-oxo-4H-quinolizine-3-carboxylic acid showed min. inhibitory concn. of 0.063 and s0.008 µg/mL, resp., against Staphylococcus aureus 2099. 8 Pharmaceutical formulations, e.g. a hard capsule contg. IV, were described.

IT 40514-1-3-1P 405141-18-6P 405141-15-3P 405141-13-1P 405141-13-1P 405141-2-2P 405141-2-2P 405141-2-2P 405141-2-2P 405141-3-5-9P 405141-3-5-9P 405141-5-9P 8L: PRC (Pharmacological activity); SPN (Synthetic preparation); THU

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

 $\begin{tabular}{ll} \begin{tabular}{ll} (preparation of oxo-4H-quinolizine carboxylic acid derivs. as antibacterial \end{tabular}$

antibacterial
agents)
agents)
RN 405141-13-1 CAPLUS
RN 4H-Quinolizine-3-carboxylic acid,
1-cyclopropyl-9-methyl-4-oxo-8-(4,5,6,7tetrahydrothieno(3,2-clpyridin-2-yl)- (9CI) (CA INDEX NAME)

ANSWER 7 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 405141-14-2 CAPLUS
CN 4H-Quinolizine-3-carboxylic acid,
1-cyclopropyl-9-methyl-4-oxo-8-{4,5,6,7tetrahydro-5-methylthieno[3,2-c]pyridin-2-yl)- (9CI) (CA INDEX NAME)

405141-15-3 CAPLUS
4H-Quinolizine-3-carboxylic acid,
c/clopropy1-9-methoxy-4-oxo-8-(4,5,6,7tetrahydrothieno[3,2-c]pyridin-2-yl)- (9CI) (CA INDEX NAME)

RN 405141-16-4 CAPLUS
CN 4H-Quinoilizine-3-carboxylic scid,
1-cyclopropyl-7-fluoro-9-methyl-4-oxo-8(4,5,6,7-tetrahydro-4-methylthieno[3,2-c]pyridin-2-yl]- (9CI) (CA INDEX NAME)

ANSWER 7 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 405141-27-7 CAPLUS
CN 4H-Quinolizine-3-carboxylic acid,
1-cyclopropyl-9-methyl-4-cxo-8-[4,5,6,7tetrahydro-6-(hydroxymethyl)thieno[3,2-c]pyridin-2-yl]- (9CI) (CA INDEX

RN 405141-33-5 CAPLUS
CN 4H-Quinolizine-3-carboxylic acid,
1-cyclopropyl-9-methyl-4-oxo-8-{4,5,6,7tetrahydro-7-methoxythieno[3,2-c]pyridin-2-yl)- (9CI) (CA INDEX NAME)

405141-53-9 CAPLUS
4H-Quinolizine-3-carboxylic acid,
-amino-4,5,6,7-tetrahydrothieno[3,2c]pyridin-2-yl)-1-cyclopropyl-9-methyl-4-oxo- (9CI) (CA INDEX NAME)

L6 ANSWER 7 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 405141-18-6 CAPLUS
CN 4H-Quinolizine-3-carboxylic acid,
1-cyclopropyl-7-fluoro-9-methyl-4-oxo-8{4,5,6,7-tetrahydro-6-methylthieno[3,2-c]pyridin-2-yl}- {9CI} (CA INDEX NAME)

RN 405141-20-0 CAPLUS
CN 4H-Quinolizine-3-carboxylic acid,
1-cyclopropyl-9-methyl-4-oxo-8-{4,5,6,7tetrahydro-7-hydroxythieno{3,2-c}pyridin-2-yl}- (9CI) (CA INDEX NAME)

RN 405141-22-2 CAPLUS
CN 4H-Quinolizine-3-carboxylic acid,
1-cyclopropyl-7-fluoro-9-methyl-4-oxo-8(4,5,6,7-tetrahydrothieno[3,2-c]pyridin-2-yl)- (9CI) (CA INDEX NAME)

RN 405141-25-5 CAPLUS
CN 4H-Quinolizine-3-carboxylic acid,
1-cyclopropyl-9-(difluoromethoxy)-4-oxo8-(4,5,6,7-tetrahydrothieno[3,2-c]pyridin-2-yl}- (9CI) (CA INDEX NAME)

ANSWER 7 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) 405141-61-9 CAPLUS 4H-Quinollzine-3-carboxylic acid, 8-{7-amino-4,5,6,7-tetrahydro-5-methylthieno[3,2-c]pyridin-2-yl)-1-cyclopropyl-9-methyl-4-oxo- (9CI) (CA INDEX NAME)

IT 405142-66-7F 405142-67-8P 405142-68-9P
405142-70-3P 405142-71-4P 405142-73-6P
405142-70-9P 405142-71-4P 405142-80-5P
405142-89-4P 405142-91-8P 405143-27-4P
405143-05-7P 405143-22-8P 405143-27-3P
601525-62-6P 601525-64-8P 601525-65-9P
601525-66-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of oxo-4H-quinolizinecarboxylic acid derivs. as antibacterial
agenta)
RN 405142-66-7 CAPLUS
CN 4H-Quinolizine-3-carboxylic acid,
1-cyclopropyl-9-methyl-4-oxo-8-fl4,5,6,7tetrahydro-5-(triphenylmethyl)thieno[3,2-c]pyridin-2-yl]-, ethyl ester (SCI) (CA INDEX NAME)

RN 405142-67-8 CAPLUS
CN 4H-Quinolizine-3-carboxylic acid,
1-cyclopropyl-9-methyl-4-oxo-8-(4,5,6,7tetrahydrothieno[3,2-c]pyridin-2-yl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 405142-68-9 CAPLUS
CN 4H-Quinolizine-3-carboxylic acid,
1-cyclopropyl-9-methyl-4-oxo-8-(4,5,6,7tetrahydrothieno[3,2-c]pyridin-2-yl-, ethyl ester, mono(4methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

CRN 405142-67-8 CMF C23 H24 N2 O3 S

2

RN 405142-70-3 CAPLUS
CN 4H-Quinolizine-3-carboxylic acid,
1-cyclopropyl-9-methoxy-4-oxo-8-(4,5,6,7tetrahydrothieno[3,2-c]pyridin-2-yl)-, ethyl ester, mono(4methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CRN 405142-69-0 CMF C23 H24 N2 O4 S

L6 ANSWER 7 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CM 2

CRN 104-15-4 CMF C7 H8 O3 S

RN 405142-77-0 CAPLUS CN 4H-Quinolizine-3-carboxylic acid, 1-cyclopropyl-7-fluoro-9-methyl-4-oxo-8-

[4,5,6,7-tetrahydro-6-methyl-5-(triphenylmethyl)thieno[3,2-c]pyridin-2-yl], ethyl ester (9CI) (CA INDEX NAME)

RN 405142-79-2 CAPLUS
CN 4H-Quinolizine-3-carboxylic acid,
1-cyclopropyl-7-fluoro-9-methyl-4-oxo-8(4,5,6,7-tetrahydro-6-methylthieno(3,2-c)pyridin-2-yl)-, ethyl ester,
mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CRN 405142-78-1 CMF C24 H25 F N2 O3 S

CM 2

CRN 104-15-4 CMF C7 H8 03 S

RN 405142-71-4 CAPLUS CN 4H-Quinolizine-3-carboxylic acid, 1-cyclopropyl-7-fluoro-9-methyl-4-oxo-8-

[4,5,6,7-tetrahydro-4-methyl-5-{triphenylmethyl}thieno{3,2-c}pyridin-2-yl}-, ethyl ester (9CI) (CA INDEX NAME)

RN 405142-73-6 CAPLUS
CN 4H-Quinolizine-3-carboxylic acid,
1-cyclopropyl-7-fluoro-9-methyl-4-oxo-8(4,5,6,7-tetrahydro-4-methylthieno[3,2-c)pyridin-2-yl)-, ethyl ester,
mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CRN 405142-72-5 CMF C24 H25 F N2 O3 S

L6 ANSWER 7 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CM 2

CRN 104-15-4 CMF C7 H8 O3 S

405142-80-5 CAPLUS
4H-Quinolizine-3-carboxylic acid,
clopropyl-9-methyl-4-oxo-8-[4,5,6,7tetrahydro-7-(methoxymethoxy)-5-(triphenylmethyl)thieno{3,2-c}pyridin-2yl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 405142-89-4 CAPLUS
CN 4H-Quinolizine-3-carboxylic acid,
1-cyclopropyl-9-(difluoromethoxy)-4-oxo8-{4,5,6,7-tetrahydro-5-(triphenylmethyl)thieno{3,2-c}pyridin-2-yl}-,
ethyl ester (9CI) (CA INDEX NAME)

RN 405142-91-8 CAPLUS
CN 4H-Quinolizine-3-carboxylic acid,
1-cyclopropyl-9-(difluoromethoxy)-4-oxo8-(4,5,6,7-tetrahydrothieno[3,2-c]pyridin-2-yl)-, ethyl ester,
mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1 CRN 405142-90-7 CMF C23 H22 F2 N2 O4 S

CM 2

CRN 104-15-4 CMF C7 H8 O3 S

RN 405143-02-4 CAPLUS
CN 4H-Quinolizine-3-carboxylic acid,
1-cycloproyl-9-methyl-4-oxo-8-[4,5,6,7tetrahydro-7-methoxy-5-(triphenylmethyl)thieno[3,2-c]pyridin-2-yl]-,
ethyl
ester (9CI) (CA INDEX NAME)

L6 ANSWER 7 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 405143-27-3 CAPLUS
CN 4H-Quinolizine-3-carboxylic acid,
1-cyclopropyl-9-methyl-4-oxo-8-[4,5,6,7-

tetrahydro-5-methyl-7-[(triphenylmethyl)amino)thieno[3,2-c]pyridin-2-yl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 601525-62-6 CAPLUS
CN 4H-Quinoilzine-3-carboxylic acid,
1-cyclopropyl-9-methoxy-4-oxo-8-(4,5,6,7tetrahydro-5-(triphenylmethyl)thieno[3,2-c]pyridin-2-yl]-, ethyl ester
(9C1) (CA INDEX NAME)

RN 601525-64-8 CAPLUS
CN 4H-Quinolizine-3-carboxylic acid,
1-cyclopropyl-9-methyl-4-oxo-8-[4,5,6,7tetrahydro-7-{methoxymethoxylthieno[3,2-c]pyridin-2-yl}-, ethyl ester,
mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1 CRN 601525-63-7 CMF C25 H28 N2 O5 S

RN 405143-05-7 CAPLUS
CN 4H-Quinolizine-3-carboxylic acid,
1-cyclopropyl-9-methyl-4-oxo-8-{4,5,6,7tetrahydro-7-methoxythieno[3,2-c]pyridin-2-yl)-, ethyl ester,
mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)
CH 1

CRN 405143-04-6 CMF C24 H26 N2 O4 S

CM 2 CRN 104-15-4 CMF C7 H8 O3 S

RN 405143-22-8 CAPLUS
CN 4H-Quinolizine-3-carboxylic acid,
1-cyclopropyl-9-methyl-4-oxo-8-[4,5,7tetrahydro-5-(triphenylmethyl)-7-[(triphenylmethyl) amino]thieno[3,2c]pyridin-2-yl]-, ethyl ester (9CI) (CA INDEX NAME)

L6 ANSWER 7 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CM 2 CRN 104-15-4 CMF C7 H8 O3 S

RN 601525-65-9 CAPLUS
CN 4H-Quinolizine-3-carboxylic acid,
1-cyclopropyl-9-methyl-4-oxo-8-[4,5,6,7-

tetrahydro-6-(hydroxymethyl)-5-(triphenylmethyl)thieno(3,2-c)pyridin-2-yl}-, ethyl ester (9CI) (CA INDEX NAME)

RN 601525-66-0 CAPLUS
CN Thieno[3,2-c]pyridine, 4,5,6,7-tetrahydro-6-[(methoxymethoxy)methyl]-2(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-5-(triphenylmethyl)- [9CI)
(CA INDEX NAME)

L6 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 2003:282570 CAPLUS DOCUMENT NUMBER: 138:304175

DOCUMENT NUMBER: TITLE: Preparation of N-{azabicyclyl}arylamides for therapeutic use as nicotinic acetylcholine receptor

INVENTOR (S):

therapeutic use as nicotinic acetylcholine receptor agonists Walker, Daniel Patrick; Piotrowski, David W.; Jacobsen, Eric Jon; Acker, Brad A.; Wishka, Donn G.; Reitz, Steven Charles; Groppi, Vincent E., Jr. Pharmacia & Upjohn Company, USA PCT Int. Appl., 200 pp.
CODEN: PIXXD2 PATENT ASSIGNEE (S):

SOURCE:

DOCUMENT TYPE: Patent

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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	KG	, KZ,	MD.	RII.	TJ.	TM.	AT.	BE.	BG.	CH.	CY.	CZ.	DE.	DK.	EE.	ES.
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US 2	003153	595		A1		2003	0814		US 2	002-	2622	57		:	20021	001
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		, SI,														
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BG 1	08650			А		2005	0430		BG 2	2004-	1086	50		- 2	20040	324
NO 2	004001	368		A		2004	0601		NO 2	004-	1368			- 2	20040	401
US 2	005222	196		A1		2005	1006		US 2	2005-	1379	12		- 2	20050	526
US 2	005234	092		A1		2005	1020		US 2	2005-	1390	66		- 7	20050	526
PRIORITY	003176 849620 08650 004001 005222 005234 APPLN.	INFO	.:						US 2	2001-	3265	65P	1	P 2	20011	002
									US 2	001-	3266	29P	1	P 2	20011	002
									US 2	001-	33481	86P	,	P 2	20011	115
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									US 2	002-	2622	57	1	A1 2	20021	001
									WO 2	002-	JS29	827	1		20021	001

OTHER SOURCE(S): MARPAT 138:304175

ANSWER 8 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

AB N-(azabicycly1)arylamides, such as RNR1C(:X)W [R = azabicycly1; Rl = H, alky1, cycloalky1, haloalky1, aryl; R2 = H, benzy1, alky1, haloalky1, cycloalky1, aryl; W = heteroary1; X = O, S], were prepared for therapeutic use as nicotinic acetylcholine receptor agonists. These amides are

for the treatment of central nervous system disorders, such as cognitive and attention deficit symptoms of Alzheimer's, neurodegeneration

clated with diseases such as Alzheimer's disease, pre-senile dementia (mild cognitive impairment), senile dementia, schizophrenia, psychosis, attention deficit disorder, attention deficit hyperactivity disorder,

and affective disorders, amyotrophic lateral sclerosis, borderline personality disorder, traumatic brain injury, behavioral and cognitive problems associated with boarn tumors, AIDS dementia complex, dementia associated with Down's syndrome, dementia associated with Down's syndrome, dementia associated with Lowy Bodies, Huntington's disease, depression, general anxiety disorder, age-related macular degeneration, Parkinson's disease, tardive dyskinesia, Pick's disease, post traumatic stress disorder, dysregulation of food intake including bulimia and anorexia nervosa, withdrawal symptoms associated

smoking cessation and dependent drug cessation, Gilles de la Tourette's Syndrome, glaucoma, neurodegeneration associated with glaucoma, or symptoms

associated with pain. Thus, the fumarate salt of amide II was prepared

multistep synthetic sequence which included intramol. cyclization of trans-3-(tert-butoxycarbonylamino)-4-(2-hydroxyethyl)-1-phenylmethylpyrcolidine to form exo-3-(tert-butoxycarbonylamino)-1-azabicyclo[2.2.1]heptane, which contains the target azabicyclic ring, and subsequent amidation of the the corresponding azabicyclic amine with furo[2,3-c]pyridine-5-carboxylic acid. The prepared amides were assayed

IT

human a7-5HT3 receptor binding activity.
508206-50-69 508206-51-7P 508206-53-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (

(preparation of N-(azabicyclyl)arylamides for therapeutic use as nicotinic

nicotinic

acetylcholine receptor agonists)

RN 508206-50-6 CAPLUS

CN Thieno[3,2-c]pyridine-6-carboxamide,

N-(1R,3R,5R)-1-azablcyclo[3,2.1]oct-3y1-2-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 8 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 508206-51-7 CAPLUS
CN Thieno[3,2-c] pyridine-6-carboxamide,
N-(1R,3R,5R)-1-azabicyclo[3,2.1]oct-3yl-2-(1-piperidinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 508206-52-8 CAPLUS
CN Thieno[3,2-c]pyridine-6-carboxamide,
N-(1R,3R,SR)-1-azabicyclo[3,2.1]oct-3y1-2-(4-morpholinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 508206-53-9 CAPLUS
CN Thieno[3,2-c]pyr.ddine-6-carboxamide,
N-(1R,3R,5R)-1-azabicyclo[3,2,1]oct-3y1-2-(4-thiomorpholinyl)- [9CI] (CA INDEX NAME)

ANSWER 8 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 508206-54-0 CAPLUS CN Thieno[3,2-c]pyridine-6-carboxamide, N-(1R,3R,5R)-1-arabicyclo[3,2.1]oct-3-yl-2-(1-piperarinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 508206-55-1 CAPLUS
CN Thieno[3,2-c]pyridine-6-carboxamide,
N-(1R,3R,5R)-1-azabicyclo[3.2.1]oct-3y1-2-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

L6 ANSWER 9 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

AB 7-Aza[2.2.1]bicycloheptane derivs., such as amides I [R1 = H, alkyl, cycloalkyl, haloalkyl, aryl; R2 = H, benzyl, alkyl, haloalkyl, cycloalkyl, aryl; W = heteroaryl; X = O, S], were prepared for therapeutic use as nicotinic acetylcholine receptor agonists. These amides are useful for the treatment of central nervous system disorders, such as cognitive and attention deficit symptoms of Alzheimer's, neurodegeneration associated with with

diseases such as Alzheimer's disease, pre-senile dementia (mild cognitive impairment), senile dementia, schizophrenia, psychosis, attention deficit disorder, attention deficit hyperactivity disorder, mood and affective disorders, amyotrophic lateral sclerosis, borderline personality

disorders, amyotrophic lateral scierosis, wordering problems associated with brain tumors, AIDS dementia complex, dementia associated with Down's syndrome, dementia associated with Lewy Bodies, Huntington's disease, depression, general anxiety disorder, age-related macular degeneration, Parkinson's disease, tardive dyskinesia, Pick's disease, post traumatic stress disorder, dysregulation of food intake including bulimia and anorexia nervosa, withdrawal symptoms associated with smoking cessation and

dependent drug cessation, Gilles de la Tourette's Syndrome, glaucoma, neurodegeneration associated with glaucoma, or symptoms associated with

neurooegeneration associated with glaucoma, or symptoms associated with Thus, amide dihydrochloride II was prepared via a multistep synthetic sequence which included cycloaddn. of N-tert-butoxycarbonylpyrrole with BrC.tplbond.CCO2Me to form the azabicyclic ring, and subsequent amidation reaction of tert-Bu (15,2R,4R)-2-amino-7-azabicyclo[2.2.1]heptane-7-carboxylate with 3-methylfuro[2,3-c]pyridine-5-carboxylic acid. The prepared amides were assayed for human α7-5HT3 receptor binding activity.

S01900-48-79 S01900-46-59 S01900-47-69
S01900-68-79 S01900-49-89 S01900-50-19
S01900-51-29 S01900-52-39 S01900-50-19
S01900-51-29 S01900-55-69 S01900-56-79
S01900-37-89 S01900-53-99 S01900-61-49
S01900-62-59 S01900-63-69 S01900-64-79
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-[7-axa[2.2.1]bicycloheptanyl]arylamides for therapeutic

therapeutic

RN 501900-45-4 CAPLUS

RN 501900-45-4 CAPLUS

CN Thieno(3,2-c)pyridine-6-carboxamide,

N-(18,2R,4R)-7-azabicyclo[2.2.1]hept
2-y1-2-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 9 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN SSION NUMBER: 2003:221697 CAPLUS MENT NUMBER: 138:238006

L6 ANSWER 9 OF ACCESSION NUMBER: DOCUMENT NUMBER: TITLE:

TITLE: Preparation of
N-[7-aza[2.2.1]bicycloheptanyl]arylamid
es for therapeutic use as nicotinic acetylcholine
receptor agonists
INVENTOR(S): Winks, Donn G.; Walker, Daniel Patrick; Corbett,
Jeffrey W.; Reitz, Steven Charles; Rauckhorst, Mark
R.; Groppi, Vincent E.; Jr.
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PTATENT ASPI., 224 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGIAGE:

English

FAMILY ACC. NUM. COUNT:

PA:	TENT						DATE			APPL:						ATE	
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	w.	AF.	AG.	ъ1	AM.	AT.	AU,	AZ.	RA.	BB.	BG.	BR.	BY.	BZ.	CA.	CH.	CN.
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		GM.	HR.	HII.	ID.	TI.	IN,	TS.	JP.	KE.	KG.	KP.	KR.	KZ.	LC.	LK.	LR
		1.5.	LT.	1.11.	ī.v.	MA.	MD,	MG.	MK.	MN.	MW.	MX.	MZ.	NO.	NZ.	OH.	PH.
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EP	1425	286			A1		2004	0609		EP 2	002-	7571	32		2	0020	904
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		TE.	ST.	T.T.	LV.	FT.	RO.	MK.	CY.	AI	TR.	BG.	CZ.	EE.	SK		
BR	2002	0124	77 ·		A		2004	0824		BR 2	002-	1247	7		2	0020	904
JP	2005	5274	72		Т2		2005	0915		JP 2	003-	5269	30		2	0020	904
IORIT	2002 2005 APP	LN.	INFO	. :					1	US 2	001-	3221	00P		P 2	0010	912
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										US 2	001-	3223	46P		P 2	0010	912
									1	US 2	002-	3995	30P		P 2	0020	730
									,	WO 2	002-	US25	959	,	w 2	0020	904

OTHER SOURCE(S): MARPAT 138:238006

ANSWER 9 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

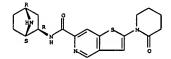
RN 501900-46-5 CAPLUS
CN Thieno[3,2-c]pyridine-6-carboxamide,
N-(15,2R,4R)-7-azabicyclo[2,2.1]hept2-y1-2-{2-oxo-1-pyrrolidinyl}- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501900-47-6 CAPLUS
CN Thieno [3, 2-c] pyridine-6-carboxamide,
N-(18, 2R, 4R) -7-azbicyclo[2, 2, 1] hept2-yl-2-(1-piperidinyl) - (9CI) (CA I (CA INDEX NAME)

Absolute stereochemistry.

RN 501900-48-7 CAPLUS
CN Thieno[3,2-c]pyridine-6-carboxamide,
N-[15,2R,4R]-7-arabicyclo[2.2.1]hept2-y1-2-(2-oxo-1-piperidinyl)- (9CI) (CA INDEX NAME)



RN 501900-49-8 CAPLUS
CN Thieno(3,2-c)pyridine-6-carboxamide,
N-(18,2R,4R)-7-azabicyclo(2.2.1)hept2-y1-2-(4-morpholiny1)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501900-50-1 CAPLUS
CN Thieno(3,2-c)pyridine-6-carboxamide,
N-(1s,2R,4R)-7-azabicyclo(2.2.1)hept2-y1-2-(3-oxo-4-morpholinyl)- (9CI) (CA INDEX NAME)

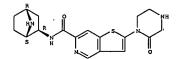
Absolute stereochemistry.

$$\bigcap_{S}^{R} \bigcap_{H} \bigcap_{N} \bigcap_{S} \bigcap_{O}$$

RN 501900-51-2 CAPLUS
CN Thieno[3,2-c]pyridine-6-carboxamide,
N-(18,2R,4R)-7-azabicyclo[2,2.1]hept2-y1-2-(4-thiomorpholinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 9 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 501900-55-6 CAPLUS
CN Thieno[3,2-c)pyridine-6-carboxamide,
N-(15,2R,4R)-7-azabicyclo[2,2.1]hept2-yl-2-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501900-56-7 CAPLUS
CN Thieno(3,2-c)pyridine-6-carboxamide,
N-(18,2R,4R)-7-azablcyclo(2.2.1)hept2-y1-2-(4-methyl-2-oxo-1-piperazinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501900-57-8 CAPLUS
CN Thieno[3,2-c]pyridine-6-carboxamide,
N-(15,2R,4R)-7-arabicyclo[2.2.1]hept2-y1-2-(3-oxo-1-piperazinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501900-52-3 CAPLUS
CN Thieno[3,2-c]pyridine-6-carboxamide,
N-(15,2R,4R)-7-azabicyclo[2.2.1]hept2-yl-2-(3-oxo-4-thiomorpholinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501900-53-4 CAPLUS
Thieno(3,2-c)pyridine-6-carboxamide,
N-(18,2R,4R)-7-cazbicyclo(2.2.1)hept2-y1-2-(1-piperaziny1)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501900-54-5 CAPLUS
CN Thieno(3,2-c)pyridine-6-carboxamide,
N-(15,2R,4R)-7-azabicyclo(2.2.1)hept2-y1-2-(2-oxo-1-piperazinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 9 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 501900-58-9 CAPLUS
CN Thieno[3,2-c]pyridine-6-carboxamide,
N-(1S,2R,4R)-7-azabicyclo[2.2.1]hept2-yl-2-(4-methyl-3-oxo-1-piperazinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501900-61-4 CAPLUS
CN Thieno[3,2-c]pyridine-6-carboxamide,
N-(15,2R,4R)-7-azabicyclo[2,2.1]hept2-y1-2-(1H-pyrrol-1-y1)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501900-62-5 CAPLUS
CN Thieno (3.2-c) pyridine-6-carboxamide,
N-(15.2R.4R)-7-arabicyclo [2.2.1] hept2-y1-2-(1H-imidazol-1-y1)- (9CI) (CA INDEX NAME)

RN 501900-63-6 CAPLUS
CN Thieno[3,2-c]pyridine-6-carboxamide,
N-(15,2R,4R)-7-azabicyclo(2.2.1]hept2-y1-2-(1H-1,2,4-triazol-1-y1)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501900-64-7 CAPLUS
CN Thien(3,2-c)pyridine-6-carboxamide,
N-(15,2R,4R)-7-azablcyclo(2,2.1)hept2-y1-2-(1H-1,2,3-triazol-1-y1)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

ANSWER 10 OF 22 CAPLUS COPYRIGHT 2006 ACS ON STN

2002:964353 CAPLUS

138:24865

EE: Preparation and formulation of N-quinuclidinylheteroaryls as nicotinic acetylcholinergic receptor
modulators for the treatment of a variety of central
nervous system disorders
Wishka, Donn G., Reitz, Steven C.; Piotrowski, David
W.; Groppi, Vincent E., Jr.

RCE: Pharmacia & Upjohn Company, USA
PCT Int. Appl., 262 pp.

CODEN: PIXXD2
PARMT TYPE: Patent
RUAGE: Polymore Company
RUAGE: English
LIV ACC. NUN. COUNT: 1 INVENTOR (S): PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE			
WO 2002100857	A1 20021219	WO 2002-US16568	20020606			
W: AE, AG, AL,	AM, AT, AU, AZ,	BA, BB, BG, BR, BY,	BZ, CA, CH, CN,			
CO, CR, CU,	CZ, DE, DK, DM,	DZ, EC, EE, ES, FI,	GB, GD, GE, GH,			
GM, HR, HU,	ID, IL, IN, IS,	JP, KE, KG, KP, KR,	KZ, LC, LK, LR,			
LS, LT, LU,	LV, MA, MD, MG,	MK, MN, MW, MX, MZ,	NO, NZ, OM, PH,			
PL, PT, RO,	RU, SD, SE, SG,	SI, SK, SL, TJ, TM,	TN, TR, TT, TZ,			
UA, UG, US,	UZ, VN, YU, ZA,	ZM, ZW, AM, AZ, BY,	KG, KZ, MD, RU,			
TJ, TM						
RW: GH, GM, KE,	LS, MW, MZ, SD,	SL, SZ, TZ, UG, ZM,	ZW, AT, BE, CH,			
CY, DE, DK,	ES, FI, FR, GB,	GR, IE, IT, LU, MC,	NL, PT, SE, TR,			
RF. BJ. CF.	CG. CI. CM. GA.	GN. GO. GW. ML. MR.	NE, SN, TD, TG			
CA 2445467	AA 20021219	CA 2002-2445467	20020606			
US 2003045540	A1 20030306	US 2002-163564	20020606			
EP 1406901	A1 20040414	CA 2002-2445467 US 2002-163564 EP 2002-778932	20020606			
R: AT, BE, CH,	DE, DK, ES, FR,	GB, GR, IT, LI, LU,	NL, SE, MC, PT,			
	*** *** ***	OV NI MD				
BR 2002010384	A 20040629	BR 2002-10384	20020606			
CN 1511154	A 20040707	CN 2002-809814	20020606			
JP 2004537532	T2 20041216	JP 2003-503624	20020606			
ZA 2003008844	A 20040628	ZA 2003-8844	20031113			
BR 2002010384 CN 1511154 JP 2004537532 ZA 2003008844 PRIORITY APPLN. INFO.:		US 2001-297708P	P 20010612			
		US 2001-297709P	P 20010612			
		US 2001-297710P	P 20010612			
		US 2001-297711P	P 20010612			
		US 2001-297712P	P 20010612			
		US 2001-328596P	P 20011011			
		US 2002-373495P	P 20020418			
		WO 2002-US16568	W 20020606			

OTHER SOURCE(S): MARPAT 138:24865

L6 ANSWER 10 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

N-quinuclidinyl-heteroaryls, such as amides I [Rl = H, alkyl, cycloalkyl, haloalkyl, aryl; R2 = H, benzyl, alkyl, haloalkyl, cycloalkyl, aryl; W = heteroaryl; X = O, S], were prepared for therapeutic use in the tment of treatment of
central nervous system disorders, such as cognitive and attention deficit
symptoms of Alzheimer's, neurodegeneration associated with diseases such

Alzheimer's disease, pre-senile dementia (mild cognitive impairment), senile dementia, schizophrenia, psychosis, attention deficit disorder, attention deficit hyperactivity disorder, mood and affective disorders amyotrophic lateral sclerosis, borderline personality disorder, traumabrain injury, behavioral and cognitive problems associated with brain research.

brain injury, behavioral and cognitive problems associated with brain tumors,

AIDS dementia complex, dementia associated with Down's syndrome, dementia associated with Lewy Bodies, Huntington's disease, depression, general anxiety disorder, age-related macular degeneration, Parkinson's disease, tardive dyskinesia, pick's disease, post traumatic atress disorder, dysregulation of food intake including bulimia and anorexia nervosa, withdrawal symptoms associated with smoking cessation and dependent drug cessation, Gilles de la Tourette's Syndrome, glaucoma, neurodegeneration associated with glaucoma, or symptoms associated with pain. Thus, (3R)-N-quinuclidinyl amide II was prepared via a multistep synthetic sequence which started from 2-chloro-3-pyridinol and which included intramol. cyclization of 2-chloro-6-(hydroxymethyl)-4-(trimethylsilylethynyl)-3-pyridinol to form

(7-chlorofuro[2,3-c]pyridin-5-y-lypidinol to form
5-yllmethanol in 27% yield using EtN in EtON, elaboration of the alc. to 2.3-dihydrofuro[2,3-c]pyridine-5-carboxylic acid, and, finally, amidation of the acid with (R)-(*)-3-aminoquinuclidine. The prepared quinuclidine derivs. were assayed for nicotinic acetylcholinergic receptor binding activity using brain cell membrane prepared from male Sprague-Dawley

activity using brain cell membrane prepared from male Sprague-Dawley
478151-66-5P 478151-67-6P 478151-68-7P
478151-69-8P 478151-70-1P 478151-71-2P
478151-72-3P 478151-73-4P 478151-71-2P
478151-73-6P 478151-73-4P 478151-71-P
478151-73-6P 478151-73-4P 478151-77-0P
478151-78-6P 478151-79-0P 478151-79-0P
478151-78-7P 478151-79-0P 478151-08-0P
478152-32-1P 478152-33-9P 478152-34-0P
478152-31-1P 478152-32-6-2P 478152-37-3P
478152-38-1P 478152-30-6P 478152-31-3P
478152-38-3P 478152-65-3P 478152-69-4P
478152-44-2P 478152-65-3P 478152-83-1P
478152-44-2P 478152-65-3P 478152-81-3P
478152-69-7P 478152-50-0P 478152-51-1P
478152-69-7P 478152-65-3P 478152-51-1P
478152-69-7P 478152-65-3P 478152-69-6P
478152-69-7P 478152-65-3P 478152-69-6P
478152-69-3P 478152-69-6P

L6 ANSWER 10 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
nicotinic acetylcholinergic receptor modulators for treatment of a
veriety of central nervous system disorders)
RN 47815-166-5 CAPLUS
CN Thieno(3,2-c)pyridine-6-carboxamide,
N-(3R)-1-azebicyclo[2.2.2]cct-3-yl-2(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

DOCUMENT NUMBER: TITLE:

478151-67-6 CAPLUS Thieno[3,2-c]pyridine-6-carboxamide,
{}-1-azabicyclo[2.2.2]oct-3-yl-2(2-oxo-1-pyrrolidiny1)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

478151-68-7 CAPLUS Thieno[3,2-c]pyridine-6-carboxamide, R]-1-arabicyclo[2,22]oct-3-yl-2-(1-piperidinyl)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

RN 478151-69-8 CAPLUS
CN Thieno[3,2-c]pyridine-6-carboxamide,
N-(3R)-1-azabicyclo[2,2.2]oct-3-y1-2(2-oxo-1-piperidinyl)- (9CI) (CA INDEX NAME)

RN 478151-70-1 CAPLUS
CN Thieno[3,2-c]pyridine-6-carboxamide,
N-(3R)-1-azabicyclo[2,2.2]oot-3-yl-2(4-morpholinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 478151-71-2 CAPLUS
CN Thieno[3,2-c]pyridine-6-carboxamide,
N-(3R)-1-azabicyclo[2,2.2]oct-3-yl-2(3-oxo-4-morpholinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 478151-72-3 CAPLUS
CN Thieno[3,2-c]pyridine-6-carboxamide,
N-(3R)-1-azabicyclo[2,2.2]oct-3-yl-2{4-thiomorpholinyl}- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 10 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 478151-77-8 CAPLUS
CN Thieno[3,2-c]pyridine-6-carboxamide,
N-(3R)-1-azabicyclo[2,2.2]oct-3-yl-2(4-methyl-2-oxo-1-piperazinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 478151-78-9 CAPLUS
CN Thieno(3,2-c)pyridine-6-carboxamide,
N-(3R)-1-azabicyclo(2.2.2)oct-3-yl-2(3-oxo-1-piperazinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 478151-79-0 CAPLUS
CN Thieno(3,2-c)pyridine-6-carboxamide,
N-(3R)-1-azabicyclo[2,2.2]oct-3-yl-2(4-methyl-3-oxo-1-piperazinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 10 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Co RN 478151-73-4 CAPLUS CN Thieno[3,2-c]pyridine-6-carboxamide, N-(3R)-1-azabicyclo[2,2.2]oct-3-yl-2-(3-oxo-4-thiomorpholinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 478151-74-5 CAPLUS CN Thieno[3,2-c]pyridine-6-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-y1-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 478151-75-6 CAPLUS
CN Thieno[3,2-c]pyridine-6-carboxamide,
N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2{2-oxo-1-piperazinyl}- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 478151-76-7 CAPLUS CN Thieno[3,2-c]pyridine-6-carboxamide, N-(3R)-1-azabicyclo[2,2.2]oct-3-y1-2-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 10 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 478151-82-5 CAPLUS CN Thieno(3,2-c)pyridine-6-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 478151-83-6 CAPLUS
CN Thieno[3,2-c]pyridine-6-carboxamide,
N-(3R)-1-azabicyclo[2.2.2]oct-3-y1-2(1H-imidazo1-1-y1)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 478151-84-7 CAPLUS
CN Thleno[3,2-c]pyridine-6-carboxamide,
N-(3R)-1-azabicyclo[2,2.2]oct-2-yl-2(1H-1,2,4-triazol-1-yl)- (9CI) (CA INDEX NAME)

RN 478151-85-8 CAPLUS
CN Thieno[3,2-c]pyridine-6-carboxamide,
N-(3R)-1-azabicyclo[2,2.2]oct-3-yl-2(1H-1,2,3-triazol-1-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

478152-32-8 CAPLUS
Thieno[3,2-c]pyridine-6-carboxamide, N-[(2S,3R)-2-methyl-1-azabicyclo[2,2.2]oct-3-yl]-2-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

478152-33-9 CAPLUS
Thieno[3,2-c]pyridine-6-carboxamide, N-[(25,3R)-2-methyl-1azabicyclo[2.2.2]oct-3-yl]-2-(2-oxo-1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 10 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

478152-38-4 CAPLUS

Thieno[3,2-c]pyridine-6-carboxamide, N-[(25,3R)-2-methyl-1-azabicyclo[2.2.2]oct-3-yl]-2-(4-thiomorpholinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

478152-39-5 CAPLUS
Thieno[3,2-c]pyridine-6-carboxamide, N-{(25,3R)-2-methyl-1-azabicyclo[2.2.2]oct-3-yl]-2-(3-oxo-4-thiomorpholinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

478152-40-8 CAPLUS Thieno[3,2-c]pyridine-6-carboxamide, N-[(28,3R)-2-methyl-1-azabicyclo[2,2.2]oct-3-yl]-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 10 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

478152-34-0 CAPLUS

Thleno[3,2-c]pyridine-6-carboxamide, N-[(25,3R)-2-methyl-1-azabicyclo[2.2.2]oct-3-yl]-2-(1-piperidinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

478152-35-1 CAPLUS
Thieno[3,2-c)pyridine-6-carboxamide, N-[(2S,3R)-2-methyl-1-azebicyclo[2.2.2]oct-3-yl]-2-(2-oxo-1-piperidinyl)- (9CI) (CA INDEX

Absolute stereochemistry.

478152-36-2 CAPLUS
Thieno[3,2-c]pyridine-6-carboxamide, N-{(2S,3R)-2-methyl-1-azabicyclo[2.2.2]oct-3-yl]-2-(4-morpholinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

478152-37-3 CAPLUS Thieno[3,2-c]pyridine-6-carboxamide, N-{(2S,3R)-2-methyl-1-azabicyclo[2,2:2)oct-3-yl]-2-(3-oxo-4-morpholinyl)- (9C1) (CA INDEX

Absolute stereochemistry.

ANSWER 10 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) 478152-41-9 CAPLUS Thieno[3,2-c]pyridine-6-carboxamide, N-[(25,3R)-2-methyl-1-azabicyclo[2.2.2]oct-3-yl]-2-(2-oxo-1-piperazinyl)- (9CI) (CA INDEX

Absolute stereochemistry.

478152-42-0 CAPLUS
Thieno[3,2-c]pyridine-6-carboxamide, N-[(2S,3R)-2-methyl-1-azabicyclo[2.2.2]oct-3-yl]-2-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

478152-43-1 CAPLUS
Thieno[3,2-c]pyridine-6-carboxamide, N-[(25,3R)-2-methyl-1-azabicyclo(2,2,2)oct-3-yl)-2-(4-methyl-2-oxo-1-piperazinyl)- (9CI) (CA

Absolute stereochemistry.

478152-44-2 CAPLUS
Thieno[3,2-c]pyridine-6-carboxamide, N-[(25,3R)-2-methyl-1-azabicyclo[2.2.2]oct-3-yl]-2-(3-oxo-1-piperazinyl)- (9CI) (CA INDEX NAMEL

478152-45-3 CAPLUS
Thieno[3,2-c]pyridine-6-carboxamide, N-[(2S,3R)-2-methyl-1arabicyclo[2.2.2]oct-3-yl]-2-(4-methyl-3-oxo-1-piperazinyl)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

CAPLUS Thieno[3,2-c]pyridine-6-carboxamide, N-[(25,3R)-2-methyl-1-azabicyclo[2.2.2]oct-3-yl}-2-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

478152-49-7 CAPLUS Thieno(3,2-c)pyridine-6-carboxamide, 2-(1H-imidazol-1-y1)-N-[(2S,3R)-2-methyl-1-azabicyclo[2.2.2]oct-3-y1]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 11 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 2002:315475 CAPLUS DOCUMENT NUMBER: 136:340597

DOCUMENT NUMBER: TITLE:

INVENTOR (S):

136:340597
Preparation of quinoline- and naphthyridinecarboxylic acid antibacterials
Elmore, Steven W.; Cooper, Curt S.; Schultz, Colleen C.; Hutchinson, Douglas K.; Donner, Pamela L.; Green, Brian E.; Anderson, David D.; Xie, Qinghua; Dinges, Jurgen; Lynch, Linda M.; Pratt, John K.
USA
USA
USA
USA
US. Par Pari

PATENT ASSIGNEE(S): SOURCE:

U.S. Pat. Appl. Publ., 222 pp., Cont.-in-part of U.

Ser. No. 705,332. CODEN: USXXCO Patent English 2

DOCUMENT TYPE: LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE US 2002049223 PRIORITY APPLN. INFO.: 20010507 P 19991105 A1 20020425 US 2001-850664 US 1999-163920P us 2000-705332 A2 20001103

OTHER SOURCE(S): MARPAT 136:340597

Title compds. I [A1 = N, (un)substituted CH; A2 = S, O, (un)substituted NH; R1, R15 = H, alkyl, halo, NO2, (un)protected NH2; 2 = N, (un)substituted CH; R3 = (un)substituted alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocyclic; R4 = H, (un)substituted OH; R4R5 = atoms

ANSWER 10 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

478152-50-0 CAPLUS
Thieno[3,2-c]pyridine-6-carboxamide, N-[(2S,3R)-2-methyl-1-azabicyclo[2.2.2]oct-3-yl]-2-(lH-1,2,4-triazol-1-yl)- (9CI) (CA INDEX

Absolute stereochemistry.

CAPLUS

Thieno[3,2-c]pyridine-6-carboxamide, N-[(25,3R)-2-methyl-1-azabicyclo[2.2.2]oct-3-yl}-2-(1H-1,2,3-triazol-1-yl)- (9CI) (CA INDEX

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

ANSWER 11 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) required to complete an (un) substituted carbocycle or heterocycle) and their pharmaceutically acceptable saits, were prepd. for use as antibacterial agents. Thus, 2-thienylethylamine was methylenated and cyclized to 4,5,6,7-tetrahydrothienoi3,2-clpyridine which was tritylated in the 5-position, tributylstannylated, and treated with Et 7-bromon-1-cyclopropyl-8-methoxy4-cxo-1,4-dihydro-3-quinolinecarboxylate, followed by ester hydrolysis to give the title compd. II. II had an min. inhibitor concn. against Staphylococcus aureus ATCC 6538P of 0.05 mg/mL. 339050-55-4P 339050-55-8P 339050-67-6P 339050-67-8P 339050-71-4P 339050-9P 339050-70-2P 339050-71-4P 339050-9P 339053-95-2P 339050-91 339053-95-3P 339053-95-3P 339053-95-3P 339053-95-3P 339053-95-3P 339053-95-3P 339053-95-3P 339053-95-3P 339053-95-3P 339053-97-9P 339053-95-9P 339053

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
{preparation of quinoline- and naphthyridinecarboxylic acid
antibacterials)
RN 339050-55-4 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-4-oxo-7-(4,5,6,7-tetrahydrothieno[3,2-c]pyridin-2-yl)-, hydrochloride (9CI) (CA INDEX NAME)

●x HC1

339050-56-5 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo-7-(4,5,6,7-tetrahydrothieno[3,2-c]pyridin-2-yl)-, hydrochloride (9CI) (CA INDEX NAME)

ANSWER 11 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

●x HCl

339050-57-6 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 1-cyclopropyl-1,4-dihydro-4-oxo-7-(4,5,6,7-tetrahydrothieno[3,2-c]pyridin-2-yl)-, hydrochloride (9CI) (CA INDEX NAME)

●x HCl

RN 339050-58-7 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid,
1-cyclopropyl-6-fluoro-1,4-dihydro-4oxo-7-(4,5,6,7-tetrahydrothieno[3,2-c]pyridin-2-yl)-, hydrochloride (9CI)
(CA INDEX NAME)

•x HC1

339050-59-8 CAPLUS

ANSWER 11 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

•x HBr

339050-67-8 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-4-oxo-7-{4,5,6,7-tetrahydro-6-methylthieno[3,2-c]pyridin-2-yl)-, hydrobromide (9CI) (CA INDEX NAME)

•x HBr

RN 339050-68-9 CAPLUS
CN 3-Quinolinecarboxylic acid,
1-cyclopropyl-8-[difluoromethoxy]-1,4-dihydro4-oxo-7-(4,5,6,7-tetrahydro-6-methylthleno[3,2-c]pyridin-2-yl}-,
hydrobromide (9CI) (CA INDEX NAME)

●x HBr

L6 ANSWER 11 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 3-Quinolinecarboxylic acid,
1-cyclopropyl-8-idifluoromethoxy)-1,4-dihydro4-oxo-7-(4,5,6,7-tetrahydrothieno[3,2-c]pyridin-2-yl)-, hydrochloride
(9CI) (CA INDEX NAME)

•x HCl

339050-65-6 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 1-cyclopropyl-1,4-dihydro-4-oxo-7{4,5,6,7-tetrahydro-6-methylthieno[3,2-c]pyridin-2-yl]-, hydrobromide
{9CI} (CA INDEX NAME)

•x HBr

RN 339050-66-7 CAPLUS
CN 1,8-Maphthyridine-3-carboxylic acid,
1-cyclopropyl-6-fluoro-1,4-dihydro-4oxo-7-(4,5,6,7-tetrahydro-6-methylthieno{3,2-c}pyridin-2-yl)-,
hydrobromide (9CI) (CA INDEX NAME)

ANSWER 11 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo-7(4,5,6,7-tetrahydro-6-methylthieno[3,2-c]pyridin-2-yl}-, hydrobromide
(9CI) (CA INDEX NAME)

•x HBr

339050-70-3 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-4-oxo-7-(4,5,6,7-tetrahydro-4-methylthieno{3,2-c]pyridin-2-yl)-, hydrobromide (9CI) (CA INDEX NAME)

339050-71-4 CAPLUS
1,8-Naphthyridine-3-carboxylic acid, 1-cyclopropyl-1,4-dihydro-4-oxo-7-(4,5,6,7-tetrahydro-4-methylthieno[3,2-c]pyridin-2-yl)-, hydrochloride
(9CI) (CA INDEX NAME)

●x HCl

RN 339050-72-5 CAPLUS
CN 1.8-Maphthyridine-3-carboxylic acid,
1-cyclopropyl-6-fluoro-1.4-dlhydro-4oxo-7-(4,5,6,7-tetrahydro-4-methylthieno[3,2-c}pyridin-2-yl)-,
hydrochloride (9cI) (CA INDEX NAME)

●x HCl

339050-73-6 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo-7-(4,5,6,7-tetrahydro-4-methylthieno[3,2-c]pyridin-2-yl)-, hydrobromide
(9CI) (CA INDEX NAME)

●x HBr

ANSWER 11 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

●x HBr

339050-80-5 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo-7-(4,5,6,7-tetrahydro-7,7-dimethylthieno[3,2-c]pyridin-2-yl}-, hydrobromide
(9CI) (CA INDEX NAME)

•x HBr

RN 339050-81-6 CAPLUS
CN 1,8-Maphthyridine-3-carboxylic acid,
1-cyclopropyl-6-fluoro-1,4-dihydro-4oxo-7-(4,5,6,7-tetrahydro-7,7-dimethylthieno(3,2-c|pyridin-2-yl)-,
hydrobromide (9CI) (CA INDEX NAME)

●x HBr

L6 ANSWER 11 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 339050-74-7 CAPLUS
CN 3-Quinolinecarboxylic acid,
1-cyclopropyl-8-[difluoromethoxy]-1,4-dihydro4-oxo-7-[4.5,6,7-tetrahydro-4-methylthieno[3,2-c]pyridin-2-yl)-,
hydrobromide (9CI) (CA INDEX NAME)

•х нвг

339050-78-1 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 1-cyclopropyl-1,4-dihydro-4-oxo-7-(4,5,6,7-tetrahydro-7,7-dimethylthieno[3,2-c]pyridin-2-yl)-, hydrobromide
(9CI) (CA INDEX NAME)

●х нвг

339050-79-2 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-4-oxo-7-(4,5,6,7-tetrahydro-7,7-dimethylthieno[3,2-c]pyridin-2-yl)-, hydrobromide (9CI) (CA INDEX NAME)

L6 ANSWER 11 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 3-Quinolinecarboxylic acid,
1-cyclopropyl-8-(difluoromethoxy)-1,4-dihydro4-oxo-7-(4,5,6,7-tectrahydro-7,7-dimethylthieno[3,2-c]pyridin-2-yl)-,
hydrobromide {9CI} (CA INDEX NAME)

●x HBr

339053-35-9 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo-7-(4,5,6,7-tetrahydro-7-hydroxythieno[3,2-c]pyridin-2-yl)-, hydrochloride
(9CI) (CA INDEX NAME)

●x HCl

339053-36-0 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo-7-(4,5,6,7-tetrahydro-7-oxothieno[3,2-c]pyridin-2-yl)-, hydrochloride (9CI) (CA INDEX NAME)

●x HCl

339053-40-6 CAPLUS
3-Quinolinecarboxylic acid, 7-(7-amino-4,5,6,7-tetrahydrothieno[3,2-c]pyridin-2-yl)-1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo- [9CI] (CA INDEX NAME)

339053-52-0 CAPLUS
3-Quinolinecarboxylic acid, 7-{7-azido-4,5,6,7-tetrahydrothieno{3,2-c|pyridin-2-y1}-1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo- {9CI} (CA INDEX NAME)

339053-55-3 CAPLUS
3-Quinolinecarboxylic acid, 7-(5-acetyl-7-amino-4,5,6,7-

tetrahydrothieno{3,2-c}pyridin-2-y1}-1-cyclopropy1-1,4-dihydro-8-methoxy-4-oxo- (9CI) (CA INDEX NAME)

ANSWER 11 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

339053-94-0 CAPLUS
1,8-Naphthyridine-3-carboxylic acid, 1-cyclopropyl-1,4-dihydro-4-oxo-7-(4,5,6,7-tetrahydrothieno[3,2-c]pyridin-2-yl)- (9CI) (CA INDEX NAME)

RN 339053-95-1 CAPLUS
CN 1.8-Naphthyridine-3-carboxylic acid,
1-cyclopropyl-6-fluoro-1,4-dihydro-4oxo-7-(4,5,6,7-tetrahydrothieno[3,2-c|pyridin-2-yl)- (9CI) (CA INDEX NAME)

RN 339053-96-2 CAPLUS
CN 3-Quinolinecarboxylic acid,
1-cyclopropyl-8-(difluoromethoxy)-1,4-dihydro4-oxo-7-{4,5,6,7-tetrahydrothieno[3,2-c]pyridin-2-yl)- (9CI) (CA INDEX NAME)

339054-02-3 CAPLUS
1,8-Naphthyridine-3-carboxylic acid, 1-cyclopropyl-1,4-dihydro-4-oxo-7-(4,5,6,7-tetrahydro-6-methylthieno(3,2-c)pyridin-2-yl)-(9CI) (CA INDEX NAME)

L6 ANSWER 11 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

339053-56-4 CAPLUS
3-Quinolinecarboxylic acid, 7-[7-amino-4,5,6,7-tetrahydro-5-(methylsulfonyl)thieno[3,2-c]pyridin-2-yl]-1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo- (9CI) (CA INDEX NAME)

339053-92-8 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-1, 4-dihydro-4-oxo-7-(4,5,6,7-tetrahydrothieno[3,2-c]pyridin-2-yl)- (9CI) (CA INDEX NAME)

339053-93-9 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo-7(4,5,6,7-tetrahydrothieno(3,2-c)pyridin-2-yl)- (9CI) (CA INDEX NAME)

ANSWER 11 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 339054-03-4 CAPLUS
CN 1.8-Maphthyridine-3-carboxylic acid,
1-cyclopropyl-6-fluoro-1,4-dihydro-4oxe-7-(4,5,6,7-tetrahydro-6-methylthieno[3,2-c]pyridin-2-yl)- (9CI) (CA
INDEX NAME)

339054-04-5 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-4-oxo-7-(4,5,6,7-tetrahydro-6-methylthieno[3,2-c]pyridin-2-yl)- (9CI) (CA INDEX NAME)

RN 339054-05-6 CAPLUS
CN 3-Quinolinecarboxylic acid,
1-cyclopropyl-8-(difluoromethoxy)-1,4-dihydro4-oxo-7-(4,5,6,7-tetrahydro-6-methylthieno[3,2-c]pyridin-2-yl)- (9CI)

INDEX NAME)

339054-06-7 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo-7-(4,5,6,7-tetrahydro-6-methylthieno[3,2-c]pyridin-2-yl)- (9CI) (CA INDEX NAME)

339054-07-8 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-4-oxo-7-(4,5,6,7-tetrahydro-4-methylthieno[3,2-c]pyridin-2-yl)- (9CI) (CA INDEX NAME)

339054-08-9 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 1-cyclopropyl-1,4-dihydro-4-oxo-7(4,5,6,7-tetrahydro-4-methylthieno(3,2-c]pyridin-2-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 11 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

339054-15-8 CAPLUS

1,8-Maphthyridine-3-carboxylic acid, 1-cyclopropyl-1,4-dihydro-4-oxo-7-(4,5,6,7-tetrahydro-7,7-dimethylthieno[3,2-c]pyridin-2-yl)- (9CI) (CA INDEX NAME)

339054-16-9 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-4-oxo-7-(4,5,6,7-tetrahydro-7,7-dimethylthieno(3,2-c)pyridin-2-yl)- (9CI) (CA INDEX NAME)

339054-17-0 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo-7-(4,5,6,7-tetrahydro-7,7-dimethylthieno[3,2-c]pyridin-2-yl)- (9CI) (CA IMDEX NAME)

ANSWER 11 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

RN 339054-09-0 CAPLUS
CN 1,8-Maphthyridine-3-carboxylic acid,
1-cyclopropyl-6-fluoro-1,4-dihydro-4oxo-7-(4,5,6,7-tetrahydro-4-methylthieno[3,2-c]pyridin-2-yl)- (9CI) (CA
INDEX NAME)

339054-10-3 CAPLUS

3-9uinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo-7-(4,5,6,7-tetrahydro-4-methylthieno(3,2-c)pyridin-2-yl)- (9CI) (CA INDEX

RN 339054-11-4 CAPLUS
CN 3-Quinolinecarboxylic acid,
1-cyclopropyl-8-(difluoromethoxy)-1,4-dihydro4-oxo-7-(4,5,6,7-tetrahydro-4-methylthieno[3,2-c]pyridin-2-yl)- (9CI)

INDEX NAME)

ANSWER 11 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

339054-18-1 CAPLUS

NN 339034-18-1 CAPLUS

(N 1,8-Maphthyridine-3-carboxylic acid,
1-cyclopropyl-6-fluoro-1,4-dihydro-4oxo-7-(4,5,6,7-tetrahydro-7,7-dimethylthieno[3,2-c]pyridin-2-yl)- (9CI)
(CA INDEX NAME)

RN 339054-19-2 CAPLUS
CN 3-Quinolinecarboxylic acid,
1-cyclopropyl-8-(difluoromethoxy)-1,4-dihydro4-oxo-7-(4,5,6,7-tetrahydro-7,7-dimethylthieno[3,2-c]pyridin-2-yl)- (9CI)
(CA INDEX NAME)

339054-67-0 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo-7-(4,5,6,7-tetrahydro-7-oxothieno(3,2-c]pyridin-2-yl)- (9CI) (CA INDEX NAME)

IT 339057-00-0 339057-01-1 339057-05-5 339057-15-7 339057-18-0 339057-19-1 RL: RCT (Reactant or reagent) (preparation of quinoline- and naphthyridinecarboxylic acid antibacterials) RN 339057-00-0 CAPLUS CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-[5-[[1,1-

dimethylethoxy)carbonyl]-4,5,6,7-tetrahydro-7-hydroxythieno[3,2-c]pyridin-2-yl]-1,4-dihydro-8-methoxy-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)

339057-01-1 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-7-[5-{(1,1-dimeth)ethoxy)carbonyl]-4,5,6,7-tetrahydro-7-oxothieno(3,2-c]pyridin-2-yl]-1,4-dihydro-8-methoxy-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)

339057-05-5 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-7-[5-[{1,1-dimethylethoxylcarbonyl}-7-[[(1,1-dimethylethoxylcarbonyl]amino]-4,5,6,7-tetrahydrothieno[3,2-c]pyridin-2-yl]-1,4-dihydro-8-methoxy-4-oxo-, ethyleater [9CI] (CA INDEX NAME)

ANSWER 11 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

IT

339054-93-2P 339054-94-3P 339054-95-4P 339054-97-6P 339054-98-P 339054-99-8P 339054-99-8P 339055-12-6P 339055-12-6P 339055-13-P 339055-13-9P 339055-13-9P 339055-13-9P 339055-13-9P 339055-13-9P 339055-13-9P 339055-14-9P 339055-23-1P 339055-24-2P 339055-23-1P 339055-24-2P 339055-23-1P 339055-23-1P 339055-23-1P 339055-33-9P 339055-33-69P 339055-33-69P 339055-33-69P 339055-33-69P 339056-38-1P RLS RCT (Resctant). SPM (Synthetic Proceedings)

339056-36-98 339056-37-0P 339056-38-1P

RL: RCT (Reactant); SPN (synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of quinoline- and naphthyridinecarboxylic acid antibacterials)

RN 339034-93-2 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-4-oxo-7-{4,5,6,7-tetrahydro-5-(triphenylmethyl)thieno[3,2-c]pyridin-2-yl]- (9CI) (CA INDEX INDEX

NAME)

339054-94-3 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo-7-[4,5,6,7-tetrahydro-5-(triphenylmethyl)thieno[3,2-c]pyridin-2-yl]-, ethyl ester (9CI) (CA INDEX NAME)

ANSWER 11 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

339057-15-7 CAPLUS
3-Quinolinecarboxylic acid, 7-[7-azido-5-[{1,1-dimethylethoxy}carbonyl]-4,5,6,7-tetrahydrothieno(3,2-c]pyridin-2-yl]-1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)

339057-18-0 CAPLUS
3-Quinolinecarboxylic acid, 7-[5-acetyl-7-[[{1,1-dinethylethoxylcarbonyl]amino]-4,5,6,7-tetrahydrothieno{3,2-c]pyridin-2-yl]-1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo- {9CI} (CA INDEX NAME) RN CN

339057-19-1 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-7-[7-[[(1,1-dimethylethoxy]carbonyl]amino]-4,5,6,7-tetrahydro-5(methylsulfonyl)thieno[3,2-c]pyridin-2-yl]-1,4-dihydro-8-methoxy-4-oxo(9CI) (CA INDEX NAME)

ANSWER 11 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

339054-95-4 CAPLUS

3-3903-93-4 CAPUS 3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo-7-[4,5,6,7-tetrahydro-5-(triphenylmethyl)thieno[3,2-c]pyridin-2-yl]- [9CI) (CA INDEX NAME)

339054-97-6 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 1-cyclopropyl-1,4-dihydro-4-oxo-7[4,5,6,7-tetrahydro-5-(triphenylmethyl)thieno[3,2-c]pyridin-2-yl]- [9CI)(CA INDEX NAME)

RN 339054-98-7 CAPLUS
CN 1,8-Maphthyridine-3-carboxylic acid,
1-cyclopropyl-6-fluoro-1,4-dihydro-4oxo-7-(4,5,6,7-tetrahydro-5-(triphenylmethyl)thieno[3,2-c]pyridin-2-yl]-,
ethyl ester (9CI) (CA INDEX NAME)

RN 339054-99-8 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid,
1-cyclopropyl-6-fluoro-1,4-dihydro-4oxo-7-[4,5,6,7-tetrahydro-5-(triphenylmethyl)thieno[3,2-c]pyridin-2-yl](9CI) (CA INDEX NAME)

RN 339055-00-4 CAPLUS
CN 3-Quinolinecarboxylic acid,
1-cyclopropyl-8-{difluoromethoxy}-1,4-dihydro-

4-oxo-7-[4,5,6,7-tetrahydro-5-(triphenylmethyl)thieno[3,2-c]pyridin-2-yl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 339055-01-5 CAPLUS
CN 3-Quinolinecarboxylic acid,
1-cyclopropyl-8-(difluoromethoxy)-1,4-dihydro-

4-oxo-7-[4,5,6,7-tetrahydro-5-(triphenylmethyl)thieno[3,2-c]pyridin-2-yl](9CI) (CA INDEX NAME)

L6 ANSWER 11 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 339055-15-1 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid,
1-cyclopropyl-6-fluoro-1,4-dihydro-6
oxo-7-[4,5,6,7-tetrahydro-6-methyl-5-{(phenylmethoxy)carbonyl}thieno[3,2-c]pyridin-2-yl]- (9CI) (CA INDEX NAME)

339055-16-2 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-4-oxo-7-[4,5,6,7-

tetrahydro-6-methyl-5-{(phenylmethoxy)carbonyl}thieno{3,2-c}pyridin-2-yl}(9CI) (CA INDEX NAME)

RN 339055-17-3 CAPLUS
CN 3-Quinolinecarboxylic acid,
1-cyclopropyl-8-(difluoromethoxy)-1,4-dihydro-

4-oxo-7-[4,5,6,7-tetrahydro-6-methyl-5-[(phenylmethoxy)carbonyl]thieno[3,2-c]pyridin-2-yl]-, ethyl ester (9CI) (CA INDEX NAME)

L6 ANSWER 11 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

339055-12-8 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 1-cyclopropyl-1,4-dihydro-4-oxo-7[4,5,6,7-tetrahydro-6-methyl-5-[(phenylmethoxy)carbonyl]thieno[3,2-c]pyridin-2-yl]-, ethyl ester (9CI) (CA INDEX NAME)

339055-13-9 CAPLUS
1,8-Naphthyridine-3-carboxylic acid, 1-cyclopropyl-1,4-dihydro-4-oxo-7[4,5,6,7-tetrahydro-6-methyl-5-{(phenylmethoxy)carbonyl}thieno[3,2-c]pyridin-2-yl]- (9CI) (CA INDEX NAME)

RN 339055-14-0 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid,
1-cyclopropyl-6-fluoro-1,4-dihydro-4oxo-7-[4,5,6,7-tetrahydro-6-methyl-5-[(phenylmethoxy)carbonyl]thieno{3,2-c}pyridin-2-yl]-, ethyl ester (9CI) (CA INDEX NAME)

L6 ANSWER 11 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 339055-18-4 CAPLUS CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-8-(difluoromethoxy)-1,4-dihydro-

4-oxo-7-[4,5,6,7-tetrahydro-6-methyl-5-[(phenylmethoxy)carbonyl]thieno[3,2-c)pyridin-2-yl]- (9CI) (CA INDEX NAME)

339055-19-5 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo-7-[4,5,6,7-tetrahydro-6-methyl-5-[(phenylmethoxy)carbonyl]thieno[3,2-c)pyridin-2-yl]- (9CI) (CA INDEX NAME)

339055-23-1 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-4-oxo-7-[4,5,6,7-

tetrahydro-4-methyl-5-[(phenylmethoxy)carbonyl]thieno[3,2-c]pyridin-2-yl](9CI) (CA INDEX NAME)

339055-24-2 CAPLUS
1,8-Naphthyridine-3-carboxylic acid, 1-cyclopropyl-1,4-dihydro-4-oxo-7-[4,5,6,7-tetrahydro-4-methyl-5-([phenylmethoxy]carbonyl}thieno[3,2-c]pyridin-2-yl]- (9CI) (CA INDEX NAME)

RN 339055-25-3 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid,
1-cyclopropyl-6-fluoro-1,4-dihydro-4oxo-7-[4,5,6,7-tetrahydro-4-methyl-5-[{phenylmethoxy}carbonyl]thieno[3,2-c)pyridin-2-yl]- (9CI) [CA INDEX NAME)

339055-26-4 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo-7-{4,5,6,7-tetrahydro-4-methyl-5-{(phenylmethoxy)carbonyl]thieno[3,2-c)pyridin-2-yl]- (9CI) (CA INDEX NAME)

L6 ANSWER 11 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

339055-40-2 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo-7-[4,5,6,7-tetrahydro-7,7-dimethyl-5-[{phenylmethoxy}carbonyl}thieno[3,2-c]pyridin-2-yl]- [OCI] (CA INDEX NAME)

RN 339055-41-3 CAPLUS CN 1,8-Naphthyridine-3-carboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-4-

oxo-7-[4,5,6,7-tetrahydro-7,7-dimethyl-5-([phenylmethoxy]carbonyl]thieno[3,2-c]pyridin-2-yl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 339055-42-4 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid,
1-cyclopropyl-6-fluoro-1,4-dihydro-4-

oxo-7-[4,5,6,7-tetrahydro-7,7-dimethyl-5-[(phenylmethoxy)carbonyl]thieno{3,2-c]pyridin-2-yl]- (9CI) (CA INDEX NAME)

L6 ANSWER 11 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

RN 339055-27-5 CAPLUS
CN 3-Quinolinecarboxylic acid,
1-cyclopropyl-8-{difluoromethoxy}-1,4-dihydro-

4-oxo-7-[4,5,6,7-tetrahydro-4-methyl-5-[[phenylmethoxy]carbonyl]thieno[3,2-c]pyridin-2-yl] (GA INDEX NAME)

339055-38-8 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 1-cyclopropyl-1,4-dihydro-4-oxo-7-{4,5,6,7-tetrahydro-7,7-dimethyl-5-[(phenylmethoxy)carbonyl]thieno[3,2-c]pyridin-2-yl]- (9CI) (CA INDEX NAME)

339055-39-9 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-4-oxo-7-[4,5,6,7-

tetrahydro-7,7-dimethyl-5-[(phenylmethoxy)carbonyl]thieno[3,2-c]pyridin-2-yl]- (9CI) (CA INDEX NAME)

ANSWER 11 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 339055-43-5 CAPLUS CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-8-{difluoromethoxy}-1,4-dihydro-

4-oxo-7-[4,5,6,7-tetrahydro-7,7-dimethyl-5-[(phenylmethoxy)carbonyl]thieno [3,2-c]pyridin-2-yl]- (9CI) (CA INDEX NAME)

339056-36-9 CAPLUS
3-Quinolinecarboxylic acid, 7-{7-azido-4,5,6,7-tetrahydrothieno[3,2-c]pyridin-2-yl)-1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo-, ethyl ester (SCI) (CA INDEX NAME)

339056-37-0 CAPLUS
3-Quinolinecarboxylic acid, 7-(5-acetyl-7-azido-4,5,6,7-

tetrahydrothieno[3,2-c]pyridin-2-yl)-1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo-, cthyl ester (9CI) (CA INDEX NAME)

339056-38-1 CAPLUS 3-9uinolinecarboxylic acid, 7-[7-azido-4,5,6,7-tetrahydro-5-(methylsulfonyl)thieno[3,2-c]pyridin-2-yl]-1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo-, ethyl ester (9Cl) (CA INDEX NAME)

ANSWER 12 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) hydroxy-C1-4 alkyl, C1-4 alkyloxyimino, NH2 or amino-C1-4 alkyl L6 optionally

Mealby N-substituted by 1 or 2≥ substituents selected from C1-4 alkyl and C3-7 cycloalkyl, a group forming a C3-5 cycloalkane ring together with

oxo or a carbon atom to which it is attached; provided that the condensed

ring

ring formed by the Ph ring and the G ring in Q1 is not a tetrahydroisoquinoline ring1, eaters thereof, or pharmacol. acceptable salts thereof, are prepd. Thus, a soln. of 0.98 g 4-(tert-butoxycarbonylamino)-6-tert-butoxycarbonyl-7,7-dimethyl-4,5,6,7-tetrahydrothieno[2,3-c]pyridine in 40 mL THF was treated dropwise with 7.7 mL 1.0 M s-butyllithium/hexane at \$70° over 5 min, stirred for 30 min at the same temp., treated dropwise with 0.86 mL tri-Me borate, stirred for 30 min to give 1.12 g [4-(tett-butoxycarbonylamino)-6-tett-butoxycarbonyl-7,7-dimethyl-4,5,6,7-tetrahydrothieno[2,3-c]pyridin-2-yl)boronic acid di-Me ester which which

which
was treated with 34 mL toluene/EtOH (7/3) and 1.7 mL 2 M aq. Na2CO3 and
then with 0.55 g Et 8-chloro-1-cyclopropyl-7-fluoro-9-methyl-4-oxo-4Hquinolizine-3-carboxylate and 0.26 g
tetrakia(triphenylphosphine)palladium
(0), and stirred at 80° for 3 h to give 821 Et 8-[4-(tertbutoxycarbonylamino)-6-tert-butoxycarbonyl-7,7-dimethyl-4,5,6,7-

tetrahydrothieno[2,3-c]pyridin-2-y1]-1-cyclopropyl-7-fluoro-9-methyl-4-oxo-4H-quinolizine-3-carboxylate [II]. II [0,92 g] was treated with 5 mL l N aq. NaOH and 5 mL ethanol, and stirred at room temp. for 4 hand acidified with 1 N aq. HCl to nearly quant. give 8-[4-(tert-butoxycarbonylamino)-6-

tert-butoxycarbonyl-7,7-dimethyl-4,5,6,7-tetrahydrothieno[2,3-c]pyridin-2-yl]-1-cyclopropyl-7-fluoro-9-methyl-4-oxo-4H-quinolizine-3-carboxylic acid

which (0.94 g) was added to 60 mL CH2Cl2, treated with HCl(g) under ice-cooling, and stirred at room temp. for 1 h to give

8-(4-amino-6-carboxy-7,7-dimethyl-4,5,6,7-tetrahydrothieno[2,3-c]pyridin-2-yl)-1-cyclopropyl-7-fluoro-9-methyl-4-oxo-4H-quinolizine-3-carboxylic acid

(III) dihydrochloride. III.2HCl was converted into free amine III. III showed min. inhibitory concn. of \$0.008 µg/ml against Staphylococcus aureus 209P. Pharmaceutical formulations contg. I were

Staphylococcus aureus 209P. Finalmaceutical lormwistions conty. 2 were also prepd.
405141-13-19
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BLOL (Biological study); PREP (Preparation); RACT (Reactant or respent); USES (Uses) (preparation of 8-aryl or heteroaryl-4-oxo-4H-quinolizinecarboxylic IT

acid

derivs. as antibacterial agents)

RM 405141-13-1 CAPLUS

RN 4N-Quinolizine-3-carboxylic acid,
1-cyclopropyl-9-methyl-4-oxo-8-(4,5,6,7tetrahydrothieno(3,2-c)pycidin-2-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 12 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 2002:220590 CAPLUS DOCUMENT NUMBER: 136:263150

136:263150
Preparation of 8-aryl or heteroaryl-4-oxo-4H-quinolizine-3-carboxylic acid derivatives as antibacterial agents
Ohya, Satoshi; Masuda, Nobuhisa; Kuroki, Yoshiaki; Inoue, Teruhiko; Okudo, Makoto; Iwata, Toshihide; Kokubo, Koji; Mizuno, Gen; Hagihara, Masahiko Sankyo Company, Ltd., Japan; Ube Industries,ltd. PCT int. Appl., 332 pp.
CODEN: PIXXD2
Patent INVENTOR (5):

PATENT ASSIGNEE(S): SOURCE:

Patent Japanese

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

MO 2002022614 Al 20020321 WO 2001-JF7842 20010910
M: AU, BR, CA, CN, CO, CZ, HU, ID, IL, IN, KR, MX, NO, NZ, PL, RU,
SG, SK, US, ZA
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
PT, SE, TR
AU 2001086191 AS 20020326 AU 2001-86191
RITY APPLN. INFO AU 2001-86191 JP 2001-274528 JP 2000-275840

PRIORITY APPLN. INFO.:

w 20010910 WO 2001-JP7842

JP 2000-301812

A 20001002

MARPAT 136:263150 OTHER SOURCE(S):

Compds. of the general formula $\{I;\,RI=H,\,\text{optionally 1 or} \geq 2$ halo-substituted C1-6 alkyl or C3-7 cycloalkyl, optionally 1 or ≥ 2 halo or amino-substituted aryl or heteroaryl: $RZ=H,\,\text{halo},\,\text{cyano,\,HO},\,1$ or $2\geq \text{halo-substituted C1-6}$ alkyl or alkoxy: $R3=H,\,\text{halo}\,\,\text{cyano,\,HO},\,1$ or $2\geq \text{halo-substituted C1-6}$ alkyl or alkoxy: $R3=H,\,\text{halo}\,\,\text{cyano,\,HO},\,1$ in Q1 of R5 is an isoindoline ring): $R5=Q,\,\text{Q1}$; wherein ring A = heteroaryl ring; ring $G=CS-B\,\,\text{cycloalkene ring}\,\,\text{wherein ring}\,\,$ a carbon atom being replaced by N, O, or S atom, C5-B cycloalkane ring; R6a, R6c=H, halo, HO, NO2, NH2, cyano, optionally 1 or $\geq 2\,\text{halo-substituted}\,\,$ C1-4 alkyl or alkoxy; R7a, R7d=H, halo, HO, NO2, cyano, hydroxyimino, optionally 1 or $\geq 2\,\text{halo-substituted}\,\,$ C1-4 alkyl or alkoxy,

ANSWER 12 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

405141-14-2P 405141-15-3P 405141-16-4P 405141-18-6P 405141-20-0P 405141-22-2P 405141-25-5P 405141-27-7P 405141-33-5P 405141-53-9P 405141-61-9P

405141-53-9P 405141-61-9P RI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of 8-aryl or heteroaryl-4-oxo-4H-quinolizinecarboxylic

derivs. as antibacterial agents)
RN 405141-14-2 CAPLUS
CN 4H-Quinolizine-3-carboxylic acid,
1-cyclopropyl-9-methyl-4-oxo-8-(4,5,6,7tetrahydro-5-methylthieno[3,2-c]pyridin-2-yl)- (9CI) (CA INDEX NAME)

RN 405141-15-3 CAPLUS
CN 4H-Quinolizine-3-cerboxylic acid,
1-cyclopropyl-9-methoxy-4-oxo-8-(4,5,6,7-tetrahydrothieno{3,2-c}pyridin-2-yl)- (9CI) (CA INDEX NAME)

RN 405141-16-4 CAPLUS
CN 4H-Quinoilzine-3-carboxylic acid,
1-cyclopropyl-7-fluoro-9-methyl-4-oxo-8(4,5,6,7-tetrahydro-4-methylthieno[3,2-c]pyridin-2-yl)- (9CI) (CA INDEX NARE)

ANSWER 12 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 405141-18-6 CAPLUS
CN 4H-Quinolizine-3-carboxylic acid,
1-cyclopropyl-7-fluoro-9-methyl-4-oxo-8(4.5,6,7-tetrahydro-6-methylthieno[3,2-c]pyridin-2-yl}- (9CI) (CA INDEX NAME)

RN 405141-20-0 CAPLUS
CN 4H-Quinolizine-3-carboxylic acid,
1-cyclopropyl-9-methyl-4-oxo-8-{4,5,6,7tetrahydro-7-hydroxythieno{3,2-c}pyridin-2-yl}- {9CI} (CA INDEX NAME)

RN 405141-22-2 CAPLUS
CN 4H-Quinolizine-3-carboxylic acid,
1-cyclopropyl-7-fluoro-9-methyl-4-oxo-8(4,5,6,7-tetrahydrothieno[3,2-c]pyridin-2-yl)- (9CI) (CA INDEX NAME)

405141-25-5 CAPLUS

ANSWER 12 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

405141-61-9 CAPLUS
4H-Quinolizine-3-carboxylic acid, 8-(7-amino-4,5,6,7-tetrahydro-5-methylthieno[3,2-c]pyridin-2-yl)-1-cyclopropyl-9-methyl-4-oxo- (9CI) (CA INDEX NAME)

405142-66-7P 405142-67-8P 405142-68-9P 405142-69-0P 405142-70-3P 405142-71-4P 405142-0-5P 405142-97-0P 405142-79-2P 405142-0-5P 405142-91-6P 405142-92-7P 405142-80-3P 405142-91-8P 405142-92-7P 405142-96-3P 405142-98-5P 405143-02-4P 405143-05-7P 405143-22-8P 405143-27-3P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of 8-aryl or heteroaryl-4-oxo-4H-quinolizinecarboxylic

acid

derivs. as antibacterial agents)

RN 405142-66-7 CAPLUS

CN 4H-Quinolizine-3-carboxylic acid,
1-cyclopropyl-9-methyl-a-oxo-8-[4,5,6,7tetrahydro-5-(triphenylmethyl)thieno[3,2-c]pyridin-2-yl}-, ethyl ester

(9CI) (CA INDEX NAME)

RN 405142-67-8 CAPLUS
CN 4H-Quinolizine-3-carboxylic acid,
1-cyclopropyl-9-methyl-4-oxo-8-(4,5,6,7-

L6 ANSWER 12 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 4H-Quinolitine-3-carboxylic acid,
1-cyclopropyl-9-(difluoromethoxyl-4-oxo8-(4,5,6,7-tetrahydrothieno[3,2-c]pyridin-2-yl}- (9CI) (CA INDEX NAME)

RN 405141-27-7 CAPLUS
CN 4H-Quinolizine-3-carboxylic acid,
1-cyclopropyl-9-methyl-4-oxo-8-[4,5,6,7tetrahydro-6-(hydroxymethyl)thieno[3,2-c]pyridin-2-yl]- (9CI) (CA INDEX

RN 405141-33-5 CAPLUS
CN 4H-Quinolizine-3-carboxylic acid,
1-cyclopropyl-9-methyl-4-oxo-8-(4,5,6,7tetrahydro-7-methoxythieno[3,2-c]pyridin-2-yl)- (9CI) (CA INDEX NAME)

RN 405141-53-9 CAPLUS
CN 4H-Quinolizine-3-carboxylic acid,
8-(7-amino-4,5,6,7-tetrahydrothleno(3,2c)pyridin-2-yl)-1-cyclopropyl-9-methyl-4-oxo- (9CI) (CA INDEX NAME)

ANSWER 12 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) tetrahydrothieno[3,2-c]pyridin-2-yl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 405142-68-9 CAPLUS
CN 4H-Quinolizine-3-carboxylic acid,
1-cyclopropyl-9-methyl-4-oxo-8-(4,5,6,7tetrahydrothieno[3,2-c]pyridin-2-yl)-, ethyl ester, mono(4methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

CRN 405142-67-8 CMF C23 H24 N2 O3 S

CM 2

CRN 104-15-4 CMF C7 H8 03 S

RN 405142-69-0 CAPLUS
CN 4H-Quinolizine-3-carboxylic acid,
1-cyclopropyl-9-methoxy-4-oxo-8-(4,5,6,7tetrahydrothieno[3,2-c]pyridin-2-yl)-, ethyl ester (9CI) (CA INDEX NAME)

(Continued)

RN 405142-70-3 CAPLUS
CN 4H-Quinolizine-3-carboxylic acid,
1-cyclopropyl-9-methoxy-4-oxo-8-(4,5,6,7tetrahydrothien(3,2-clpyridin-2-y1)-, ethyl ester, mono(4methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

CRN 405142-69-0 CMF C23 H24 N2 O4 S

2

CRN 104-15-4 CMF C7 H8 O3 S

RN 405142-71-4 CAPLUS CN 4H-Quinolizine-3-carboxylic acid, 1-cyclopropyl-7-fluoro-9-methyl-4-oxo-8-

[4,5,6,7-tetrahydro-4-methyl-5-(triphenylmethyl)thieno[3,2-c]pyridin-2-yl]-, ethyl ester (9CI) (CA INDEX NAME)

ANSWER 12 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 405142-79-2 CAPLUS
CN 4H-Quinolizine-3-carboxylic acid,
1-cyclopropyl-7-fluoro-9-methyl-4-oxo-8(4,5,6,7-tetrahydro-6-methylthleno[3,2-c]pyridin-2-yl)-, ethyl ester,
mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

CRN 405142-78-1 CMF C24 H25 F N2 O3 S

CH 2

CRN 104-15-4 CMF C7 H8 03 S

RN 405142-80-5 CAPLUS
CN 4H-Quinolizine-3-carboxylic acid,
1-cyclopropyl-9-methyl-4-oxo-8-[4,5,6,7tetrahydro-7- (methoxymethoxy)-5-{triphenylmethyl}thieno[3,2-c]pyridin-2yl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 405142-73-6 CAPLUS
CN 4H-Quinolizine-3-carboxylic acid,
1-cyclopropyl-7-fluoro-9-methyl-4-oxo-8(4,5,6,7-tetrahydro-4-methylthieno[3,2-c]pyridin-2-yl)-, ethyl ester,
mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

CRN 405142-72-5 CMF C24 H25 F N2 O3 5

2 CM

CRN 104-15-4 CMF C7 H8 O3 S

RN 405142-77-0 CAPLUS CN 4H-Quinolizine-3-carboxylic acid, 1-cyclopropyl-7-fluoro-9-methyl-4-oxo-8-

[4,5,6,7-tetrahydro-6-methyl-5-(triphenylmethyl)thieno[3,2-c)pyridin-2-yl}-, ethyl ester (9CI) (CA INDEX NAME)

L6 ANSWER 12 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 405142-81-6 CAPLUS
CN 4H-Quinolizine-3-carboxylic acid,
1-cyclopropy1-9-methy1-4-oxo-8-[4,5,6,7tetrahydro-7-(methoxymethoxy)thieno[3,2-c]pyridin-2-yl]- (9CI) (CA INDEX NAME)

RN 405142-82-7 CAPLUS
CN 4H-Quinolizine-3-carboxylic acid,
1-cyclopropyl-9-methyl-4-oxo-8-{6,5,6,7-}
tetrahydro-7-(methoxymethoxy)thieno[3,2-c]pyridin-2-yl]-,
mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

CRN 405142-81-6 CMF C23 H24 N2 O5 S

CM 2

CRN 104-15-4 CMF C7 H8 O3 S

RN 405142-89-4 CAPLUS
CN 4H-Quinolizine-3-carboxylic acid,
1-cyclopropyl-9-(difluoromethoxy)-4-oxo8-[4,5,6,7-tet-shaydco-5-(triphenylmethyl)thieno[3,2-c]pyridin-2-yl]-,
ethyl ester (9CI) (CA INDEX NAME)

RN 405142-91-8 CAPLUS
CN 4H-Quinolizine-3-carboxylic acid,
1-cyclopropyl-9-(difluoromethoxy)-4-oxo8-(4,5,6,7-tetrahydrothieno[3,2-c]pyridin-2-yl)-, ethyl ester,
mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

CRN 405142-90-7 CMF C23 H22 F2 N2 O4 S

CM 2

CRN 104-15-4 CMF C7 H8 O3 S

ANSWER 12 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CM 2

CRN 104-15-4 CMF C7 H8 O3 S

RN 405143-02-4 CAPLUS
CN 4H-Quinollzine-3-carboxylic acid,
1-cyclopropyl-9-methyl-4-oxo-6-[4,5,6,7tetrahydro-7-methoxy-5-(triphenylmethyl)thieno[3,2-c]pyridin-2-yl]-,

ester (9CI) (CA INDEX NAME)

RN 405143-05-7 CAPLUS
CN 4H-Quinolizine-3-carboxylic acid,
1-cyclopropyl-9-methyl-4-oxo-8-(4,5,6,7tetrahydro-7-methoxythieno(3,2-c)pyridin-2-yl)-, ethyl ester,
mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

CRN 405143-04-6 CMF C24 H26 N2 O4 S

RN 405142-95-2 CAPLUS
CN 4H-Quinolizine-3-carboxylic acid,
1-cyclopropyl-9-methyl-4-oxo-8-[4,5,6,7tetrahydro-6-[(methoxymethoxy)methyl]-5-[triphenylmethyl)thieno[3,2c]pyridin-2-yl]-, ethyl ester (9CI) (CA INDEX NAME)

405142-96-3 CAPLUS
Thieno[3,2-c]pyridine, 4,5,6,7-tetrahydro-6-[{methoxymethoxy}methyl]-2-(4,4,5,5-tetramethyl-1,3,2-dioxaborinan-2-yl)-5-(triphenylmethyl)- (9CI)
(CA INDEX NAME)

RN 405142-98-5 CAPLUS
CN 4H-Quinolizine-3-carboxylic acid,
1-cyclopropyl-9-methyl-4-oxo-8-[4,5,6,7tetrahydro-6-[(methoxymethoxy) methyl|thieno[3,2-c]pyridin-2-yl]-, ethyl
ester, mono(4-methylbenzenesulfonate) [9CI] (CA INDEX NAME)

CRN 405142-97-4 CMF C26 H30 N2 O5 S

L6 ANSWER 12 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CM 2

CRN 104-15-4 CMF C7 H8 O3 S

405143-22-8 CAPLUS

4H-Quinolizine-3-carboxylic acid,
yclopropyl-9-methyl-4-oxo-8-[4,5,6,7tetrahydro-5-[triphenylmethyl)-7-[[triphenylmethyl]amino]thieno[3,2c]pyridin-2-yl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 405143-27-3 CAPLUS
CN 4H-Quinolizine-3-carboxylic acid, 1-cyclopropyl-9-methyl-4-oxo-8-[4,5,6,7-

tetrahydro-5-methyl-7-{{triphenylmethyl}amino}thieno{3,2-c}pyridin-2-yl}-, ethyl ester (9CI) (CA INDEX NAME)

ANSWER 12 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT:

12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

ANSWER 13 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

$$R^{1} \xrightarrow{A^{1}} 2 \xrightarrow{R^{2}} COR^{3}$$

Title compds. I [Al = N, (un)substituted CH: A2 = S, O, (un)substituted NH; Z = N, (un)substituted CH: Rl = H, halo, (un)substituted NH2; R2 = (un)substituted alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocyclic: R3 = (un)substituted OH; R4R5 = atoms required to complete an (un)substituted carbocycle or heterocycle] were prepared for use as antibacterial agents. Thus, Z-thienylethylamine was methylenated and cyclized to 4,5,6,7-tetrahydrothleno[3,2-c]pyridine which was tritylated in the 5-position, tributylstannylated, and treated with Et Z-bromo-l-cyclopropyl=6-methoxy=4-oxo-1,4-dihydro-3-quinolinecarboxylate, followed by ester hydrolysis to give the title compound II. II had an AB

min. inhibitor concentration against Staphylococcus aureus ATCC 6538P of 0.05

Innibitor Concentration
mg/mL.

IT 339050-56-59 339050-67-8P 339050-74-7P
339050-82-7P 339053-35-9P 339053-40-6P
339053-56-4P 339053-93-9P 339054-04-5P
339053-11-8P 339054-19-2P
RL: BAC (Biological activity or effector, except adverse); BSU
(Biological) RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); TMU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of quinoline- and naphthyridinecarboxylic acid antibacterials)
RN 33905-06-5 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo-7-(4,5,6,7-tetrahydrothieno[3,2-c]pyridin-2-yl)-, hydrochloride (9CI) (CA INDEX NAME)

L6 ANSWER 13 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
134:353259
Quinoline- and naphthyridinecarboxylic acid
antibacterials
Elmore, Steven W.; Cooper, Curt S.; Schultz, Colleen
C.; Hutchinson, Douglas K.; Donner, Pamela L.; Green,
Brian E.; Anderson, David D.; Xie, Qinghua; Dinges,
Jurgen: Lynch, Linda M.
Abbott Laboratories, USA
POT Int. Appl., 294 pp.
CODEN: PIXXD2

DOCUMENT TYPE:

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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		2001									WO 2	000-	US30	551		2	0001	106
	WO	2001	0326	55		A3		2002	0124									
		W:							AZ,									
			CR.	CU.	CZ.	DE.	DK.	DM.	DZ,	EE,	ES,	FI,	GB,	GD.	GE,	GH,	GΗ,	HR,
									KE,									
			LU.	LV.	MA.	MD.	MG.	MK.	MN,	MW.	MX.	MZ,	NO.	NZ,	PL.	PT,	RO.	RU,
									TJ,									
									KZ,									
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,
			DE.	DK.	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
									GN,									
	EР	1226	146			A2		2002	0731	- 1	EP 2	000-	9769	20001106				
									FR,									
			IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR						
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	2A	2000	0021	87		A		2004	1117		ZA 2	002-	2187			2	0020	318
	NO	2002	0021	56		A		2002	0704	1	NO 2	002-	2156			2	0020	506
		1066	79			A		2003	0131	1	BG 2	002-	1066	79		2	0020	509
PRIOR												999-						
										1	US 2	000-	7053.	32	- 2	A. 2	0001	103

w 20001106 WO 2000-US30551

OTHER SOURCE(S):

MARPAT 134:353259

ANSWER 13 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

●x HCl

339050-67-8 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-1, 4-dihydro-4-oxo-7-(4,5,6,7-tetrahydro-6-methylthieno[3,2-c]pyridin-2-yl)-, hydrobromide (9CI) (CA INDEX NAME)

●x HBr

RN 339050-74-7 CAPLUS
CN 3-Quinolinecarboxylic acid,
1-cyclopropyl-8-(difluoromethoxy)-1,4-dihydro4-oxo-7-(4,5,6,7-tetrahydro-4-methylthieno[3,2-c]pyridin-2-yl)-,
hydrobromide (9CI) (CA INDEX NAME)

●x HBr

L6 ANSWER 13 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 3-Quinolinecarboxylic acid,
1-cyclopropyl-8-(difluoromethoxy)-1,4-dihydro4-oxo-7-(4,5,6,7-tetrahydro-7,7-dimethylthieno[3,2-c]pyridin-2-yl)-,
hydrobromide (9CI) (CA INDEX NAME)

•x HBr

339053-35-9 CAPLUS

●x HC1

339053-40-6 CAPLUS 3-0uinolinecarboxylic acid, 7-(7-amino-4,5,6,7-tetrahydrothieno(3,2-c)pyridin-2-yl)-1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo- (9CI) (CA INDEX NAME)

339053-56-4 CAPLUS

ANSWER 13 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 339054-19-2 CAPLUS
CN 3-Quinolinecarboxylic acid,
1-cyclopropyl-8-(difluoromethoxy)-1,4-dihydro4-oxo-7-(4,5,6,7-tetrahydro-7,7-dimethylthieno[3,2-c]pyridin-2-yl)- (9CI)
(CA INDEX NAME)

IT 339057-00-0 339057-01-1 339057-05-5
339057-15-7 339057-18-0 339057-19-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of quinoline- and naphthyridinecarboxylic acid
antibacterials)
RN 339057-00-0 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-[5-[{1,1-

dimethylethoxy)carbonyl]-4,5,6,7-tetrahydro-7-hydroxythieno(3,2-c)pyridin-2-yl]-1,4-dihydro-8-methoxy-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)

339057-01-1 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-7-[5-[{1,1-dimethylethoxy)carbonyl]-4,5,6,7-tetrahydro-7-oxothieno[3,2-c]pyridin-2-yl]-1,4-dihydro-8-methoxy-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)

ANSWER 13 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
3-Quinolinecarboxylic acid, 7-[7-amino-4,5,6,7-tetrahydro-5(meth)laulfonyl)thieno[3,2-c]pyridin-2-yl]-1-cyclopropyl-1,4-dihydro-8methoxy-4-oxo- (9CI) (CA INDEX NAME)

339053-93-9 CAPLUS
3-Quinolineachboxylic acid, 1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo-7-(4,5,6,7-tetrahydrothieno[3,2-c]pyridin-2-yl)- (9CI) (CA INDEX NAME)

339054-04-5 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-4-oxo-7-(4,5,6,7-tetrahydro-6-methylthieno[3,2-c]pyridin-2-yl)- (9CI) (CA INDEX NAME)

RN 339054-11-4 CAPLUS
CN 3-Quinolinecarboxylic acid,
1-cyclopropyl-8-(difluoromethoxy)-1,4-dihydro4-oxo-7-(4,5,6,7-tetrahydro-4-methylthieno(3,2-c]pyridin-2-yl)- (9CI)

INDEX NAME)

L6 ANSWER 13 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

339057-05-5 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-7-[5-[{1,1-dimethylethoxy)carbonyl]-7-[[(1,1-dimethylethoxy)carbonyl]-4,5,6,7-tetrahydrothieno[3,2-c]pyridin-2-yl]-1,4-dihydro-8-methoxy-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)

339057-15-7 CAPLUS
3-Quinolinecarboxylic acid, 7-[7-azido-5-[(1,1-dimethylethoxy)carbonyl]-4,5,6,7-tetrahydrothieno[3,2-c]pyridin-2-yl]-1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)

339057-18-0 CAPLUS
3-Quinolinecarboxylic acid, 7-[5-acetyl-7-[[[1,1-dimethylethoxy]carbonyl]amino]-4,5,6,7-tetrahydrothieno[3,2-c]pyridin-2-yl]-1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo- (9CI) (CA INDEX NAME)

339057-19-1 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-7-[7-[([(1,1-dimethylethoxy)carbonyl]amino]-4,5,6,7-tetrahydro-5(methylaulfonyl)thieno[3,2-c]pyridin-2-yl]-1,4-dihydro-8-methoxy-4-oxo[9C1] (CA INDEX NAME)

IT 339054-93-2P 339054-94-3P 339054-95-4P
339053-97-6P 339055-10-5P 339055-12-9P
339055-13-9P 339055-14-0P 339055-12-9P
339055-13-PP 339055-14-7P 339055-18-4P
339055-19-FP 339055-27-3P 339055-28-4P
339055-28-3P 339055-26-4P 339055-27-5P
339055-28-3P 339055-39-9P 339055-26-2P
339055-38-8P 339055-39-7P 339055-28-5P
339055-36-3P 339055-37-0P 339055-38-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinoline- and naphthyridinecarboxylic acid antibacterials)
N 339054-93-2 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-4-oxo-7-(4,5,6,7-terahydro-5-(triphenylmethyl)thieno[3,2-c]pyridin-2-yl]- (9CI) (CA NAME)

ANSWER 13 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 339054-98-7 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid,
1-cycloproyl-6-fluoro-1,4-dihydro-4oxo-7-[4,5,6,7-tetrahydro-5-(triphenylmethyl)thieno[3,2-c]pyridin-2-yl]-,
ethyl ester (SCI) (CA INDEX NAME)

RN 339054-99-8 CAPLUS
CN 1.8-Naphthyridine-3-carboxylic acid,
1-cyclopropyl-6-fluoro-1,4-dihydro-4oxo-7-[4,5,6,7-tetrahydro-5-(triphenylmethyl)thieno[3,2-c]pyridin-2-yl](9C1) (CA INDEX NAME)

RN 339055-00-4 CAPLUS
CN 3-Quinolinecarboxylic acid,
1-cyclopropyl-8-(difluoromethoxy)-1,4-dihydro-

4-oxo-7-[4,5,6,7-tetrahydro-5-(triphenylmethyl)thieno[3,2-c}pyridin-2-yl], ethyl ester (9CI) (CA INDEX NAME)

- 339054-94-3 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo-7[4,5,6,7-tetrahydro-5-[triphenylmethyl]thieno[3,2-c]pyridin-2-yl]-, ethyl
ester [9C1] (CA INDEX NAME)

339054-95-4 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo-7-{4,5,6,7-tetrahydro-5-(triphenylmethyl)thieno[3,2-c]pyridin-2-yl]- (9CI) (CA INDEX NAME)

339054-97-6 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 1-cyclopropyl-1,4-dihydro-4-oxo-7{4,5,6,7-tetrahydro-5-(triphenylmethyl)thieno[3,2-c]pyridin-2-yl]- (9CI)
(CA INDEX NAME)

L6 ANSWER 13 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 339055-01-5 CAPLUS CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-8-(difluoromethoxy)-1,4-dihydro-

339055-12-0 CAPLUS
1.8-Maphthyridine-3-carboxylic acid, 1-cyclopropyl-1,4-dihydro-4-oxo-7-[4,5,6,7-tetrahydro-6-methyl-5-[(phenylmethoxy)carbonyl]thieno[3,2-c]pyridin-2-yl]-, ethyl ester (9CI) (CA INDEX NAME)

339055-13-9 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 1-cyclopropyl-1,4-dihydro-4-oxo-7{4,5,6,7-tetrahydro-6-methyl-5-{(phenylmethoxy)carbonyl}thieno[3,2-c]pyridin-2-yl}- (9CI) (CA INDEX NAME)

RN 339055-14-0 CAPLUS
CN 1,8-Maphthyridine-3-carboxylic acid,
1-cyclopropyl-6-fluoro-1,4-dihydro-4oxo-7-(4,5,6,7-tetrahydro-6-methyl-5-((phenylmethoxy)carbonyl)thieno(3,2c)pyridin-2-yll-1,- ethyl ester (9CI) (CA INDEX NAME)

RN 339055-15-1 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid,
1-cyclopropyl-6-fluoro-1,4-dihydro-4oxo-7-[4,5,6,7-tetrahydro-6-methyl-5-[{phenylmethoxy}carbonyl]thieno[3,2-c)pyridin-2-yl]- {9CI} (CA INDEX NAME)

339055-16-2 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-4-oxo-7-[4,5,6,7-

tetrahydro-6-methyl-5-{(phenylmethoxy)carbonyl]thieno(3,2-c)pyridin-2-yl}-(9CI) (CA INDEX NAME)

ANSWER 13 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

339055-23-1 CAPLUS 3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-4-oxo-7-{4,5,6,7-

tetrahydro-4-methyl-5-[(phenylmethoxy)carbonyl]thieno[3,2-c]pyridin-2-yl]-(9CI) (CA INDEX NAME)

339055-24-2 CAPLUS
1,8-Naphthyridine-3-carboxylic acid, 1-cyclopropyl-1,4-dihydro-4-oxo-7-[4,5,6,7-tetrahydro-4-methyl-5-[(phenylmethoxy)carbonyl]thieno[3,2-c]pyridin-2-yl]- (GA INDEX NAME)

RN 339055-25-3 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid,
1-cyclopropyl-6-fluoro-1,4-dihydro-6
cox-7-[4,5,6,7-tetrahydro-4-methyl-5-([phenylmethoxy]carbonyl]thieno[3,2-c]pyridin-2-yl]- (9CI) (CA INDEX NAME)

ANSWER 13 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 339055-17-3 CAPLUS
CN 3-Quinolinecarboxylic acid,
1-cyclopropyl-8-(difluoromethoxy)-1,4-dihydro-

4-oxo-7-[4,5,6,7-tetrahydro-6-methyl-5-[(phenylmethoxy)carbonyl]thieno[3,2-c)pyridin-2-ylj-, ethyl ester (9CI) (CA INDEX NAME)

RN 339055-18-4 CAPLUS CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-8-(difluoromethoxy)-1,4-dihydro-

4-oxo-7-[4,5,6,7-tetrahydro-6-methyl-5-[{phenylmethoxy}carbonyl]thieno[3,2-c]pyridin-2-yl}- {9CI} (CA INDEX NAME)

339055-19-5 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo-7-{4,5,6,7-tetrahydro-6-methyl-5-{(phenylmethoxy)carbonyl}thieno[3,2-c|pyridin-2-yl]- (9CI) (CA INDEX NAME)

ANSWER 13 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

339055-26-4 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo-7-[4,5,6,7-tetrahydro-4-methyl-5-{(phenylmethoxy)carbonyl}thieno[3,2-c]pyridin-2-yl]- [9CI) (CA INDEX NAME)

RN 339055-27-5 CAPLUS
CN 3-Quinolinecarboxylic acid,
1-cyclopropyl-8-(difluoromethoxy)-1,4-dihydro-

4-oxo-7-[4,5,6,7-tetrahydro-4-methyl-5-{(phenylmethoxy)carbonyl}thieno[3,2-c|pyridin-2-yl]- (9CI) (CA INDEX NAME)

339055-38-8 CAPLUS
1,8-Naphthyridine-3-carboxylic acid, 1-cyclopropyl-1,4-dihydro-4-oxo-7-[4,5,6,7-tetrahydro-7,7-dimethyl-5-[(phenylmethoxy)carbonyl]thieno[3,2-c)pyridin-2-yl]- (9CI) (CA INDEX NAME)

339055-39-9 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-4-oxo-7-[4,5,6,7-

tetrahydro-7,7-dimethyl-5-[(phenylmethoxy)carbonyl]thieno[3,2-c]pyridin-2-yl]- (9CI) (CA INDEX NAME)

339055-40-2 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo-7-[4,5,6,7-tetrahydro-7,7-dimethyl-5-1(phenylmethoxy)carbonyl]thieno[3,2-c|pyridin-2-yl]- (9C1) (CA INDEX NAME)

RN 339055-41-3 CAPLUS CN 1,8-Naphthyridine-3-carboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-4-

oxo-7-[4,5,6,7-tetrahydro-7,7-dimethyl-5-{(phenylmethoxy)carbonyl}thieno[3,2-c]pyridin-2-yl]-, ethyl ester (9CI) (CA INDEX NAME)

ANSWER 13 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

339056-37-0 CAPLUS
3-Quinolinecarboxylic acid, 7-(5-acetyl-7-azido-4,5,6,7-

tetrahydrothieno(3,2-c)pyridin-2-yl)-1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)

339056-38-1 CAPLUS
3-Quinolinecarboxylic acid, 7-{7-azido-4,5,6,7-tetrahydro-5(methylsulfonyl)thieno[3,2-c]pyridin-2-yl]-1-cyclopropyl-1,4-dihydro-8methoxy-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)

339050-55-4P 339050-57-6P 339050-58-7P
339050-68-9P 339050-65-6P 339050-66-7P
339050-68-9P 339050-69-0P 339050-70-3P
339050-71-4P 339050-72-2P 339050-73-6P
339050-78-1P 339053-73-6P 339050-81-6P 339053-52-0P
339050-81-6P 339053-36-0P 339053-92-0P
339053-55-3P 339053-92-2P 339053-94-0P
339053-95-1P 339053-96-2P 339054-06-7P
339054-07-8P 339054-08-PP 339054-06-7P
339054-07-9P 339054-18-1P 339054-16-9P
339054-17-0P 339054-18-1P 339054-16-PP

L6 ANSWER 13 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

RN 339055-42-4 CAPLUS CN 1,8-Naphthyridine-3-carboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-4-

oxo-7-[4,5,6,7-tetrahydro-7,7-dimethyl-5-[(phenylmethoxy)carbonyl}thieno[3,2-c)pyridin-2-yl]- (9CI) (CA INDEX NAME)

RN 339055-43-5 CAPLUS
CN 3-Quinolinecarboxylic acid,
1-cyclopropyl-8-(difluoromethoxy)-1,4-dihydro-

4-oxo-7-[4,5,6,7-tetrahydro-7,7-dimethyl-5-[(phenylmethoxy)carbonyl]thieno [3,2-c]pyridin-2-yl]- (9CI) (CA INDEX NAME)

339056-36-9 CAPLUS
3-Quinolinecarboxylic acid, 7-{7-azido-4,5,6,7-tetrahydrothieno{3,2-c|pyridin-2-yl)-1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo-, ethyl ester (SCI) (CA INDEX NAME)

ANSWER 13 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) study); PREP (Preparation); USES (Uses)
(prepn. of quinoline- and naphthyridinecarboxylic acid antibacterials) 339050-55-4 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-1, 4-dihydro-4-oxo-7-{4,5,6,7-tetrahydrothieno[3,2-c]pyridin-2-yl)-, hydrochloride (9CI) (CA INDEX NAME)

●x HCl

339050-57-6 CAPLUS
1,8-Naphthyridine-3-carboxylic acid, 1-cyclopropyl-1,4-dihydro-4-oxo-7-(4,5,6,7-tetrahydrothieno(3,2-c)pyridin-2-yl)-, hydrochloride (9CI) (CA INDEX NAME)

N 339050-58-7 CAPLUS N 1,8-Naphthyridine-3-carboxylic acid, -cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-7-(4,5,6,7-tetrahydrothieno[3,2-c)pyridin-2-yl)-, hydrochloride (9CI) (CA INDEX NAME)

L6 ANSWER 13 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

●x HCl

RN 339050-59-8 CAPLUS
CN 3-Quinolinecarboxylic acid,
1-cyclopropyl-8-(difluoromethoxy)-1,4-dihydro4-0x0-7-(4,5,6,7-tetrahydrothieno[3,2-c]pyridin-2-yl)-, hydrochloride
(9CI) (CA INDEX NAME)

●x HCl

RN 339050-65-6 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid, 1-cyclopropyl-1,4-dihydro-4-oxo-7[4,5,6,7-tetrahydro-6-methylthieno[3,2-c]pyridin-2-yl)-, hydrobromide
[9CI] (CA INDEX NAME)

●x HBr

RN 339050-66-7 CAPLUS

L6 ANSWER 13 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

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RN 339050-70-3 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-4-oxo-7-(4,5,6,7-tetrahydro-4-methylthieno[3,2-c]pyridin-2-yl)-, hydrobromide (9CI) (CA INDEX NAME)

•х нв

RN 339050-71-4 CAPLUS

1,8-Naphthyridine-3-carboxylic acid, 1-cyclopropyl-1,4-dihydro-4-oxo-7-(4,5,6,7-tetrahydro-4-methylthieno[3,2-c]pyridin-2-yl)-, hydrochloride (9CI) (CA INDEX NAME)

•x HCl

L6 ANSWER 13 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 1,8-Naphthyridine-3-carboxylic acid,
1-cyclopropyl-6-fluoro-1,4-dihydro-4oxo-7-(4,5,6,7-tetrahydro-6-methylthieno[3,2-c}pyridin-2-yl}-,
hydrobromide (9CI) (CA INDEX NAME)

●x HBr

RN 339050-68-9 CAPLUS
CN 3-Quinolinecarboxylic acid,
1-cyclopropyl-8-(difluoromethoxy)-1,4-dihydro4-oxo-7-(4,5,6,7-tetrahydro-6-methylthieno[3,2-c]pyridin-2-yl)-,
hydrobromide (9c1) (CA INDEX NAME)

●x HBr

N 339050-69-0 CAPLUS N 3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo-7-(4,5,6,7-tetrahydro-6-methylthieno[3,2-c]pyridin-2-yl)-, hydrobromide (9CI) (CA INDEX NAME)

L6 ANSWER 13 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 1,8-Naphthyridine-3-carboxylic acid,
1-cyclopropyl-6-fluoro-1,4-dihydro-4cxo-7-{4,5,6,7-tetrahydro-4-methylthieno[3,2-c]pyridin-2-yl)-,
hydrochloride (9CI) (CA INDEX NAME)

●x HCl

RN 339050-73-6 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo-7(4,5,6,7-tetrahydro-4-methylthieno[3,2-c]pyridin-2-yl)-, hydrobromide
(9CI) (CA INDEX NAME)

•ж нвг

RN 339050-78-1 CAPLUS

1,8-Naphthyridine-3-carboxylic acid, 1-cyclopropyl-1,4-dihydro-4-oxo-7(4,5,6,7-tetrahydro-7,7-dimethylthieno{3,2-c}pyridin-2-yl}-, hydrobromide
(9C1) (CA INDEX NAME)

ANSWER 13 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

●x HBr

339050-79-2 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-1, 4-dihydro-4-oxo-7-(4,5,6,7-tetrahydro-7,7-dimethylthieno[3,2-c]pyridin-2-yl)-, hydrobromide (9CI) (CA INDEX NAME)

•к нвг

339050-80-5 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo-7-(4,5,6,7-tetrahydro-7,7-dimethylthieno[3,2-c]pyridin-2-yl)-, hydrobromide
(SCI) (CA INDEX NAME)

RN 339050-81-6 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid,
1-cyclopropyl-6-fluoro-1,4-dihydro-4-

ANSWER 13 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN OXO- (9CI) (CA INDEX NAME) (Continued)

339053-92-8 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-4-oxo-7-(4,5,6,7-tetrahydrothieno[3,2-c]pyridin-2-yl)- (9CI) (CA INDEX NAME)

339053-94-0 CAPLUS
1,8-Naphthyridine-3-carboxylic acid, 1-cyclopropyl-1,4-dihydro-4-oxo-7(4,5,6,7-tetrahydrothieno[3,2-c]pyridin-2-yl)- (9CI) (CA INDEX NAME)

RN 339053-95-1 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid,
1-cyclopropyl-6-fluoro-1,4-dihydro-4oxo-7-(4,5,6,7-tetrahydrothieno[3,2-c]pyridin-2-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 13 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) oxo-7-[4,5,6,7-tetrahydro-7,7-dimethylthieno[3,2-c]pyridin-2-yl)-, hydrobromide (9CI) (CA INDEX NAME)

●x HBr

339053-36-0 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo-7-(4,5,6,7-tetrahydro-7-oxothieno(3,2-c)pyridin-2-yl)-, hydrochloride (9CI) (CA INDEX NAME)

●x HCl

339053-52-0 CAPLUS 3-Quinolinecarboxylic acid, 7-{7-arido-4,5,6,7-tetrahydrothieno[3,2-c]pyridin-2-yl)-1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo- (9CI) (CA INDEX NAME)

339053-55-3 CAPLUS
3-Quinolinecarboxylic acid, 7-(5-acetyl-7-amino-4,5,6,7-

tetrahydrothieno[3,2-c]pyridin-2-yl)-1-cyclopropyl-1,4-dihydro-8-methoxy-4-

ANSWER 13 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 339053-96-2 CAPLUS
CN 3-Quinolinecarboxylic acid,
1-cyclopropyl-8-dcifluoromethoxy)-1,4-dihydro4-0x0-7-(4,5,6,7-tetrahydrothieno[3,2-c]pyridin-2-yl)- (9CI) (CA INDEX NAME)

339054-02-3 CAPLUS
1.8-Maphthyridine-3-carboxylic acid, 1-cyclopropyl-1,4-dihydro-4-oxo-7(4,5,6,7-tetrahydro-6-methylthieno[3,2-c]pyridin-2-yl)- (9CI) (CA INDEX NAME)

RN 339054-03-4 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid,
1-cyclopropyl-6-fluoro-1,4-dlhydro-4oxo-7-(4,5,6,7-tetrahydro-6-methylthieno[3,2-c]pyridin-2-yl]- (9CI) (CA INDEX NAME)

RN 339054-05-6 CAPLUS
CN 3-Quinolinecarboxylic acid,
1-cyclopropyl-8-(difluoromethoxy)-1,4-dihydro4-oxo-7-(4,5,6,7-tetrahydro-6-methylthieno[3,2-c]pyridin-2-yl)- (9CI)

INDEX NAME)

339054-06-7 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo-7-(4,5,6,7-tetrahydro-6-methylthieno[3,2-c]pyridin-2-yl)- (9GI) (CA INDEX NAME)

339054-07-8 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-4-oxo-7-(4,5,6,7-tetrahydro-4-methylthieno[3,2-c]pyridin-2-yl)- (9CI) (CA INDEX NAME)

ANSWER 13 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

339054-15-8 CAPLUS 1,8-Naphthyridine-3-carboxylic acid, 1-cyclopropyl-1,4-dihydro-4-oxo-7-(4,5,6,7-tetrahydro-7,7-dimethylthieno[3,2-c]pyridin-2-yl)- (9CI) (CA INDEX NAME)

339054-16-9 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-4-oxo-7-{4,5,6,7-tetrahydro-7,7-dimethylthieno[3,2-c]pyridin-2-yl)- (9CI) (CA INDEX NAME)

339054-17-0 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo-7-(4,5,6,7-tetrahydro-7,7-dimethylthieno[3,2-c]pyridin-2-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 13 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

339054-08-9 CAPLUS
1,8-Naphthyridine-3-carboxylic acid, 1-cyclopropyl-1,4-dihydro-4-oxo-7-(4,5,6,7-tetrahydro-4-mathylthieno[3,2-c|pyridin-2-yl)- (9CI) (CA INDEX NAME)

339054-09-0 CAPLUS

1,8-Naphthyridine-3-carboxylic acid,
clopropyl-6-fluoro-1,4-dihydro-4oxo-7-(4,5,6,7-tetrahydro-4-methylthieno[3,2-c]pyridin-2-yl)- (9CI) (CA
INDEX NAME)

339054-10-3 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo-7-(4,5,6,7-tetrahydro-4-methylthieno[3,2-c]pyridin-2-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 13 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

339054-18-1 CAPLUS

NN 35004-10-1 Getain (Co. 1,8-Maphthyridine-3-carboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-7-(4,5,6,7-tetrahydro-7,7-dimethylthieno[3,2-c]pyridin-2-yl)- (GA INDEX NAME)

339054-67-0 CAPLUS
3-Quinolinecarboxylic acid, 1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo-7-(4,5,6,7-tetrahydro-7-oxothieno[3,2-c]pyridin-2-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:457050 CAPLUS
DOCUMENT NUMBER: 133:79374
TITLE: Accommandation teterocyclic compounds as thrombin or factor Xa inhibitors
Lam, Patrick Yuk Sun; Clark, Charles G.; Li, Hui Yin;
Pinto, Donald J. P.
Du Pont Pharmaceuticals Co., USA
PCT Int. Appl., 121 pp.
CODEN: PIXXD2
Patent Xa inhibitors INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

WO	2000	0391	ne					KIND DATE				DATE					
	W:				Al		2000	0706		WO 1	999-	US30	512		1	9991	222
		AL,	AU,	BR,	CA,	CN,	CZ,	EE,	HU,	IL,	IN,	JP,	KR,	LT,	LV,	MK,	ΜX,
		NO,	NZ,	PL,	RO,	SG,	SI,	SK,	TR,	UΑ,	VN,	ZA,	AM,	ΑZ,	BY,	KG,	ĸz,
					TM												
	RW:	AT,	BE,	CH,	CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,
		PT,	SE														
CA	2349	557			AA		2000	0706		CA 1	999-	2349	557		1	9991	222
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		ΙE,	SI,	LT,	LV,	FI,	RO										
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US	6403	583			81		2002	0611	1	US 1	999-	4698	35		1	9991	222
JP	2002	5372	27		T2		2002	1105		JP 2	2000-	5910	19		1	9991	222
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US	6500	855			B1		2002	1231	1	US 2	2002-	3313	7		2	0020	102
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ORIT	APP	LN.	INFO	.:					1	US 1	998-	1136	27P		P 1	9981	223
										US 1	999-	4698	30		M3 I	3331	442
										us 1	999-	4698	31		B1 1	9991	222

OTHER SOURCE(S): MARPAT 133:79374

AB This invention relates generally to inhibitors of trypsin-like serine protease enzymes, especially factor Xa or thrombin, pharmaceutical

WO 1999-US30512

W 19991222

protease enzymes, especially factor Xa or thrombin, pharmaceutical compns.

containing the same, and methods of using the same as anticoagulant agents for treatment and prevention of thromboembolic disorders.

IT 280130-06-5D, derivs. 280130-10-1D, derivs.
280130-24-7D, derivs. 280130-28-1D, derivs.
280130-61-2D, derivs. 280130-74-D, derivs.
280130-61-2D, derivs. 280130-83-6D, derivs.
280130-79-2D, derivs. 280130-83-8D, derivs.
2801310-97-4D, derivs. 280131-10-3D, derivs.
280131-15-9D, derivs. 280131-13-3D, derivs.

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study) unclassified); THU (Therapeutic use); BIOL (Biological study)

study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES

ANSWER 14 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

280130-47-4 CAPLUS
Thieno[3,2-c]pyridin-4-amine, 2-(4-oxazoly1)- (9CI) (CA INDEX NAME)

280130-61-2 CAPLUS
Thieno[3,2-c]pyridin-4-amine, 3-(4-thiazoly1)- (9CI) (CA INDEX NAME)

280130-65-6 CAPLUS Thieno{3,2-c}pyridin-4-amine, 2-(4-thiazolyl)- (9CI) (CA INDEX NAME)

RN 280130-79-2 CAPLUS CN Thieno[3,2-c]pyridin-4-amine, 3-(1H-imidazol-4-y1)- (9CI) (CA INDEX NAME)

280130-83-8 CAPLUS Thieno(3,2-c)pyridin-4-amine, 2-(1H-imidazol-4-yl)- (9CI) (CA INDEX

L6 ANSWER 14 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN [Continued]
(arom. heterocyclic compds. as thrombin or factor Xa inhibitors)
RN 280130-06-5 CAPLUS

Thieno(3,2-c)pyridin-4-amine, 3-(1H-pyrazol-1-y1)- (9CI) (CA INDEX NAME)

280130-10-1 CAPLUS
Thieno[3,2-c]pyridin-4-amine, 2-(1H-pyrazol-1-y1)- (9CI) (CA INDEX NAME)

280130-24-7 CAPLUS
Thieno[3,2-c]pyridin-4-amine, 3-(1H-1,2,3-triazol-1-yl)- (9CI) (CA INDEX NAME)

280130-28-1 CAPLUS
Thieno[3,2-c]pyridin-4-amine, 2-(1H-1,2,3-triazol-1-yl)- (9CI) (CA INDEX NAME)

280130-43-0 CAPLUS
Thieno[3,2-c]pyridin-4-amine, 3-(4-oxazolyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

280130-97-4 CAPLUS Thieno[3,2-c]pyridin-4-amine, 3-(3-isoxazolyl)- (9CI) (CA INDEX NAME)

280131-01-3 CAPLUS
Thieno[3,2-c]pyridin-4-amine, 2-(3-isoxazolyl)- (9CI) (CA INDEX NAME)

280131-15-9 CAPLUS Thieno[3,2-c]pyridin-4-amine, 3-(lH-tetrazol-1-yl)- (9CI) (CA INDEX

280131-19-3 CAPLUS Thieno[3,2-c]pyridin-4-amine, 2-(1H-tetrezol-1-yl)- (9CI) (CA INDEX

L6 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) deacetylated to give II [R3 = NHOH, R4 = SO2C6H4OMe-4]. I are inhibitors of tumor necrosis factor convertase, human neutrophil collagenase, and human fibroblest stromelysin.

IT 220564-63-6F 220564-64-7P
RI: BAC (Biological activity or effector, except adverse); BSU
(Biological

logical study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of thia- and oxaszabicycloalkanecarbohydroxamic acids as metalloproteinase inhibitors) 220564-63-6 CAPLUS Thieno(3, 2-c)pyridine-6-carboxamide, 4,5,6,7-tetrahydro-N,7-dihydroxy-5-[(4-methoxyphenyl)sulfonyl]-2-(2-pyridinyl)-, (6R,7R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

220564-64-7 CAPLUS
Thieno(3,2-c)pyridine-6-carboxamide, 4,5,6,7-tetrahydro-N,7-dihydroxy-5-[(4-methoxyphenyl)sulfonyl]-2-(3-pyridinyl)-, (6R,7R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

220566-04-1P 220566-05-2P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

L6 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1599:113686 CAPLUS

DOCUMENT NUMBER: 130:182449
Hydroxamic acid substituted fused heterocyclic metalloproteinase inhibitors

INVENTOR(S): Thomson, David S.; Koch, Kevin; Hwang, Chan Kou; Russo-Rodriguez, Sandra E.; Hummel, Conrad Amgen Inc., USA

PATENT ASSIGNEE(S): Amgen Inc., USA

POTT Int. Appl., 428 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

Patent

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: English

P.F	TENT	NO.			KIN	D	DATE			APP	LICAT	ION	NO.		D	ATE	
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		DK,	EE,	ES,	FI,	GB,	GÉ,	GH,	GM,	HR	, HU,	ID,	IL,	IS,	JP,	KE,	KG,
		KP,	KR,	ΚZ,	LC,	LK,	LR,	LS.	LT,	LU	, LV,	MD,	MG,	MK,	MN,	MW,	MX,
		NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG	, SI,	SK,	SL,	TJ,	TM,	TR,	TT,
		UA,	UG,	UZ,	VN,	YU,	ZW,	AM,	AZ,	BY	, KG,	KZ,	MD,	RU,	TJ,	TM	
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		FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL	, PT,	SE,	BF,	ВJ,	CF,	CG,	CI,
											, TG						
C.P	229	7988			AA		1999	0211		CA	1998-	2297	988		1	9980	804
AU	988	7664			A1		1999	0222		ΑU	1998-	8766	4		1	9980	804
EF	100	3751			A1		2000	0531		EΡ	1998-	9391	82		1	9980	804
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	, IT,	LI,	LU,	NL,	SE,	MC,	PT,
		IE,	SI,	LT,	LV,	FI,	RO										
										JΡ	2000-	5051	68		1	9980	804
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										114	1998-	1285	12			ORPP	803
												05			•		
									,	WO	1998~	US16	147	1	W 1	9980	804

OTHER SOURCE(S): MARPAT 130:182449

Hydroxamic acid substituted fused heterocyclic compds. I {R1 = {un} aubstituted aliphatic cycloalkyl, heterocyclic; R2 = H, alkyl; V = {un} aubstituted CH2, CH2CH2; WN = CON, {un} aubstituted CCCH2N, CH2N, CH2N, CH2N, X = O, S, Y = {un} aubstituted CH; Z = N, {un} substituted CH; Y = O, S, X, Z = {un} aubstituted CH; Z = O, S, X = N, {un} aubstituted CH, Y = {un} aubstituted CH, Z = O, S, X = N, {un} aubstituted CH, Y = {un} aubstituted CH, Z = O, S, X = N, {un} aubstituted CH, Y = {un} aubstituted CH, Z = O, S, X = N, {un} aubstituted CH, Z = N, {un} aubstituted CH, Z = O, S, X = N, {un} aubstituted CH, Z = O, S, X = N, {un} aubstituted CH, Z = O, S, X = N, {un} aubst

ANSWER 15 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) ANSWER 15 OF 22 CAPLUS COPYRIGHT ZUUD ACS ON SIR (ACALABASE, (Reactant or reagent) (prepn. of thia- and oxaazabicycloalkanecarbohydroxamic acids as metalloproteinase inhibitors)
220566-04-1 CAPLUS
Thieno[3,2-c]pyridine-6-carboxamide, N-(diphenylmethoxy)-4,5,6,7-tetshydro-5-[(4-methoxyphenyl)sulfonyl]-2-(2-pyridinyl)- (9CI) (CA

INDEX

NAME)

220566-05-2 CAPLUS
Thieno[3,2-c]pyridine-6-carboxamide, N-(diphenylmethoxy)-4,5,6,7tetrahydro-5-[(4-methoxyphenyl)sulfonyl)-2-(3-pyridinyl)- (9CI) (CA RN CN INDEX NAME

IT 220564-86-3P 220564-87-4P 220567-42-0P 220567-44-2P

220567-44-2P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thia- and oxaazabicycloalkanecarbohydroxamic acids as metalloproteinase inhibitors)
220564-86-3 CAPLUS

22056-86-3 CAPLUS Thieno[3,2-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-N-hydroxy-5-[(4-methoxyphenyl)sulfonyl]-2-(2-pyridinyl)- (9CI) (CA INDEX NAME)

ANSWER 15 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

220564-87-4 CAPLUS
Thieno[3,2-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-N-hydroxy-5-[(4-methoxyphenyl)sulfonyl]-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

220567-42-0 CAPLUS
Thiene[3,2-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-N,7-dihydroxy-5[(4-methoxyphenyl)sulfonyl]-2-(2-pyridinyl)- (9CI) (CA INDEX NAME) RN CN

220567-44-2 CAPLUS Thieno[3,2-c]pyridine-6-carboxamide, 4,5,6,7-tetrahydro-N,7-dihydroxy-5-

L6 ANSWER 16 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:537252 CAPLUS
DOCUMENT NUMBER: 123:111894
A facile synthesis of 3-hydroxythieno[3,2-c]quinolin4(5H)-ones

4(5H)-ones Gupta, M. C. L. N.; Darbarwar, Malleshwar Dep. Chem., Osmania Univ., Hyderabad, 500 007, India Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1995), 34B(5), 432-5 CODEN: IJSBDB; ISSN: 0376-4699 Publications & Information Directorate, CSIR AUTHOR(S): CORPORATE SOURCE: SOURCE:

II

Journal English

PUBLISHER: DOCUMENT TYPE: LANGUAGE: GI

AB Reaction of 4-chloroquinolin-2(1H)-ones with 2-mercaptoacetic and -propionic acid in the presence of base furnishes 2-[(1,2-dihydro-2-oxo-4-quinolinyl)thio]acetic and -propionic acids, which, on cyclodehydration

in polyphosphoric acid, afford the title compds. (I; R1 = Me, Et, Ph; R2 =

Me). Aldol-type condensation products (II) are formed from I on standing in aqueous acid medium.

166191-84-0F 166191-85-1F 166191-86-2F
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of hydroxythienoquinolinones)

166191-84-0 CAPLUS
(2,3'-Bithieno[3,2-c]quinoline]-4,4'(5H,5'H)-dione, 3-hydroxy-5,5'-dimethyl- (9CI) (CA INDEX NAME) н,

IT

ANSWER 15 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) [(4-methoxyphenyl)sulfonyl]-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L6 ANSWER 16 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 166191-85-1 CAPLUS CN (2,3'-Bithieno(3,2-c)quinoline)-4,4'(5H,5'H)-dione, 5,5'-diethyl-3-hydroxy-(9CI) (CA INDEX NAME)

166191-86-2 CAPLUS [2,3'-Bithieno[3,2-c]quinoline]-4,4'{5H,5'H}-dione, 3-hydroxy-5,5'-diphenyl-(SCI) (CA INDEX NAME)

L6 ANSWER 17 OF 22 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 1994:621033 CAPLUS DOCUMENT NUMBER: 121:221033

DOCUMENT NUMBER:

CORPORATE SOURCE:

TITLE:

AUTHOR (S):

121:221033
Comparative QSAR studies of two series of 1,4-dihydropyridines as slow calcium channel blockers Wikel, J. H.; Bemis, K. G.; Kurz, Ken; Denney, M. L.; Main, Bradley W.; Moore, R. A.; Smith, Tommy: Shingleton, Larry; Holland, D. R. Lilly Res. Lab., Eli Lilly and Co., Indianapolis, IN, 46285, USA

SOURCE:

Drug Design and Discovery (1994), 11(1), 1-14
CODEN: DDDIEV: ISSN: 1055-9612
DOCUMENT TYPE:
Journal
LANGUAGE:
AB Quant. structure activity anal. was applied to 2 series of dihydropyridine
(DHP) calcium channel blocking agents. One series of compds. was

dihydropyridine
(DHE) calcium channel blocking agents. One series of compds. was
composed
of DHPs substituted in the 4-position with an ortho or meta nitro
substituted Ph ring. The second group consisted of OHPs substituted at
the 4-position with a novel thieno[3,2-c]pyridine ring. Both series
consisted of compds. With unsym. ester substitutions on the
dihydropyridine ring. The antihypertensive activity of the compds. was
determined in a spontaneously hypertensive rat model. Regression anal.
indicated the antihypertensive activity of an i.v. dose correlated with
the calculated octanol/water coefficient (clopp). Regression anal.
indicated the antihypertensive activity of an i.v. dose correlated with
the calculated octanol/water coefficient (clopp). Regression anal.
indicated the antihypertensive activity of an i.v. dose correlated with
the calculated octanol/water coefficient (clopp). Regression anal.
indicated he antihypertensive activity of an i.v. dose correlated with
the calculated octanol/water coefficient (clopp). Regression anal.
indicated he antihypertensive activity of an i.v. dose correlated with
the calculated octanol/water coefficient (clopp). Regression anal.
indicated he antihypertensive activity of an i.v. dose correlated with
the calculated octanol/water coefficient (clopp). Regression anal.
indicated he antihypertensive activity of an i.v. dose correlated with
the calculated octanol/water coefficient (clopp). Regression anal.
indicated he antihypertensive activity of an i.v. dose correlated with
the calculated he antihypertensive activity of the complex segments and coefficient activity of the clopp.

RE: BAC (Biological activity or effector, except adverse); BSU
(Biological
study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL
(Biological study); PREP (Preparation)
(Biological study); PREP (Preparation)
(Biological study); PREP (Preparation)
(Biological study); PREP (Preparation)
(Biological study); PREP (Properties); SPN (Synthetic preparation); BIOL
(Biological study); PRE

109771-45-1 CAPLUS 3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-thieno[3,2-c]pyridin-3-yl-, methyl 1-methylpropyl ester (9CI) (CA INDEX NAME)

L6 ANSWER 17 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

158314-15-9 CAPLUS

3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-thieno[3,2-c]pyridin-3-yl-, methyl 2-propynyl ester (9CI) (CA INDEX NAME)

158314-16-0 CAPLUS
3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-thieno[3,2-c]pyridin-3-yl-, cyclobutylmethyl methyl ester [SCI) (CA INDEX NAME)

158314-17-1 CAPLUS 3,5-Fyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-thieno[3,2-c]pyridin-3-yl-, 2,2-dimethylpropyl methyl ester (9CI) (CA INDEX NAME) ANSWER 17 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

109771-49-5 CAPLUS 3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-thieno[3,2-c]pyridin-3-yl-, diethyl ester (9CI) (CA INDEX NAME)

109771-82-6 CAPLUS
3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-thieno[3,2-c]pyridin-3-yl-, 1,1-dimethylethyl methyl ester (9CI) (CA INDEX NAME)

109771-87-1 CAPLUS 3,5-Eyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-thieno[3,2-clpyridin-3-yl-, cyclopropylmethyl methyl ester (9C1) (CA INDEX NAME)

L6 ANSWER 17 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L6 ANSWER 18 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
1390:434706 CAPLUS
113:34706
4-[Thieno[3,2-c]pyridinyl]pyridinecarboxylic acid esters as calcium channel modulators
HOLING, Donald R.; Wikel, James H.
E11 Lilly and Co., USA
SOURCE:
US., 7 pp.
CODEN: USXXAM
DOCUMENT TYPE:
LANGUAGE:
English

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: English

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
US 4902694	A	19900220	US 1988-231310	19880811	
PRIORITY APPLN. INFO.:			US 1988-231310	19880811	

OTHER SOURCE(S): MARPAT 113:34706

AB The title compds. [I; R = cyanoethyl, (un)substituted Cl-6 aliphatic radical) modulate Ca flux across Ca channels and are useful for the treatment of cardiovascular diseases, such as congestive heart failure. Thirty

compds.

were prepared and clin. effects of the compds. on the cardiovascular system

were tested with beagle dogs. A suspension contained I (R = 2-propynyl)

were tested with beagle dogs. A suspension contained I (R = 2-propynyl)
mg, Na CM-cellulose 50 mg, syrup 1.25 mL, benzoic acid solution 0.10 mL,
flavor and color q.s., and water to 5 mL.
122350-77-19 126133-02-69 126133-03-99
128133-04-09 128133-05-19 128133-05-29
128133-10-99 128133-08-69 128133-05-29
128133-10-99 128133-12-09
128133-13-19 128133-11-99 128133-12-09
128133-14-69 128133-12-99
128133-19-69 128133-20-09 128133-18-69
128133-19-79 128133-20-09 128133-21-19
128133-22-29 128133-23-99 128133-24-49
128133-25-59 128133-26-69
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as calcium channel modulator)
123250-77-1 CAPLUS
3-Pyridinecarboxylic acid, 1,4-dihydro-2,6-dimethyl-5-nitro-4-thieno(3,2-c)pyridin-3-yl-, 1-phenylethyl ester (9CI) (CA INDEX NAME)

ANSWER 18 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

128133-02-8 CAPLUS
3-Pyridinecarboxylic acid, 1,4-dihydro-2,6-dimethyl-5-nitro-4-thieno[3,2-c]pyridin-3-yl-, butyl ester (9CI) (CA INDEX NAME)

128133-03-9 CAPLUS
3-Pyridinecarboxylic acid, 1,4-dihydro-2,6-dimethyl-5-nitro-4-thieno[3,2-c|pyridin-3-yl-, 1-methylpropyl ester (9CI) (CA INDEX NAME)

128133-04-0 CAPLUS
3-Pyridinecarboxylic acid, 1,4-dihydro-2,6-dimethyl-5-nitro-4-thieno[3,2-d)pyridin-3-yl-, methyl ester (SCI) (CA INDEX NAME)

ANSWER 18 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

128133-05-1 CAPLUS

3-Pyridinecarboxylic acid, 1,4-dihydro-2,6-dimethyl-5-nitro-4-thieno[3,2-c]pyridin-3-yl-, 1-methylethyl ester (9CI) (CA INDEX NAME)

128133-06-2 CAPLUS
3-Pyridinocarboxylic acid, 1,4-dihydro-2,6-dimethyl-5-nitro-4-thieno(3,2-d)pyridin-3-yl-, 2-propynyl ester (9CI) (CA INDEX NAME)

128133-07-3 CAPLUS
3-Pyridinecarboxylic acid, 1,4-dihydro-2,6-dimethyl-5-nitro-4-thieno[3,2-c)pyridin-3-yl-, 1-methyl-2-propynyl ester (9CI) (CA INDEX NAME)

L6 ANSWER 18 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

128133-08-4 CAPLUS

3-pyridinecarboxylic acid, 1,4-dihydro-2,6-dimethyl-5-nitro-4-thieno[3,2-c]pyridin-3-yl-, 2-butynyl ester (9CI) (CA INDEX NAME)

128133-09-5 CAPLUS
3-Pyridinecarboxylic acid, 1,4-dihydro-2,6-dimethyl-5-nitro-4-thieno[3,2-c]pyridin-3-yl-, 1-methyl-2-butynyl ester (9CI) (CA INDEX NAME)

128133-10-8 CAPLUS

3-Pyridinecarboxylic acid, 1,4-dihydro-2,6-dimethyl-5-nitro-4-thieno[3,2-c]pyridin-3-yl-, 2,2-dimethylpropyl ester (9CI) (CA INDEX NAME)

RN 128133-11-9 CAPLUS
CN 3-Pyridinecarboxylic acid, 1,4-dihydro-2,6-dimethyl-5-nitro-4-thieno(3,2-c)pyridin-3-yl-, 3-butynyl ester (9CI) (CA INDEX NAME)

RN 128133-12-0 CAPLUS
CN 3-Pyridinecarboxylic acid, 1,4-dihydro-2,6-dimethyl-5-nitro-4-thieno[3,2-c]pyridin-3-yl-, 1,1-dimethyl-2-propynyl ester [9CI] (CA INDEX NAME)

RN 128133-13-1 CAPLUS
CN 3-Pyridinecarboxylic acid, 1,4-dihydro-2,6-dimethyl-5-nitro-4-thieno[3,2-clpyridin-3-yl-, 1,2,2-trimethylpropyl ester (9CI) (CA INDEX NAME)

L6 ANSWER 18 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 128133-17-5 CAPLUS
CN 3-Pyridinearboxylic acid, 1,4-dihydro-2,6-dimethyl-5-nitro-4-thieno[3,2-clpyridin-3-yl-, (4-methoxyphenyl)methyl ester (9CI) (CA INDEX NAME)

RN 128133-18-6 CAPLUS
CN 3-Pyridinecarboxylic acid, 1,4-dihydro-2,6-dimethyl-5-nitro-4-thieno[3,2-c]pyridin-3-yl-, 1-methyl-2-phenylethyl ester (9CI) (CA INDEX NAME)

RN 128133-19-7 CAPLUS
CN 3-Pyridinecarboxylic acid, 1,4-dihydro-2,6-dimethyl-5-nitro-4-thieno[3,2-c]pyridin-3-yl-, 2-phenylpropyl ester (9CI) (CA INDEX NAME)

L6 ANSWER 18 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 128133-14-2 CAPLUS
CN 3-Pyridinecarboxylic acid, 1,4-dihydro-2,6-dimethyl-5-nitro-4-thieno[3,2-c)pyridin-3-yl-, 2-methyl-1-phenylpropyl ester (9CI) (CA INDEX NAME)

RN 128133-15-3 CAPLUS
CN 3-Pyridinecarboxylic acid, 1,4-dihydro-2,6-dimethyl-5-nitro-4-thieno{3,2-c|pyridin-3-yl-, 1-methyl-1-phenylethyl ester (9CI) (CA INDEX NAME)

RN 128133-16-4 CAPLUS CN 3-Pyridinearboxylic acid, 1,4-dihydro-2,6-dimethyl-5-nitro-4-thieno(3,2-c)pyridin-3-yl-, 1-phenylpropyl ester (9CI) (CA INDEX NAME)

L6 ANSWER 18 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 128133-20-0 CAPLUS CN 3-Pyridinecarboxylic acid, 1,4-dihydro-2,6-dimethyl-5-nitro-4-thieno[3,2-c]pyridin-3-yl-, phenylmethyl ester (9C1) (CA INDEX NAME)

RN 128133-21-1 CAPLUS
CN 3-Pyridinecarboxylic acid, 1,4-dihydro-2,6-dimethyl-5-nitro-4-thieno(3,2-c)pyridin-3-y1-, 2-phenoxyethyl ester (9CI) (CA INDEX NAME)

RN 128133-22-2 CAPLUS
CN 3-Pyridinecarboxylic acid, 1,4-dihydro-2,6-dimethyl-5-nitro-4-thieno[3,2-c]pyridin-3-yl-, 3-phenyl-2-propynyl ester (9CI) (CA INDEX NAME)

128133-23-3 CAPLUS
3-Pyridinecarboxylic acid, 1,4-dihydro-2,6-dimethyl-5-nitro-4-thieno(3,2-cjpyridin-3-yl-, 2-methoxy-2-phenylethyl ester (9CI) (CA INDEX NAME)

128133-24-4 CAPLUS

3-Pyridinecarboxylic acid, 1,4-dihydro-2,6-dimethyl-5-nitro-4-thieno[3,2-c]pyridin-3-yl-, cyclopropylmethyl ester (9CI) (CA INDEX NAME)

128133-25-5 CAPLUS
3-Pyridinecarboxylic acid, 1,4-dihydro-2,6-dimethyl-5-nitro-4-thieno(3,2-c)pyridin-3-yl-, 1-cyclopropylethyl ester (9CI) (CA INDEX NAME)

L6 ANSWER 19 OF 22
ACCESSION NUMBER:
DOCUMENT NUMBER:
111:166790
LY249933: a cardioselective 1,4-dihydropyridine with positive inctropic activity
AUTHOR(S):
H0land, Donald R.; Wikel, James H.; Kauffman,

AUTHOR(S): Raymond

CORPORATE SOURCE:

F.; Smallwood, Jeffrey K.; Zimmerman, Karen M.; Utterback, Barbara G.; Turk, John A.; Steinberg, Mitchell I. Lilly Res. Lab., Eli Lilly and Co., Indianapolis, IN, 46285, USA Journal of Cardiovascular Pharmacology (1989), 14(3), 483-91 CODEN: JCPCDT; ISSN: 0160-2446 Journal English

DOCUMENT TYPE:

Journal December 12: Journal Journal Honology 2015 June 2015 June

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guin

cephalic vein, contracted with 80 mM KCl, an increase in contraction was produced by (R.R), whereas relaxation was produced by LY249933 (-log ECSO (M) = 6.0). At 20 mM KCl, (R.R) increased, (S,R) decreased, but LY249933 id not alter contraction. In anesthetized dogs, LY249933 (200 mg/kg/min, i.v.) increased dB/dt60, decreased heart rate, but did not change vascular resistance or the rate pressure product. At the same dose, (R,R) and (S,R) both tended to increase dB/dt60 nonsignificantly, whereas (R,R) increased and (S,R) decreased vascular resistance. Both (R,R) and (S,R) tended to decrease heart rate nonsignificantly, whereas (R,R) did not change and (S,R) decreased the rate pressure product. Thus, LY249933 produced potentially beneficial cardiovascular changes resulting from the combined actions of its (R,R) and (S,R) diastereomers that are postulated to be a Ca2+int

ist
and antagonist, resp.
123250-77-1, LY 249933 123250-78-2 123250-79-3
RL: BIOL (Biological study)
(heart inotropy from, diastereomerism in relation to)
123250-77-1 CAPLUS
3-Pyridinecarboxylic acid, 1,4-dihydro-2,6-dimethyl-5-nitro-4-thieno(3,2-c)pyridin-3-yl-, 1-phenylethyl ester (9CI) (CA INDEX NAME)

L6 ANSWER 18 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

128133-26-6 CAPLUS
3-Pyridinecarboxylic acid, 1,4-dihydro-2,6-dimethyl-5-nitro-4-thieno[3,2-c]pyridin-3-yl-, 2-cyanoethyl ester (9CI) (CA INDEX NAME)

ANSWER 19 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) 123250-78-2 CAPLUS 3-Pyridinecarboxylic acid, 1,4-dihydro-2,6-dimethyl-5-nitro-4-thieno[3,2-c]pyridin-3-yl-, 1-phenylethyl ester, [R-(R*,R*)]- [9CI) (CA INDEX NAME)

Absolute stereochemistry.

123250-79-3 CAPLUS

3-Pyridinecarboxylic acid, 1,4-dihydro-2,6-dimethyl-5-nitro-4-thieno[3,2-c]pyridin-3-yl-, 1-phenylethyl ester, (R-(R*,S*))- [9CI] (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 20 OF 22 CAPLUS COPYRIGHT 2006 ACS ON STN :SSION NUMBER: 1987:515496 CAPLUS MENT NUMBER: 107:115496

ACCESSION NUMBER:

DOCUMENT NUMBER: TITLE:

PATENT ASSIGNEE (S):

107:115496
Preparation of dihydropyridine derivatives as vasodilators
Eli Lilly and Co., USA
Jpn. Kokai Tokkyo Koho, 21 pp.
CODEN: JKXXAF

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PAT	ENT NO.			KINI	DATE	APPLICATION NO.	DATE
JP	62045586			A2	19870227	JP 1986-196484	19860820
US	4659717			A	19870421	US 1985-768071	19850821
2A	8606222			A	19880427	ZA 1986-6222	19860818
CA	1265795			A1	19900213	CA 1986-516145	19860818
	8603934			А	19870222	DK 1986-3934	19860819
AU	8661584			A1	19870226	AU 1986-61584	19860819
	44538			A2	19880328	HU 1986-3635	19860819
HU	195653			В	19880628		
CN	86106294			А	19870225	CN 1986-106294	19860820
EP	217530			A1	19870408	EP 1986-306453	19860820
EP	217530			B1	19901031		
	R: AT.	BE.	CH.	DE.	FR. GB. IT.	LI, LU, NL, SE	
ES	2001105			A6	19880416	ES 1986-1218	19860820
	57930			E	19901115	AT 1986-306453	19860820
	APPLN.	INFO	.:			US 1985-768071 A	19850821
						EP 1986-306453 A	19860820

OTHER SOURCE(S): MARPAT 107:115496

The title compds. (I; R1 = H, C1-4 alkyl, aralkyl; R2 = H, Me, NH2; R3 = H, acyl, alkoxycarbonyl, allylsulfinyl, etc.; R4 = Me, MeO, halo, NO2 AB NH2;

тт

R5 = H, MeO, Me, etc.; Al-A4 = CH, or 1 of them is N; Z = O, S, NH), useful as vasodilators, are prepared Refluxing a mixture of 3.28 g benzo[b]thiophene-2-carboxaldehyde with 5.2 mL MeCOCH2CO2Et and 2 mL ин4он

ANSWER 20 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

109771-49-5 CAPLUS 3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-thieno[3,2-d)yridin-3-yl-, diethyl ester (9CI) (CA INDEX NAME)

109771-50-8 CAPLUS
3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-(2-methylthieno[3,2-c]pyridin-3-yl)-, diethyl ester {9CI} (CA INDEX NAME}

109771-51-9 CAPLUS
3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-thieno[3,2-c]pyridin-3-yl-, bis(1-methylethyl) ester (9CI) (CA INDEX NAME)

ANSWER 20 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) in StOR gave 2.62 g benzothienylpyridinedicarboxylate II, which showed -log IC\$0 of 3.96 in dog's coronary artery in vitro. A capsule formulation contd. I (R1 = R4 = R5 = H, R2 = Me, R3 = CO2EL, A1 = A2 = A4 = CR, A3 = N, Z = S, linkage at A4-position) 250, starch 200, and Mg stearste 10 mg.

109771-46-09 109771-45-1P 109771-46-2P 109771-95-09 109771-51-1P 109771-52-P 109771-62-P 109771-71-31-P 109771-62-P 109771-71-1P 109771-61-1P 109771-6-6P 109771-71-1P 109771-85-P 109771-85-P

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109771-45-1 CAPLUS
3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-thieno[3,2-c]pyridin-3-yl-, methyl 1-methylpropyl ester (9CI) (CA INDEX NAME)

109771-46-2 CAPLUS
3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-thieno[3,2-c)pyridin-3-yl-, ethyl methyl ester (9CI) (CA INDEX NAME)

L6 ANSWER 20 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

109771-52-0 CAPLUS
3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-thieno[3,2-c]pyridin-3-yl-, bis(2-methoxyethyl) ester (SCI) (CA INDEX NAME)

109771-53-1 CAPLUS
3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-thieno[3,2-c]pyridin-3-yl-, dimethyl ester (9CI) (CA INDEX NAME)

109771-54-2 CAPLUS 3,5-Fyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-thieno(3,2-d)pyridin-3-yl-, dipropyl ester (9CI) (CA INDEX NAME)

109771-60-0 CAPLUS
3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-thieno[3,2-c]pyridin-3-yl-, ethyl 1-methylethyl ester (9CI) (CA INDEX NAME)

109771-61-1 CAPLUS
3,3-Pyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-thieno(3,2-c)pyridin-3-yl-, ethyl 2-methoxyethyl ester (9CI) (CA INDEX NAME)

109771-62-2 CAPLUS
3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-thieno(3,2-c)pyridin-3-yl-, 2-methoxyethyl methyl ester (9CI) (CA INDEX NAME)

L6 ANSWER 20 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

109771-79-1 CAPLUS
3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-thieno(3,2-c)pyridin-3-yl-, methyl 1-methylpropyl ester, (R)- (9CI) (CA INDEX NAME)

109771-80-4 CAPLUS
3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-thieno(3,2-c)pyridin-3-yl-, methyl 2-methylpropyl ester (9CI) (CA INDEX NAME)

109771-82-6 CAPLUS
3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-thieno{3,2-c|pyridin-3-yl-, 1,1-dimethylethyl methyl ester (9CI) (CA INDEX NAME)

(Continued) L6 ANSWER 20 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

109771-70-2 CAPLUS
3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-thieno[3,2-c]pyridin-3-yl-, methyl 2-[methyl(phenylmethyl)amino]ethyl ester (9CI) (CA INDEX NAME)

109771-71-3 CAPLUS
3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-thieno[3,2-c]pyridin-3-yl-, 2-cyanoethyl methyl ester (9CI) (CA INDEX NAME)

109771-74-6 CAPLUS
3,5-Pyridinediarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-thieno[3,2-c]pyridin-3-yl-, 2-methoxyethyl 1-methylethyl ester (SCI) (CA INDEX

L6 ANSWER 20 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

109771-84-8 CAPLUS
3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-thieno(3,2-c)pyridin-3-yl-, methyl 1-phenylethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

109771-85-9 CAPLUS
3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-thieno(3,2-c)pyridin-3-yl-, methyl 2-methylbutyl ester (9CI) (CA INDEX NAME)

109771-86-0 CAPLUS
3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-thieno[3,2-c]pyridin-3-yl-, methyl 2-propenyl ester (9CI) (CA INDEX NAME)

ANSWER 20 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

109771-87-1 CAPINS

3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-thieno[3,2-c]pyridin-3-yl-, cyclopropylmethyl methyl ester (9CI) (CA INDEX NAME

CAPLUS

3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-thieno[3,2-c]pyridin-2-yl-, diethyl ester (9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 1528:3433 CAPLUS DOCUMENT NUMBER: 22:3433
ORIGINAL REFERENCE NO.: 22:420d-i,421a

A new thiopyrindigo and a pyrindoxyl Koenigs, Ernst: Kantrowitz, Herbert Ber. (1927), 60B, 2097-105 Journal TITLE: AUTHOR (S):

DOCUMENT TYPE:

Unavailable LANGUAGE:

ABGUAGE: Unavailable

AB cf. Plazek and Sucharda, C. A. 21, 407. 4-Mercaptolutidine-3-carboxylic acid (I) was converted with clcH2co2H into lutidine-4-[thioglycolic]-3-carboxylic acid (III), which with boiling Ac20 gave

γ,B-pyridothiophene (III), a quite weak base in which the thiophene ring is but little stable (energetic boiling with HCl ruptures it) but which is not so unstable as the 3-hydroxy-α,β-pyridothiophene (IV) (C. A. 19, 1278). All attempts to oxidize the III to

the corresponding indigo met with failure at first. Long treatment in alkaline solution with air gave a very faint turbidity soluble in HCl

with

red-yellow color; addition of Pt sponge improved the yield somewhat but only

when air was passed through the hot alkaline solution in the presence of Pt

sponge were somewhat practicable results obtained. The isolation of the 4,6,4',6'-tetramethyl- γ , β -thiopyrindigo (V) was also not simple; it was finally effected by extracting the black sludge resulting from

the oxidation with PhCl. The V resembles P. and S.'s δ -thiopyrindige but is not so unstable; it is a weak base and although a HC1

salt was obtained with concentrated HCl it partly loses its HCl on

drying in a desiccator. The solubility of the V in HCl is too small to permit of

its use as basic dye. It smoothly forms a vat in alkaline Na2S2O4 but the leuco

is apparently difficultly soluble in alkali; the solution is turbid. It

cotton very weakly and the intensity of the color is furthermore much reduced in boiling soap, the final shade being a dull pink. After the appearance of P. and S.'s paper, K. and K. also tried to prepare their V directly from the II by heating with H2504 and obtained it in this way much more easily than by the method above. On the other hand, they were no more successful than before in obtaining an indigo from IV or s-pyridylthioglycolic acid. N-Lutidyl-4-glycine-3-carboxylic anhydride (VI), from EV ry-chlorolutidine-B-carboxylate and H2NCH2CN, and the corresponding di-CO2H acid (VII) are smoothly converted by boiling Ac20 into 4,6-dimethyl-y,B-pyrindoxyl (VIII), which is less stable than III and which it has thus far not been possible to oxidize to the pyrindigo. I, m. 235°, easily soluble in alkalies and concentrated mineral acids, is obtained in 43 g. yield from 100 g. Et chlorolutidinecarboxylate first saponified by heating 12 hrs. at 130° with 35 g. KOH in 200 cc. of 70% alc., then concentrated about 0.5, and ed

ed 24 hrs. at 160-70° with 70 g. KSH in H2O; 5 g. yields 5.5 g. II, crystals with 1H2O, m. 247°, easily soluble in alkalies, moderately ir concentrated mineral acids; HCl salt, m. 221°. III (1 g. from 2 g. II red-yellow, m. 49°, easily soluble in acids with yellow to yellow-red, in alkalies only on heating with deep red color; it cannot be recovered.

L6 ANSWER 21 OF 22 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 1984:530610 CAPLUS DOCUMENT NUMBER: 101:130610

DOCUMENT NUMBER: TITLE:

101:130610
Syntheses of 2-alkyl-3-(4dialkylaminoalkoxybenzoyl)thieno[3,2-c]pyridines
Frehel, Daniel: Boigegrain, Robert: Maffrand, Jean AUTHOR (S) :

Pierre Sanofi-Recherche, Toulouse, 31036, Fr. Heterocycles (1984), 22(5), 1235-47 CODEN: HTCYAM; ISSN: 0385-5414 CORPORATE SOURCE: SOURCE:

DOCUMENT TYPE: Journal

English CASREACT 101:130610 OTHER SOURCE (S):

Thienopyridine isosteres I [R = (CH2)nNR22; R1 = H, Br; R2 = Et, n = 2;

= Me, Bu, n = 3] of amiodarone and butoprozin and their tetrahydrogenated analogs II (R3 = COC6H4CH2CH2NR22-4, R4 = Et) were prepared Thus, ticlopidine (II, R3 = R4 = H) was lithiated, treated with MeCHO, and reduced to give thienopyridine II (R3 = H, R4 = Et) (III). Friedel-Crafts acylation III with 4-MeOc6H4COC1 gave II (R3 = COC6H4OMe-4, R4 = Et, IV). IV was pyrolyzed to give I (R = Me, R1 = H) which was demethylated and brominated to give II (R = H, R1 = Br). The latter compound was alkylated with C1(CR12)NRE2 (V) to give I (R = CR1CH2NEEZ, R1 = Br). Demethylation of IV and alkylation with V gave II (R3 = COC6H4CH2CH2NEEZ-4, R4 = Et). R1: SFN (Synthetic preparation); PREP (Preparation)

[Despon-36-7 CAPLUS]

H-Cyclopenta(4,5)thieno(3,2-c]pyridine, 2-[(2-chlorophenyl)methyl]-8-[5-[(2-chlorophenyl)methyl]-4,5,6,7-tetrahydrothieno(3,2-c]pyridin-2-yl]-6-ethylidene-2,3,4,6-tetrahydro-7-methyl= (SCI) (CA INDEX NAME)

ANSWER 22 OF 22 CAPLUS COPYRIGHT 2006 ACS on STM (Continued) from alkalies with acids. HCl salt, bright red, m. 94°.. Chloroplatinate, crimson decomps. above 300°. Semicarbazone, pink, decomps. above 300°. p-Diazotoluene coupling product, CI6HISON3S, dark brown, m. 98°, dyes wool and mordanted cotton in dil. HCl a red-brown. V (yield from III, 35%), orange-red prisms with a red lic metallic

red-brown. V (yield from III, 35%), orange-red prisms with a red lilic streak, sublimes 360° under 15 mm., easily sol. in 2 N HCl; HCl salt, somewhat lighter than V, decomps. above 300°, chloroplatinate, red, decomps. above 300°, VI (1 g. from 8 g. Et chloroplatinate, red, decomps. above 300°, VI (1 g. from 8 g. Et chloroplatinate, red, m. 100-1°, HCl salt, red, m. 221°. VII, obtained in 10% yield through the Ag salt, brown, m. 184°; HCl salt, brown, m. 282°. VIII, m. 67°, sol. in salt. with yellow-red color (yield from VII, about 30%); HCl salt, yellowish, m. 243°; chloroplatinate, yellow, decomps. above 300°; semicarbazone, m. 63°, diazotized p-toluidine coupling product, brown, m. 92°, moderately sol. in dll. HCl, dyes wool and mordanted cotton in acid soln. a red-brown. 873389-14-1, [22,2°, (3,3°)-Bipyrido{4,3-b}thiophene]-3,3°-dione, 4,4°,6,6°-tetramethyl- (and salts) [A2,2°, (3,3°)-Bipyrido[4,3-b}thiophene]-3,3°-dione, 4,4°,6,6°-tetramethyl- (3CI) (CA INDEX NAME)

=> log y COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 113.34 298.55 SINCE FILE DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) TOTAL ENTRY SESSION CA SUBSCRIBER PRICE -16.50 -16.50

STN INTERNATIONAL LOGOFF AT 06:34:58 ON 08 MAR 2006